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NEWS	1		Web Page for STN Seminar Schedule - N. America
NEWS	2	APR 02	CAS Registry Number Crossover Limits Increased to 500,000 in Key STN Databases
NEWS	3	APR 02	PATDPAFULL: Application and priority number formats enhanced
NEWS	4	APR 02	DWPI: New display format ALLSTR available
NEWS	5	APR 02	New Thesaurus Added to Derwent Databases for Smooth Sailing through U.S. Patent Codes
NEWS	6	APR 02	EMBASE Adds Unique Records from MEDLINE, Expanding Coverage back to 1948
NEWS	7	APR 07	CA/CAPLUS CLASS Display Streamlined with Removal of Pre-IPC 8 Data Fields
NEWS	8	APR 07	50,000 World Traditional Medicine (WTM) Patents Now Available in CAPLUS
NEWS	9	APR 07	MEDLINE Coverage Is Extended Back to 1947
NEWS	10	JUN 16	WPI First View (File WPIFV) will no longer be available after July 30, 2010
NEWS	11	JUN 18	DWPI: New coverage - French Granted Patents
NEWS	12	JUN 18	CAS and FIZ Karlsruhe announce plans for a new STN platform
NEWS	13	JUN 18	IPC codes have been added to the INSPEC backfile (1969-2009)
NEWS	14	JUN 21	Removal of Pre-IPC 8 data fields streamline displays in CA/CAPLUS, CASREACT, and MARPAT
NEWS	15	JUN 21	Access an additional 1.8 million records exclusively enhanced with 1.9 million CAS Registry Numbers -- EMBASE Classic on STN
NEWS	16	JUN 28	Introducing "CAS Chemistry Research Report": 40 Years of Biofuel Research Reveal China Now Atop U.S. in Patenting and Commercialization of Bioethanol
NEWS	17	JUN 29	Enhanced Batch Search Options in DGENE, USGENE, and PCTGEN
NEWS	18	JUL 19	Enhancement of citation information in INPADOC databases provides new, more efficient competitor analyses
NEWS EXPRESS	FEBRUARY 15	10	CURRENT WINDOWS VERSION IS V8.4.2, AND CURRENT DISCOVER FILE IS DATED 15 JANUARY 2010.
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FILE 'HOME' ENTERED AT 15:44:41 ON 22 JUL 2010

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COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

0.22

0.22

FILE 'REGISTRY' ENTERED AT 15:44:58 ON 22 JUL 2010

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STRUCTURE FILE UPDATES: 21 JUL 2010 HIGHEST RN 1233453-03-6

DICTIONARY FILE UPDATES: 21 JUL 2010 HIGHEST RN 1233453-03-6

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TSCA INFORMATION NOW CURRENT THROUGH January 8, 2010.

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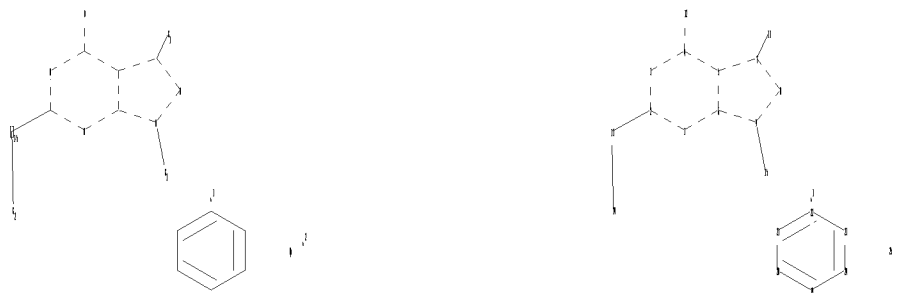
REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

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Uploading C:\Program Files\Stnexp\Queries\10556224.str

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chain nodes :
11 12 13 14 15 25
ring nodes :
1 2 3 4 5 6 7 8 9 19 20 21 22 23 24
chain bonds :
2-13 4-12 7-11 9-15 13-14
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-9 7-8 8-9 19-20 19-24 20-21 21-22 22-23
23-24
exact/norm bonds :
1-2 1-6 2-3 3-4 4-5 4-12 5-6 5-7 6-9 7-8 7-11 8-9 9-15 13-14
exact bonds :
2-13
normalized bonds :
19-20 19-24 20-21 21-22 22-23 23-24
isolated ring systems :
containing 1 :
```

G1:H,CH3

G2:Cb,Ak

G3:[\*1],[\*2]

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Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 11:CLASS
12:CLASS 13:CLASS 14:CLASS 15:CLASS 19:Atom 20:Atom 21:Atom 22:Atom 23:Atom
24:Atom 25:Atom
Generic attributes :
25:
Saturation : Unsaturated
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L1           STRUCTURE UPLOADED

=> d

L1 HAS NO ANSWERS

L1           STR

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY -   AVAILABLE VIA OFFLINE PRINT \*

Structure attributes must be viewed using STN Express query preparation.

=> s l1 sam

SAMPLE SEARCH INITIATED 15:45:38 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED -       604 TO ITERATE

100.0% PROCESSED       604 ITERATIONS

29 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:   ONLINE   \*\*COMPLETE\*\*

BATCH   \*\*COMPLETE\*\*

PROJECTED ITERATIONS:       10606 TO       13554

PROJECTED ANSWERS:         257 TO       903

L2           29 SEA SSS SAM L1

=> s l1 ful

THE ESTIMATED SEARCH COST FOR FILE 'REGISTRY' IS 191.05 U.S. DOLLARS

DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N or END:y

FULL SEARCH INITIATED 15:45:45 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED -       11835 TO ITERATE

100.0% PROCESSED       11835 ITERATIONS

579 ANSWERS

SEARCH TIME: 00.00.01

L3           579 SEA SSS FUL L1

=> fil capl

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

191.54

191.76

FILE 'CAPLUS' ENTERED AT 15:45:49 ON 22 JUL 2010

USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.

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FILE COVERS 1907 - 22 Jul 2010 VOL 153 ISS 4  
FILE LAST UPDATED: 21 Jul 2010 (20100721/ED)  
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Apr 2010  
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Apr 2010

CPlus now includes complete International Patent Classification (IPC) reclassification data for the second quarter of 2010.

CAS Information Use Policies apply and are available at:

<http://www.cas.org/legal/infopolicy.html>

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 13

L4 41 L3

=> s 14 not (2010/so or 2009/so or 2008/so or 2007/so or 2006/so or 2005/so)

471899 2010/SO

1018394 2009/SO

1008798 2008/SO

1000575 2007/SO

951525 2006/SO

886676 2005/SO

L5 28 L4 NOT (2010/SO OR 2009/SO OR 2008/SO OR 2007/SO OR 2006/SO OR 2005/SO)

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L5 ANSWER 1 OF 28 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2010:437085 CAPLUS

DOCUMENT NUMBER: 152:422257

TITLE: Flavivirus inhibitors and methods for their use

INVENTOR(S): Padmanabhan, Radhakrishnan; Pattabiraman, Nagarajan; Mueller, Niklaus; Nagarajan, Kuppuswamy

PATENT ASSIGNEE(S): Georgetown University, USA

SOURCE: PCT Int. Appl., 67pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2010039538	A2	20100408	WO 2009-US58048	20090923
W:	AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CL, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PE, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MK, MT, NL, NO, PL, PT, RO, SE, SI, SK, SM, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			

PRIORITY APPLN. INFO.: US 2008-99411P P 20080923

OTHER SOURCE(S): MARPAT 152:422257

AB Methods of treating, preventing, and/or ameliorating a Flavivirus infection in a subject are disclosed. The methods comprise administering to the subject a therapeutically effective amount of a Flavivirus inhibitor, e.g., a Flavivirus serine protease inhibitor. These methods are useful in treating, preventing, and/or ameliorating Flavivirus infections such as, for example, West Nile Virus, Dengue Virus, and Japanese Encephalitis Virus.

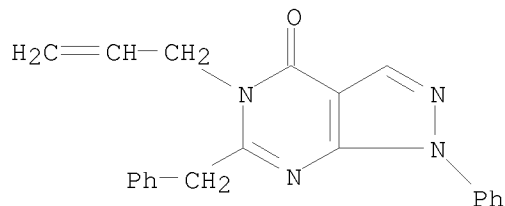
IT 301322-64-5

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(Flavivirus inhibitors and methods for their use in relation to Flavivirus serine protease inhibition)

RN 301322-64-5 CAPLUS

CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,  
1,5-dihydro-1-phenyl-6-(phenylmethyl)-5-(2-propen-1-yl)- (CA INDEX NAME)



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L5 ANSWER 2 OF 28 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2010:51662 CAPLUS

DOCUMENT NUMBER: 152:168983

TITLE: Benzamides, pyridopyrimidines and related compounds as antiinfective compounds and their preparation and use in the treatment of tuberculosis

INVENTOR(S): Brodin, Priscille; Christophe, Thierry; No, Zaesung; Kim, Jaeseung; Genovesio, Auguste; Fenistein, Denis; Philippe Cedric; Jeon, Heekyoung; Ewann, Fanny Anne; Kang, Sunhee; Lee, Saeyeon; Seo, Min Jung; Park, Eunjung; Contreras Dominguez, Monica; Nam, Ji Youn; Kim, Eun Hye

PATENT ASSIGNEE(S): Institut Pasteur Korea, S. Korea; Institut National de la Sante et de la Recherche Medicale

SOURCE: PCT Int. Appl., 328pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

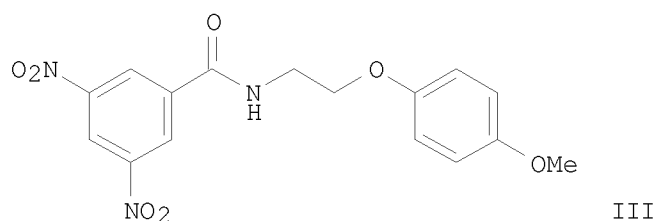
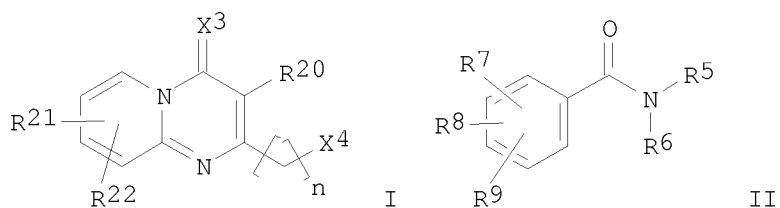
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WO 2010003533	A2	20100114	WO 2009-EP4379	20090617
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RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MK, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			

PRIORITY APPLN. INFO.: US 2008-132285P P 20080617

OTHER SOURCE(S): MARPAT 152:168983

GI





AB The invention relates to small mol. compds. of formula I and II and their use in the treatment of bacterial infections, in particular tuberculosis. Compds. of formula I and II wherein n is 0, 1, 2 and 3; X3 is CH<sub>2</sub>, O, S, and NH; X4 is halo, alkyl, acyloxy, alkoxy, aminoalkoxy, alkyleneoxy, alkylthio, etc.; R20 is acyl, alkoxy, alkyl, alkylamino, etc.; R21 and R22 are independently alkoxy, alkyl, alkylamino, alkylene, alkylthio, etc.; R5 and R6 are independently acyl, alkyl, alkylamino, alkylene, alkylthio, alkynyl, etc.; R7, R8 and R9 are independently alkoxy, alkyl, alkylamino, alkylene, alkylthio, etc.; are claimed. Example compound III was prepared by a general procedure (procedure given). All the invention compds. were evaluated for their antiinfective activity (data given).

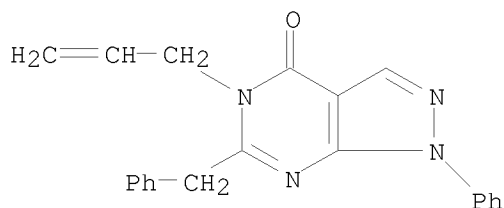
IT 301322-64-5

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(preparation of benzamides, pyridopyrimidines and related compds. as antiinfective compds.)

RN 301322-64-5 CAPLUS

CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,  
1,5-dihydro-1-phenyl-6-(phenylmethyl)-5-(2-propen-1-yl)- (CA INDEX NAME)



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L5 ANSWER 3 OF 28 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2009:875996 CAPLUS

DOCUMENT NUMBER: 151:115084

TITLE: Method using lifespan-altering compounds for altering the lifespan of eukaryotic organisms, and screening for such compounds

INVENTOR(S): Goldfarb, David Scott

PATENT ASSIGNEE(S): University of Rochester, USA

SOURCE: U.S. Pat. Appl. Publ., 57pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 20

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20090163545	A1	20090625	US 2008-341615	20081222
US 20090163545	A1	20090625	US 2008-341615	20081222
PRIORITY APPLN. INFO.:			US 2008-23801P	P 20080125
			US 2007-16362P	P 20071221
			US 2008-341615	20081222

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

AB The invention discloses a method for altering the lifespan of a eukaryotic organism. The method comprises the steps of providing a lifespan-altering compound, and administering an effective amount of the compound to a eukaryotic organism, such that the lifespan of the organism is altered. In one embodiment, the compound is identified using the DeaD assay. [This abstract record is one of 20 records for this document necessitated by the large number of index entries required to fully index the document and publication system constraints.]

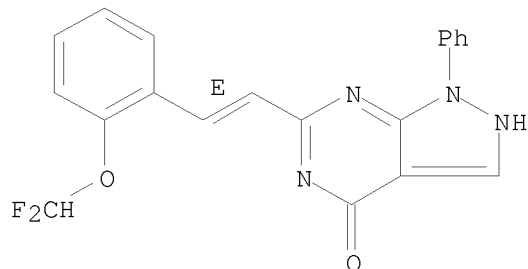
IT 1164488-36-1

RL: PAC (Pharmacological activity); BIOL (Biological study)  
(method using lifespan-altering compds. for altering lifespan of eukaryotic organisms, and screening for such compds.)

RN 1164488-36-1 CAPLUS

CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,  
6-[(1E)-2-[2-(difluoromethoxy)phenyl]ethenyl]-1,2-dihydro-1-phenyl- (CA INDEX NAME)

Double bond geometry as shown.



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L5 ANSWER 4 OF 28 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2009:846112 CAPLUS

DOCUMENT NUMBER: 151:92849

TITLE: Method using lifespan-altering compounds for altering the lifespan of eukaryotic organisms, and screening for such compounds

INVENTOR(S): Goldfarb, David Scott

PATENT ASSIGNEE(S): University of Rochester, USA

SOURCE: U.S. Pat. Appl. Publ., 57pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 20

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20090163545	A1	20090625	US 2008-341615	20081222
US 20090163545	A1	20090625	US 2008-341615	20081222
PRIORITY APPLN. INFO.:			US 2008-23801P	P 20080125
			US 2007-16362P	P 20071221
			US 2008-341615	20081222

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

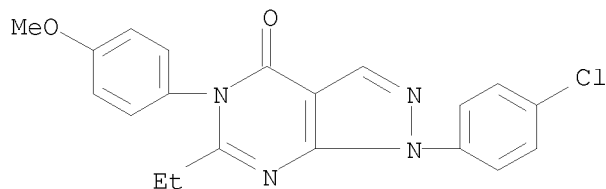
AB The invention discloses a method for altering the lifespan of a eukaryotic organism. The method comprises the steps of providing a lifespan-altering compound, and administering an effective amount of the compound to a eukaryotic organism, such that the lifespan of the organism is altered. In one embodiment, the compound is identified using the DeaD assay. [This abstract record is one of 20 records for this document necessitated by the large number of index entries required to fully index the document and publication system constraints.]

IT 901043-30-9

RL: PAC (Pharmacological activity); BIOL (Biological study)  
(method using lifespan-altering compds. for altering lifespan of eukaryotic organisms, and screening for such compds.)

RN 901043-30-9 CAPLUS

CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,  
1-(4-chlorophenyl)-6-ethyl-1,5-dihydro-5-(4-methoxyphenyl)- (CA INDEX NAME)



10556224

L5 ANSWER 5 OF 28 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2009:846110 CAPLUS

DOCUMENT NUMBER: 151:92847

TITLE: Method using lifespan-altering compounds for altering the lifespan of eukaryotic organisms, and screening for such compounds

INVENTOR(S): Goldfarb, David Scott

PATENT ASSIGNEE(S): University of Rochester, USA

SOURCE: U.S. Pat. Appl. Publ., 57pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 20

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20090163545	A1	20090625	US 2008-341615	20081222
US 20090163545	A1	20090625	US 2008-341615	20081222
PRIORITY APPLN. INFO.:			US 2008-23801P	P 20080125
			US 2007-16362P	P 20071221
			US 2008-341615	20081222

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

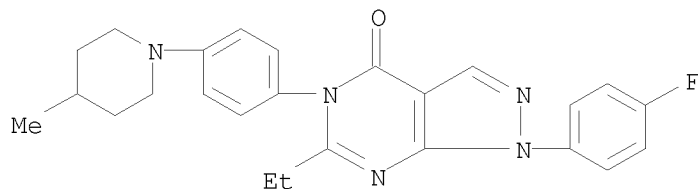
AB The invention discloses a method for altering the lifespan of a eukaryotic organism. The method comprises the steps of providing a lifespan-altering compound, and administering an effective amount of the compound to a eukaryotic organism, such that the lifespan of the organism is altered. In one embodiment, the compound is identified using the DeaD assay. [This abstract record is one of 20 records for this document necessitated by the large number of index entries required to fully index the document and publication system constraints.]

IT 901042-68-0

RL: PAC (Pharmacological activity); BIOL (Biological study)  
(method using lifespan-altering compds. for altering lifespan of eukaryotic organisms, and screening for such compds.)

RN 901042-68-0 CAPLUS

CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,  
6-ethyl-1-(4-fluorophenyl)-1,5-dihydro-5-[4-(4-methyl-1-piperidinyl)phenyl]- (CA INDEX NAME)



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L5 ANSWER 6 OF 28 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2009:846101 CAPLUS

DOCUMENT NUMBER: 151:92838

TITLE: Method using lifespan-altering compounds for altering the lifespan of eukaryotic organisms, and screening for such compounds

INVENTOR(S): Goldfarb, David Scott

PATENT ASSIGNEE(S): University of Rochester, USA

SOURCE: U.S. Pat. Appl. Publ., 57pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 20

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20090163545	A1	20090625	US 2008-341615	20081222
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PRIORITY APPLN. INFO.:			US 2008-23801P	P 20080125
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ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

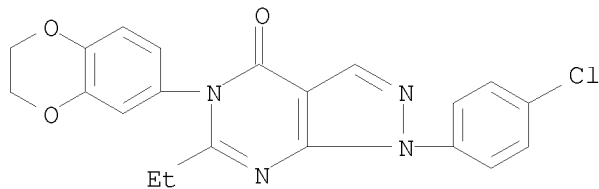
AB The invention discloses a method for altering the lifespan of a eukaryotic organism. The method comprises the steps of providing a lifespan-altering compound, and administering an effective amount of the compound to a eukaryotic organism, such that the lifespan of the organism is altered. In one embodiment, the compound is identified using the DeaD assay. [This abstract record is one of 20 records for this document necessitated by the large number of index entries required to fully index the document and publication system constraints.]

IT 901043-60-5

RL: PAC (Pharmacological activity); BIOL (Biological study)  
(method using lifespan-altering compds. for altering lifespan of eukaryotic organisms, and screening for such compds.)

RN 901043-60-5 CAPLUS

CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,  
1-(4-chlorophenyl)-5-(2,3-dihydro-1,4-benzodioxin-6-yl)-6-ethyl-1,5-dihydro- (CA INDEX NAME)



10556224

L5 ANSWER 7 OF 28 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2009:672279 CAPLUS

DOCUMENT NUMBER: 151:33617

TITLE: Preparation of

1,5-dihydropyrazolo[3,4-d]pyrimidin-4-one derivatives  
as PDE9A modulators for the treatment of CNS disorders

INVENTOR(S): Eickmeier, Christian; Doerner-Ciossek, Cornelia;  
Fiegen, Dennis; Fox, Thomas; Fuchs, Klaus; Giovannini,  
Riccardo; Heine, Niklas; Hendrix, Martin; Rosenbrock,  
Holger; Schaenzle, Gerhard

PATENT ASSIGNEE(S): Boehringer Ingelheim International GmbH, Germany

SOURCE: PCT Int. Appl., 109pp.

CODEN: PIXXD2

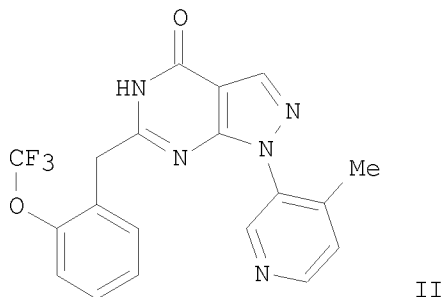
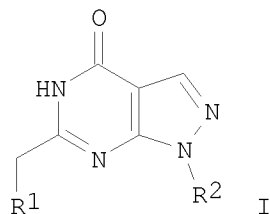
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2009068617	A1	20090604	WO 2008-EP66350	20081127
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RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
CA 2706018	A1	20090604	CA 2008-2706018	20081127
PRIORITY APPLN. INFO.:			EP 2007-425764	A 20071130
			EP 2008-163548	A 20080903
			EP 2008-169282	A 20081117
			WO 2008-EP66350	W 20081127
OTHER SOURCE(S):			CASREACT 151:33617; MARPAT 151:33617	
GI				



AB The title compds. I [R1 = (un)substituted Ph or pyridyl; R2 = (un)substituted Ph or heteroaryl], useful for the manufacture of medicaments, in particular medicaments for improving perception, concentration, learning and/or memory in patients, were prepared and formulated. Thus, reacting 5-amino-1-(4-methylpyridin-3-yl)-1H-pyrazole-4-carboxamide with Me 2-trifluoromethoxyphenylacetate, afforded 72% II which showed 99% inhibition of PDE9A at 10  $\mu$ M.

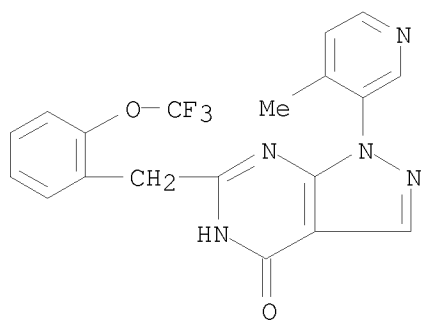
IT 1159677-46-9P 1159677-47-0P 1159677-49-2P  
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 1159677-92-5P 1159677-93-6P 1159677-94-7P  
 1159677-96-9P 1159677-97-0P 1159677-98-1P  
 1159677-99-2P 1159678-01-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of novel 1,5-dihydropyrazolo[3,4-d]pyrimidin-4-ones as PDE9A modulators useful in treatment and prophylaxis CNS disorders)

RN 1159677-46-9 CAPLUS

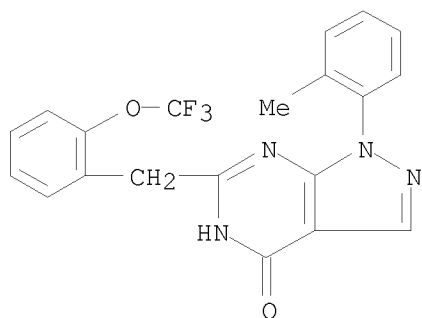
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,  
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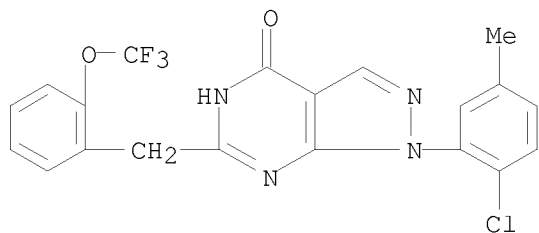
RN 1159677-47-0 CAPLUS

CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,  
 1,5-dihydro-1-(2-methylphenyl)-6-[[2-(trifluoromethoxy)phenyl]methyl]- (CA INDEX NAME)

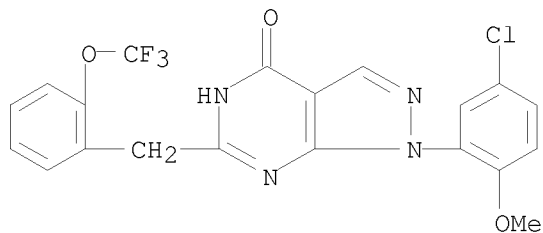
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RN 1159677-49-2 CAPLUS  
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,  
1-((2-chloro-5-methylphenyl)methyl)-1,5-dihydro-6-([2-(trifluoromethoxy)phenyl]methyl)- (CA INDEX NAME)



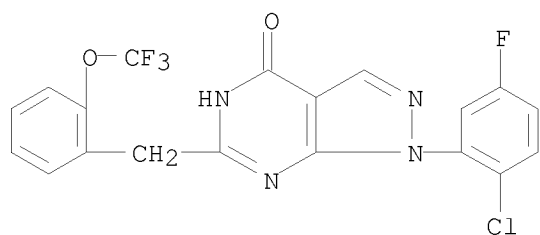
RN 1159677-50-5 CAPLUS  
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,  
1-((5-chloro-2-methoxyphenyl)methyl)-1,5-dihydro-6-([2-(trifluoromethoxy)phenyl]methyl)- (CA INDEX NAME)



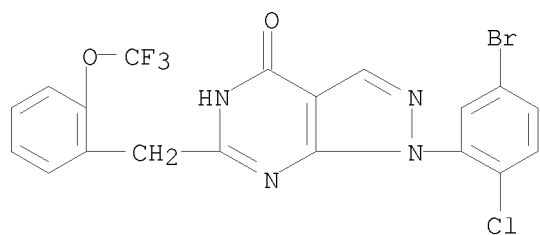
RN 1159677-51-6 CAPLUS  
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,  
1-((2-chloro-5-fluorophenyl)methyl)-1,5-dihydro-6-([2-(trifluoromethoxy)phenyl]methyl)- (CA INDEX NAME)



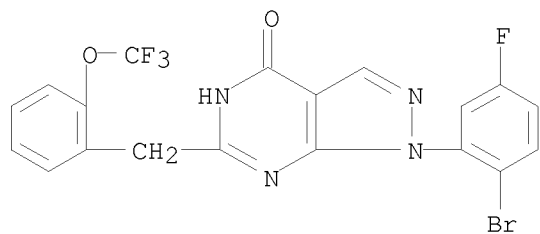
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RN 1159677-52-7 CAPLUS  
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,  
1-(5-bromo-2-chlorophenyl)-1,5-dihydro-6-[[2-(trifluoromethoxy)phenyl]methyl]- (CA INDEX NAME)

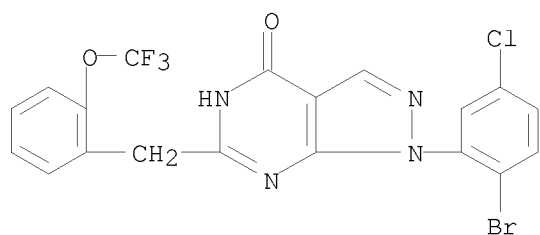


RN 1159677-53-8 CAPLUS  
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,  
1-(2-bromo-5-fluorophenyl)-1,5-dihydro-6-[[2-(trifluoromethoxy)phenyl]methyl]- (CA INDEX NAME)

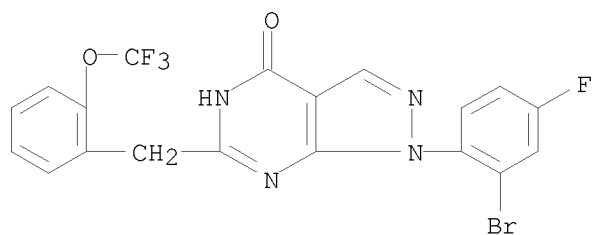


RN 1159677-54-9 CAPLUS  
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,  
1-(2-bromo-5-chlorophenyl)-1,5-dihydro-6-[[2-(trifluoromethoxy)phenyl]methyl]- (CA INDEX NAME)

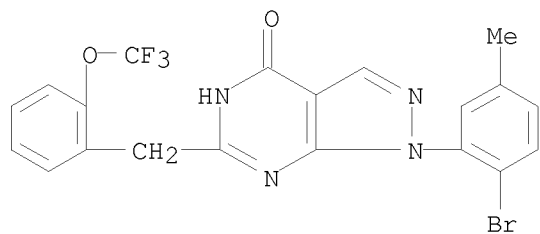
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RN 1159677-55-0 CAPLUS  
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,  
1-(2-bromo-4-fluorophenyl)-1,5-dihydro-6-[[2-(trifluoromethoxy)phenyl]methyl]- (CA INDEX NAME)

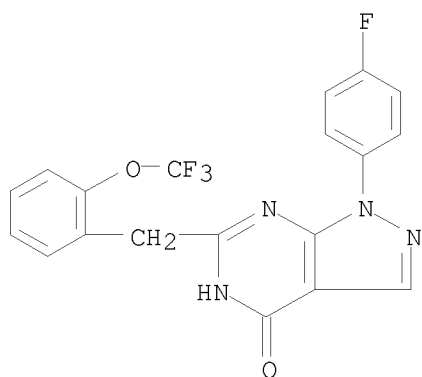


RN 1159677-56-1 CAPLUS  
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,  
1-(2-bromo-5-methylphenyl)-1,5-dihydro-6-[[2-(trifluoromethoxy)phenyl]methyl]- (CA INDEX NAME)

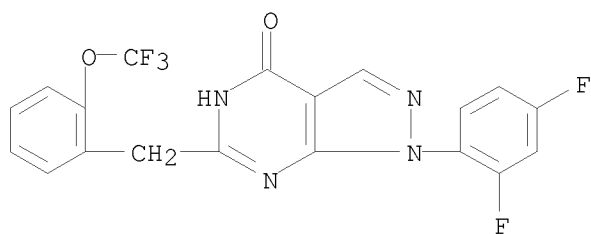


RN 1159677-57-2 CAPLUS  
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,  
1-(4-fluorophenyl)-1,5-dihydro-6-[[2-(trifluoromethoxy)phenyl]methyl]-  
(CA INDEX NAME)

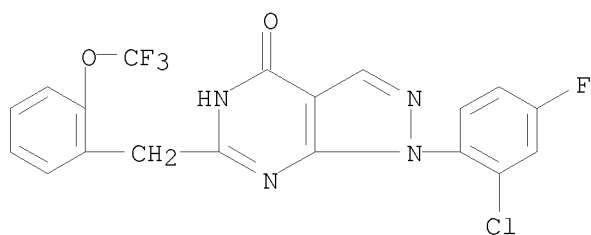
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RN 1159677-58-3 CAPLUS  
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,  
1-(2,4-difluorophenyl)-1,5-dihydro-6-[[2-(trifluoromethoxy)phenyl]methyl]-  
(CA INDEX NAME)

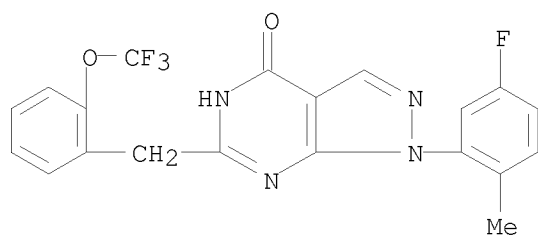


RN 1159677-59-4 CAPLUS  
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,  
1-(2-chloro-4-fluorophenyl)-1,5-dihydro-6-[[2-(trifluoromethoxy)phenyl]methyl]-  
(CA INDEX NAME)

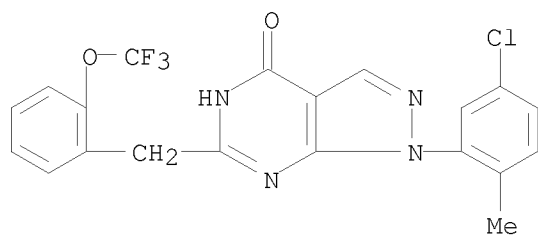


RN 1159677-60-7 CAPLUS  
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,  
1-(5-fluoro-2-methylphenyl)-1,5-dihydro-6-[[2-(trifluoromethoxy)phenyl]methyl]-  
(CA INDEX NAME)

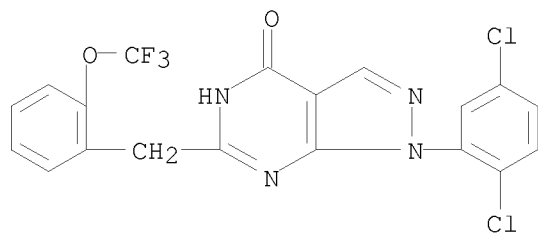
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RN 1159677-61-8 CAPLUS  
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,  
1-(5-chloro-2-methylphenyl)-1,5-dihydro-6-[[2-(trifluoromethoxy)phenyl]methyl]- (CA INDEX NAME)

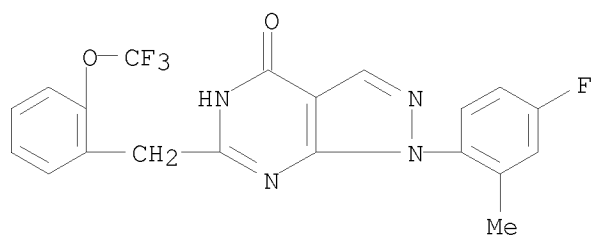


RN 1159677-62-9 CAPLUS  
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,  
1-(2,5-dichlorophenyl)-1,5-dihydro-6-[[2-(trifluoromethoxy)phenyl]methyl]- (CA INDEX NAME)

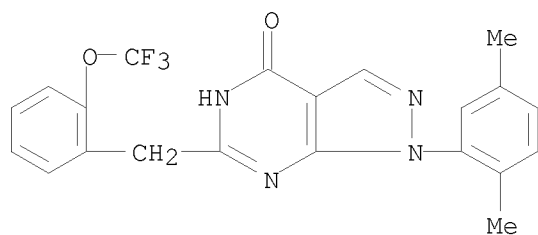


RN 1159677-63-0 CAPLUS  
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,  
1-(4-fluoro-2-methylphenyl)-1,5-dihydro-6-[[2-(trifluoromethoxy)phenyl]methyl]- (CA INDEX NAME)

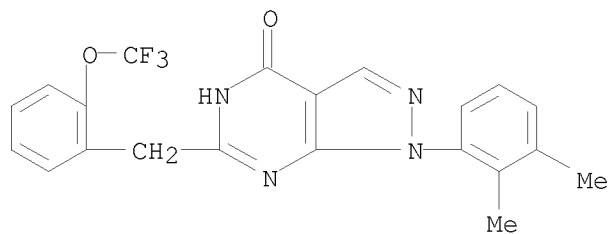
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RN 1159677-65-2 CAPLUS  
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,  
1-(2,5-dimethylphenyl)-1,5-dihydro-6-[[2-(trifluoromethoxy)phenyl]methyl]-  
(CA INDEX NAME)

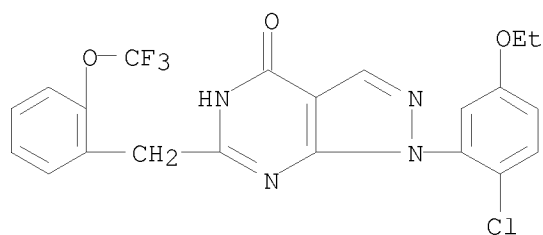


RN 1159677-67-4 CAPLUS  
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,  
1-(2,3-dimethylphenyl)-1,5-dihydro-6-[[2-(trifluoromethoxy)phenyl]methyl]-  
(CA INDEX NAME)

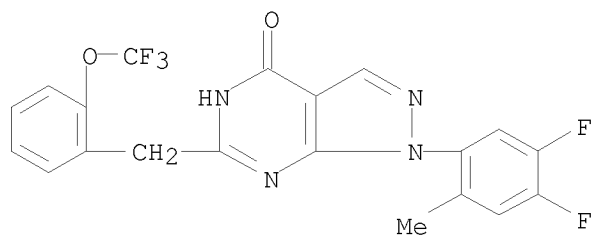


RN 1159677-70-9 CAPLUS  
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,  
1-(2-chloro-5-ethoxyphenyl)-1,5-dihydro-6-[[2-(trifluoromethoxy)phenyl]methyl]-  
(CA INDEX NAME)

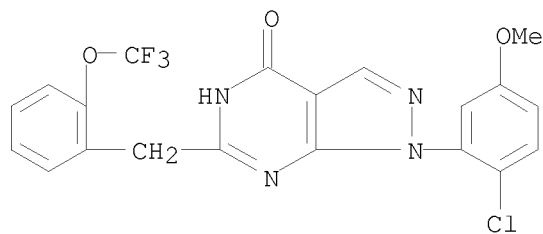
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RN 1159677-71-0 CAPLUS  
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,  
1-((2-chloro-4-ethoxyphenyl)hydrazono)-4-((2-(trifluoromethoxy)phenyl)methyl)- (CA INDEX NAME)

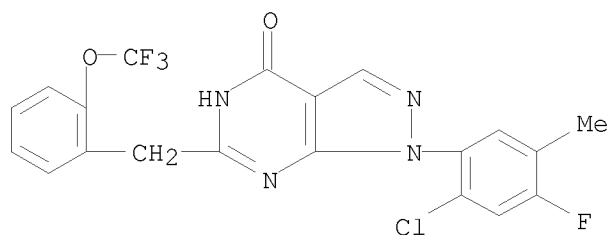


RN 1159677-73-2 CAPLUS  
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,  
1-((2-chloro-5-methoxyphenyl)hydrazono)-4-((2-(trifluoromethoxy)phenyl)methyl)- (CA INDEX NAME)

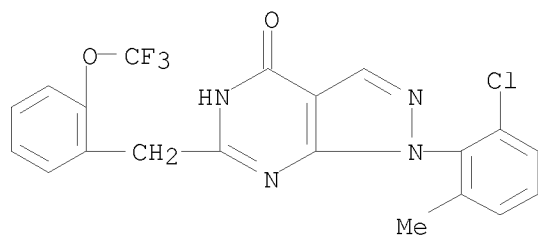


RN 1159677-75-4 CAPLUS  
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,  
1-((2-chloro-4-fluoro-5-methylphenyl)hydrazono)-4-((2-(trifluoromethoxy)phenyl)methyl)- (CA INDEX NAME)

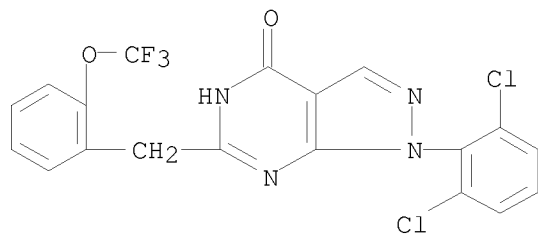
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RN 1159677-76-5 CAPLUS  
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,  
1-(2-chloro-6-methylphenyl)-1,5-dihydro-6-[[2-(trifluoromethoxy)phenyl]methyl]- (CA INDEX NAME)

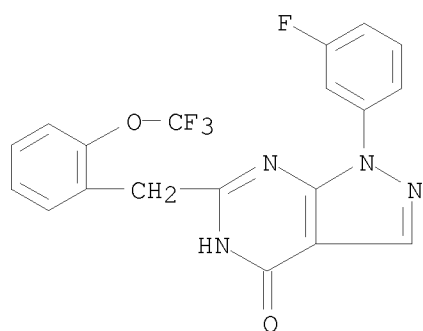


RN 1159677-78-7 CAPLUS  
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,  
1-(2,6-dichlorophenyl)-1,5-dihydro-6-[[2-(trifluoromethoxy)phenyl]methyl]- (CA INDEX NAME)

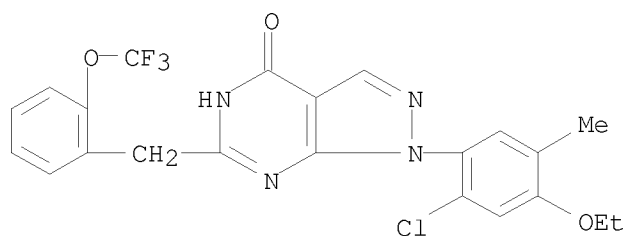


RN 1159677-79-8 CAPLUS  
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,  
1-(3-fluorophenyl)-1,5-dihydro-6-[[2-(trifluoromethoxy)phenyl]methyl]- (CA INDEX NAME)

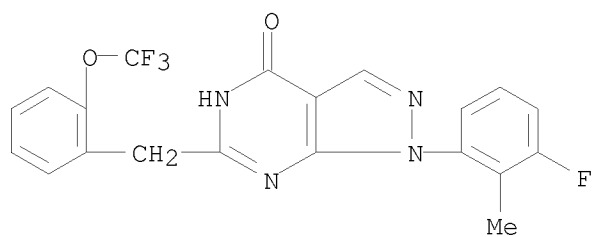
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RN 1159677-80-1 CAPLUS  
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,  
1-(2-chloro-4-ethoxy-5-methylphenyl)-1,5-dihydro-6-[[2-(trifluoromethoxy)phenyl]methyl]- (CA INDEX NAME)



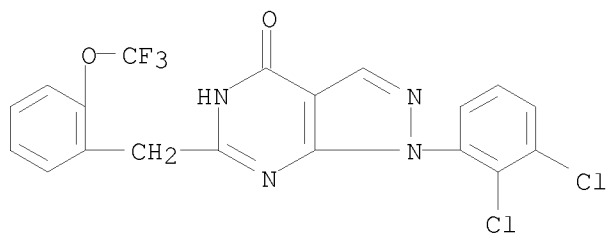
RN 1159677-81-2 CAPLUS  
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,  
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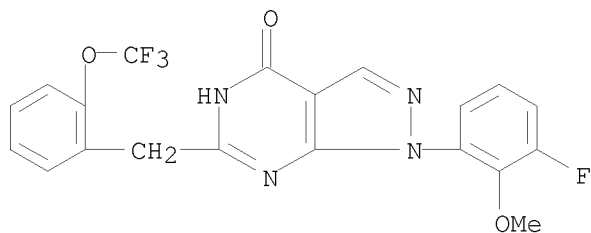
RN 1159677-82-3 CAPLUS  
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,  
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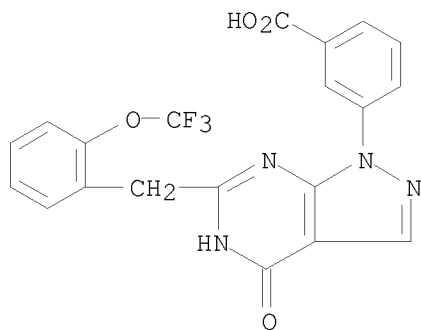
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RN 1159677-84-5 CAPLUS  
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,  
1-(3-fluoro-2-methoxyphenyl)-1,5-dihydro-6-[[2-(trifluoromethoxy)phenyl]methyl]- (CA INDEX NAME)

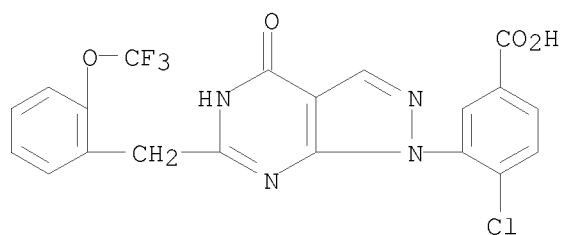


RN 1159677-85-6 CAPLUS  
CN Benzoic acid, 3-[4,5-dihydro-4-oxo-6-[[2-(trifluoromethoxy)phenyl]methyl]-1H-pyrazolo[3,4-d]pyrimidin-1-yl]- (CA INDEX NAME)

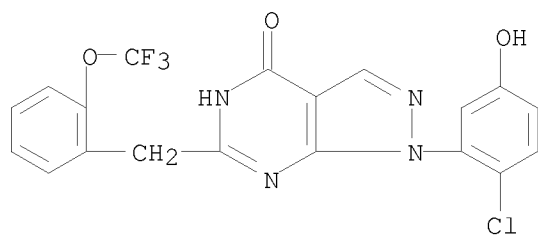


RN 1159677-86-7 CAPLUS  
CN Benzoic acid, 4-chloro-3-[4,5-dihydro-4-oxo-6-[[2-(trifluoromethoxy)phenyl]methyl]-1H-pyrazolo[3,4-d]pyrimidin-1-yl]- (CA INDEX NAME)

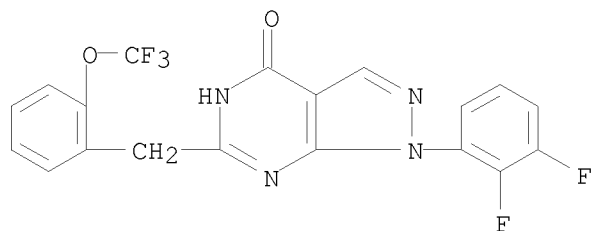
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RN 1159677-87-8 CAPLUS  
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,  
1-(2-chloro-5-hydroxyphenyl)-1,5-dihydro-6-[[2-(trifluoromethoxy)phenyl]methyl]- (CA INDEX NAME)

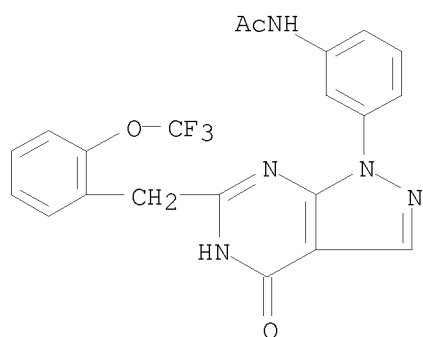


RN 1159677-88-9 CAPLUS  
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,  
1-(2,3-difluorophenyl)-1,5-dihydro-6-[[2-(trifluoromethoxy)phenyl]methyl]- (CA INDEX NAME)

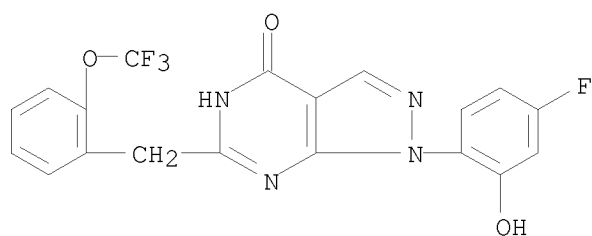


RN 1159677-89-0 CAPLUS  
CN Acetamide, N-[3-[4,5-dihydro-4-oxo-6-[[2-(trifluoromethoxy)phenyl]methyl]-1H-pyrazolo[3,4-d]pyrimidin-1-yl]phenyl]- (CA INDEX NAME)

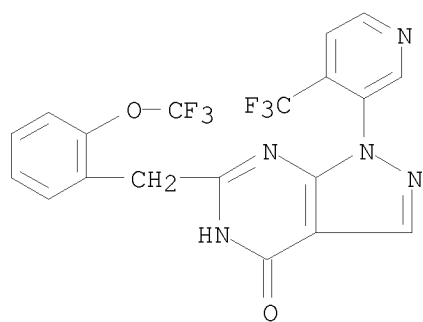
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RN 1159677-91-4 CAPLUS  
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,  
1-(4-fluoro-2-hydroxyphenyl)-1,5-dihydro-6-[[2-(trifluoromethoxy)phenyl]methyl]- (CA INDEX NAME)

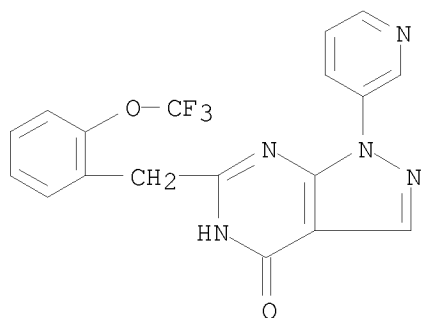


RN 1159677-92-5 CAPLUS  
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,  
1,5-dihydro-6-[[2-(trifluoromethoxy)phenyl]methyl]-1-[4-(trifluoromethyl)-3-pyridinyl]- (CA INDEX NAME)

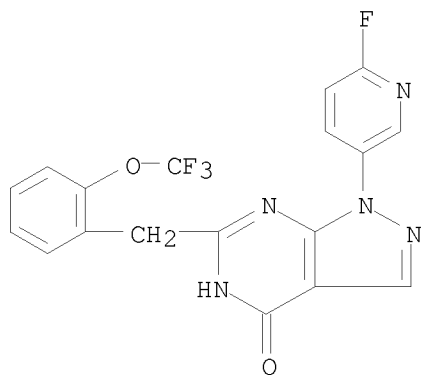


RN 1159677-93-6 CAPLUS  
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,  
1,5-dihydro-1-(3-pyridinyl)-6-[[2-(trifluoromethoxy)phenyl]methyl]- (CA INDEX NAME)

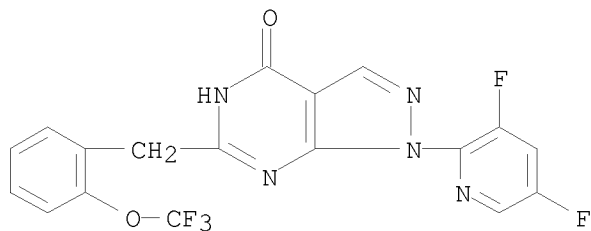
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RN 1159677-94-7 CAPLUS  
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,  
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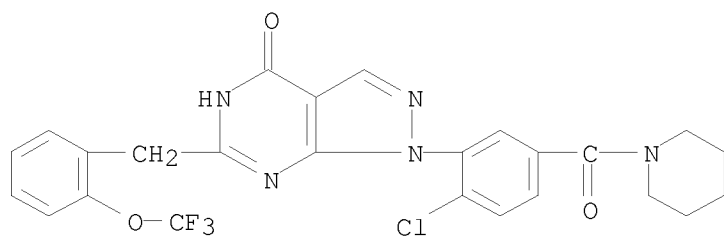


RN 1159677-96-9 CAPLUS  
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,  
1-(3,5-difluoro-2-pyridinyl)-1,5-dihydro-6-[[2-(trifluoromethoxy)phenyl]methyl]- (CA INDEX NAME)

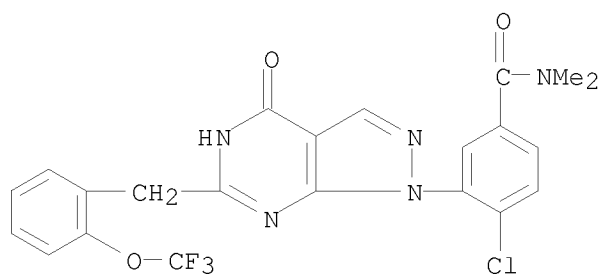


RN 1159677-97-0 CAPLUS  
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,  
1-[2-chloro-5-(1-piperidinylcarbonyl)phenyl]-1,5-dihydro-6-[[2-(trifluoromethoxy)phenyl]methyl]- (CA INDEX NAME)

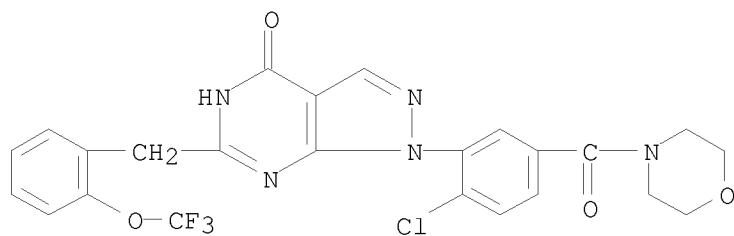
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RN 1159677-98-1 CAPLUS  
CN Benzamide, 4-chloro-3-[4,5-dihydro-4-oxo-6-[[2-(trifluoromethoxy)phenyl]methyl]-1H-pyrazolo[3,4-d]pyrimidin-1-yl]-N,N-dimethyl- (CA INDEX NAME)

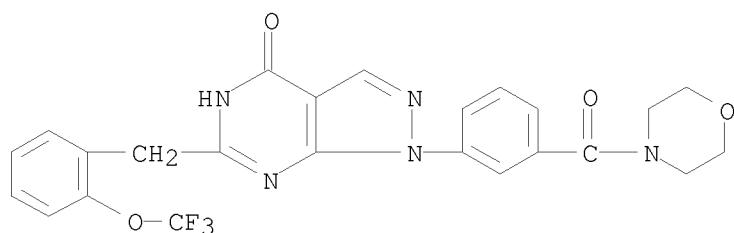


RN 1159677-99-2 CAPLUS  
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1-[2-chloro-5-(4-morpholinylcarbonyl)phenyl]-1,5-dihydro-6-[[2-(trifluoromethoxy)phenyl]methyl]- (CA INDEX NAME)

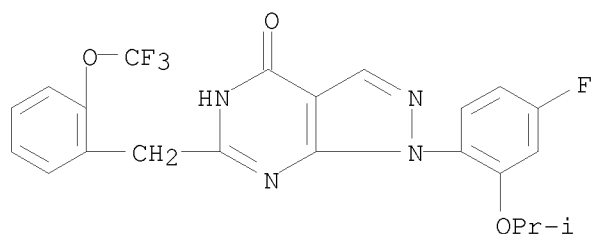


RN 1159678-01-9 CAPLUS  
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1,5-dihydro-1-[3-(4-morpholinylcarbonyl)phenyl]-6-[[2-(trifluoromethoxy)phenyl]methyl]- (CA INDEX NAME)

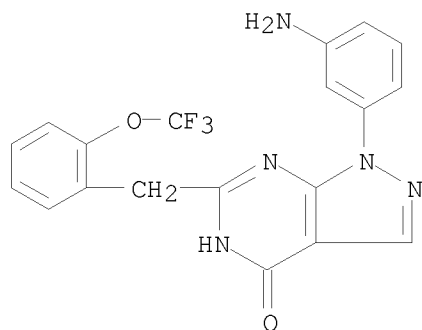
10556224



IT 1159679-06-7P 1159679-09-0P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(preparation of novel 1,5-dihydropyrazolo[3,4-d]pyrimidin-4-ones as PDE9A  
modulators useful in treatment and prophylaxis CNS disorders)  
RN 1159679-06-7 CAPLUS  
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,  
1-[4-fluoro-2-(1-methylethoxy)phenyl]-1,5-dihydro-6-[[2-  
(trifluoromethoxy)phenyl]methyl]- (CA INDEX NAME)



RN 1159679-09-0 CAPLUS  
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,  
1-(3-aminophenyl)-1,5-dihydro-6-[[2-(trifluoromethoxy)phenyl]methyl]- (CA  
INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

10556224

L5 ANSWER 8 OF 28 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2009:404853 CAPLUS

DOCUMENT NUMBER: 150:423209

TITLE: Method for preparation of pyrazole[3,4-d]pyrimidinone

INVENTOR(S): Zhong, Ping; Lin, Qiulian; Tang, Riyuan; Luo, Yi; Luo, Peisong

PATENT ASSIGNEE(S): Wenzhou University, Peop. Rep. China

SOURCE: Faming Zhuanli Shenqing Gongkai Shuomingshu, 7pp.

CODEN: CNXXEV

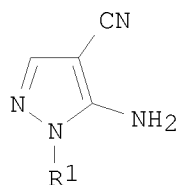
DOCUMENT TYPE: Patent

LANGUAGE: Chinese

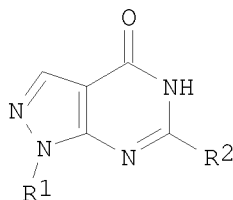
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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CN 101397299	A	20090401	CN 2007-10181063	20070929
PRIORITY APPLN. INFO.:			CN 2007-10181063	20070929
OTHER SOURCE(S):			CASREACT 150:423209; MARPAT 150:423209	
GI				



I



II

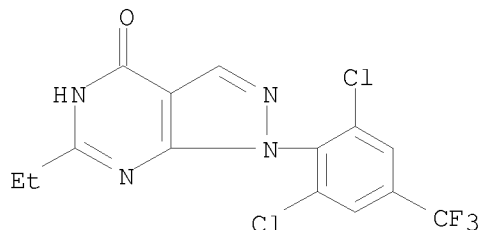
AB The claimed pyrazole[3,4-d]pyrimidinone I (R<sub>2</sub> = H, Me, Et) was prepared from 5-amino-4-cyano-pyrazole II (R<sub>1</sub> = H, alkyl, or aryl) and carboxylic acid in the presence of POCl<sub>3</sub> as catalyst via cyclocondensation in one step to provide the title product. This method has simple operation, moderate condition, short reaction time, convenient post treatment, and high yield.

IT 1142408-68-1P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of pyrazolepyrimidinone by cyclocondensation of aminocyanopyrazole and carboxylic acid)

RN 1142408-68-1 CAPLUS

CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,  
1-[2,6-dichloro-4-(trifluoromethyl)phenyl]-6-ethyl-1,5-dihydro- (CA INDEX NAME)



10556224

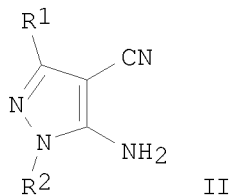
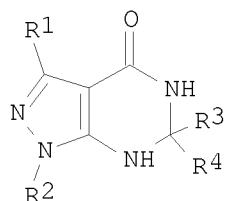
OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD  
(1 CITINGS)



10556224

L5 ANSWER 9 OF 28 CAPLUS COPYRIGHT 2010 ACS on STN  
ACCESSION NUMBER: 2008:720343 CAPLUS  
DOCUMENT NUMBER: 149:128843  
TITLE: Novel method for synthesizing  
pyrazolo[3,4-d]pyrimidin-4(5H)-one derivative from  
3-amino-4-cyano-1H-pyrazole derivative  
INVENTOR(S): Li, Jiarong; Zhang, Lijun; Shi, Daxin; Wang, Chunxia;  
Li, Qing; Wang, Dong; Zhang, Qi; Zhang, Ling; Fan,  
Yanqiu  
PATENT ASSIGNEE(S): Beijing Institute of Technology, Peop. Rep. China  
SOURCE: Faming Zhuanli Shenqing Gongkai Shuomingshu, 10pp.  
CODEN: CNXXEV  
DOCUMENT TYPE: Patent  
LANGUAGE: Chinese  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CN 101195626	A	20080611	CN 2007-10304271	20071226
PRIORITY APPLN. INFO.:			CN 2007-10304271	20071226
OTHER SOURCE(S):	CASREACT 149:128843; MARPAT 149:128843			
GI				



AB The title pyrazolo[3,4-d]pyrimidin-4(5H)-one derivative I (wherein, R1 and/or R2 = aryl, alkyl, halo, NO2, NO, or alkoxy; and R3 and/or R4 = alkyl, cycloalkyl, or arylalkyl) is prepared by the reaction of 3-amino-4-cyano-1H-pyrazole derivative II with ketone R3COR4 in the presence of catalyst under conventional heating and purified by crystallization or column

chromatog. The catalyst is Lewis acid, Bronsted acid, or base, preferably ZnCl2, AlCl3, CuCl2, CuCl, HCl, H2SO4, pyridine, piperidine, Na2CO3, NaOH, KOH, Na alkoxide, or K alkoxide. The inventive method has the advantages of easily-available raw materials, simple process, mild reaction condition, and wide applicable range.

IT 1035893-75-4P

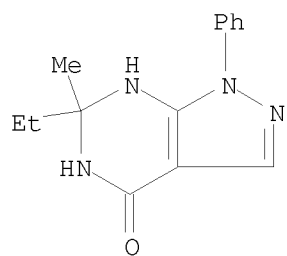
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)

(synthesis of pyrazolopyrimidinone by reaction of 3-amino-4-cyanopyrazole with ketone in presence of Lewis acid, Bronsted acid, or base)

RN 1035893-75-4 CAPLUS

CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,  
6-ethyl-1,5,6,7-tetrahydro-6-methyl-1-phenyl- (CA INDEX NAME)

10556224



10556224

L5 ANSWER 10 OF 28 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2008:256115 CAPLUS

DOCUMENT NUMBER: 148:285203

TITLE: Benzene, pyridine, and pyridazine derivatives as  
HSP-90 inhibitors and their preparation,  
pharmaceutical compositions and use in the treatment  
of proliferative diseases

INVENTOR(S): Huang, Kenneth He; Mangette, John; Barta, Thomas;  
Hughes, Philip; Hall, Steven E.; Veal, James

PATENT ASSIGNEE(S): Serenex, Inc., USA

SOURCE: PCT Int. Appl., 432 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

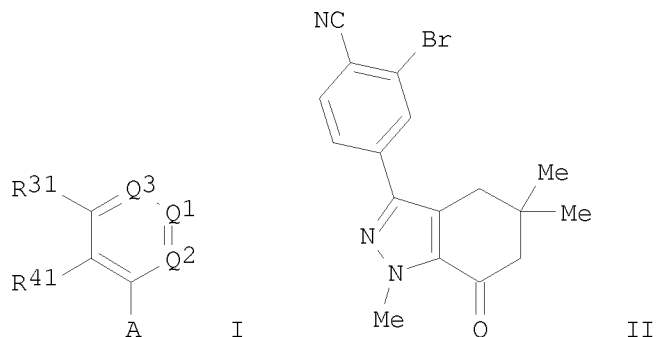
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2008024978	A2	20080228	WO 2007-US76770	20070824
WO 2008024978	A3	20080821		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA			
US 20080119457	A1	20080522	US 2007-844816	20070824

PRIORITY APPLN. INFO.: US 2006-823414P P 20060824

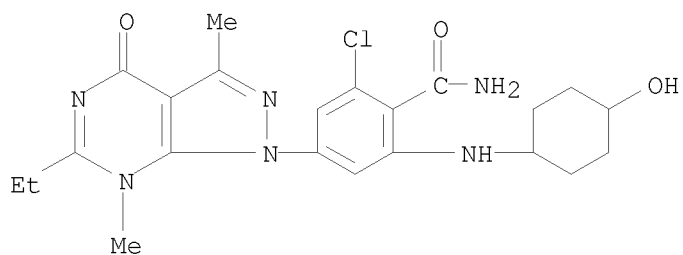
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 148:285203

GI

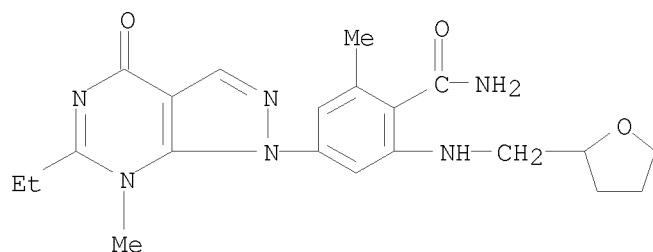


- AB Disclosed are compds. and pharmaceutically acceptable salts of formula I. Compds. of formula I are useful in the treatment of diseases and/or conditions related to cell proliferation, such as cancer, inflammation, arthritis, angiogenesis, or the like. Also disclosed are pharmaceutical compns. comprising compds. of the invention and methods of treating the aforementioned conditions using such compds. Compds. of formula I wherein Q1, Q2 and Q3 are independently N and CR<sub>x</sub>, provided that no more than two of Q1, Q2 and Q3 are N; each R<sub>x</sub> is independently H, halo, (hetero)aryl, C1-6 (halo)alkyl, etc.; A is (un)substituted (hetero)bicyclic derivative and (un)substituted 5-membered (hetero)cyclic ring; R31 and R41 are independently H, halo, C1-15 (hetero)alkyl, etc.; and their pharmaceutically acceptable salts thereof, are claimed. Example compound II was prepared by epoxidn. of 4,4-dimethylcyclohex-2-enone; the resulting 5,5-dimethyl-7-oxabicyclo[4.1.0]heptan-2-one underwent addition of methanol followed by elimination to give 2-methoxy-4,4-dimethylcyclohex-2-enone, which underwent acylation with 3-bromo-4-cyanobenzoyl chloride to give 2-bromo-4-(3-methoxy-5,5-dimethyl-2-oxocyclohex-3-enecarbonyl)benzonitrile, which underwent cyclization with methylhydrazine to give compound II. All the invention compds. were evaluated for their HSP-90 inhibitory activity (some data given).
- IT 1017860-58-0P 1017864-43-5P 1017869-67-8P  
1017872-72-8P  
RL: PAC (Pharmacological activity); PRPH (Prophetic); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(prophetic drug candidate; preparation of benzene, pyridine, and pyridazine derivs. as HSP-90 inhibitors useful in the treatment of proliferative diseases)
- RN 1017860-58-0 CAPLUS
- CN Benzamide, 2-chloro-4-(6-ethyl-4,7-dihydro-3,7-dimethyl-4-oxo-1H-pyrazolo[3,4-d]pyrimidin-1-yl)-6-[(4-hydroxycyclohexyl)amino]- (CA INDEX NAME)

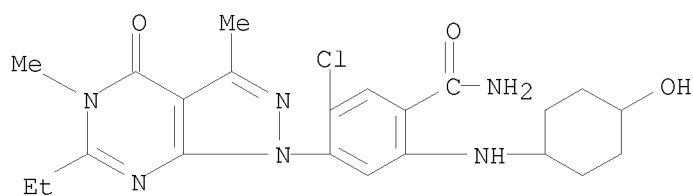


- RN 1017864-43-5 CAPLUS
- CN Benzamide, 4-(6-ethyl-4,7-dihydro-7-methyl-4-oxo-1H-pyrazolo[3,4-d]pyrimidin-1-yl)-2-methyl-6-[[tetrahydro-2-furanyl)methyl]amino]- (CA INDEX NAME)

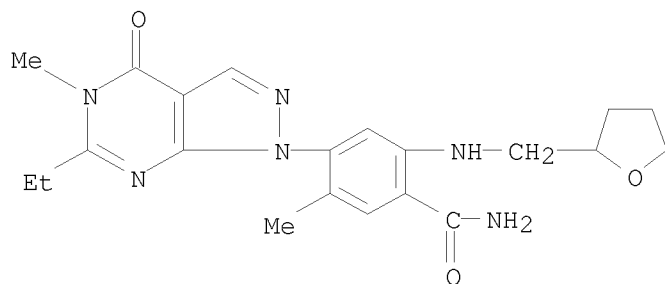
10556224



RN 1017869-67-8 CAPLUS  
CN Benzamide, 5-chloro-4-(6-ethyl-4,5-dihydro-3,5-dimethyl-4-oxo-1H-pyrazolo[3,4-d]pyrimidin-1-yl)-2-[(4-hydroxycyclohexyl)amino]- (CA INDEX NAME)



RN 1017872-72-8 CAPLUS  
CN Benzamide, 4-(6-ethyl-4,5-dihydro-5-methyl-4-oxo-1H-pyrazolo[3,4-d]pyrimidin-1-yl)-5-methyl-2-[(tetrahydro-2-furanyl)methyl]amino]- (CA INDEX NAME)



OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS)

10556224

L5 ANSWER 11 OF 28 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2007:729227 CAPLUS

DOCUMENT NUMBER: 147:143456

TITLE: Fused pyrimidones and thiopyrimidones, and their preparation, pharmaceutical compositions and use in killing or reducing cancer cell proliferation

INVENTOR(S): Venkat, Raj Gopal; Qi, Longwu; Pierce, Michael; Robbins, Paul B.; Sahasrabudhe, Sudhir R.; Selliah, Robert

PATENT ASSIGNEE(S): Prolexys Pharmaceuticals, Inc ., USA

SOURCE: PCT Int. Appl., 77 pp.

CODEN: PIXXD2

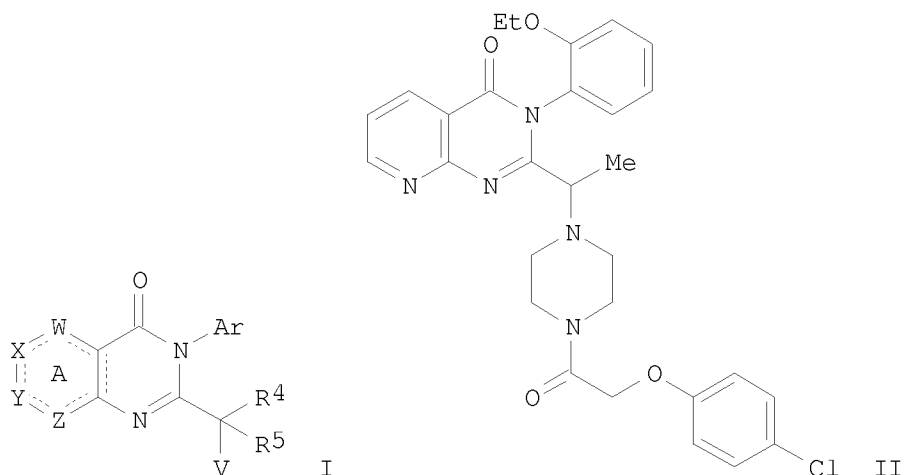
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2007076085	A2	20070705	WO 2006-US49168	20061222
WO 2007076085	A3	20070823		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA			
US 20090170834	A1	20090702	US 2009-86909	20090109
PRIORITY APPLN. INFO.:			US 2005-753916P	P 20051222
			US 2006-834989P	P 20060727
			WO 2006-US49168	W 20061222
OTHER SOURCE(S):	CASREACT 147:143456; MARPAT 147:143456			
GI				



AB Comps. represented by structural formula I: are useful, for example, in the effective killing or reduction in rate of proliferation of cancer cells, such as in patients suffering from cancer. In addition to the comps. themselves, the invention provides pharmaceutical comps. of the comps. and method of treatment using the comps. Comps. of formula I wherein ring A is optionally substituted: W is absent, C, N, S and O; X, Y and Z is C, N, S and O where at least one of X, Y and Z is N if W is C; Ar is (un)substituted phenyl; R4 and R5 are independently H, (un)substituted alkyl, (un)substituted alkenyl, (un)substituted alkynyl, (un)substituted heterocyclyl, and (un)substituted aryl; V is substituted amine and cyclic amines; dotted lines are single and double bonds; and their pharmaceutically acceptable salts thereof, are claimed. Example compound II was prepared by a general procedure. All the invention comps. were evaluated for their ability to kill or reduce cancer cell proliferation.

IT 943431-00-3P

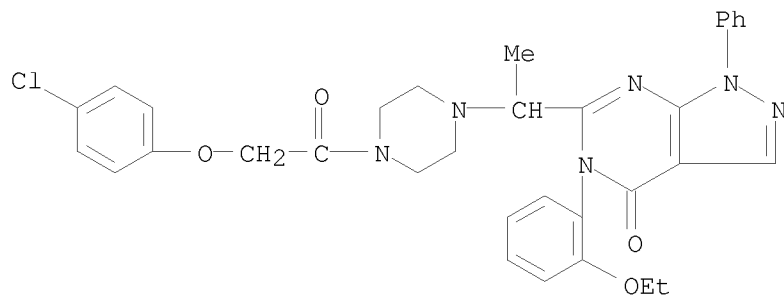
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of fused pyrimidone and thiopyrimidone comps. useful in killing or reducing cancer cell proliferation)

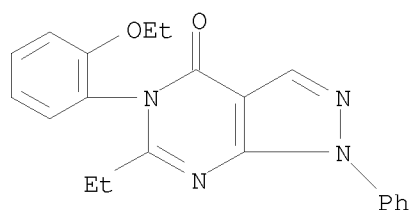
RN 943431-00-3 CAPLUS

CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,  
6-[1-[4-[2-(4-chlorophenoxy)acetyl]-1-piperazinyl]ethyl]-5-(2-ethoxyphenyl)-1,5-dihydro-1-phenyl- (CA INDEX NAME)

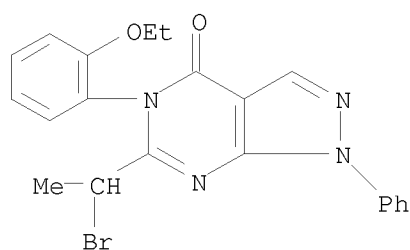
10556224



IT 943431-16-1P 943431-17-2P 943431-18-3P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(intermediate; preparation of fused pyrimidone and thiopyrimidone compds.  
useful in killing or reducing cancer cell proliferation)  
RN 943431-16-1 CAPLUS  
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,  
5-(2-ethoxyphenyl)-6-ethyl-1,5-dihydro-1-phenyl- (CA INDEX NAME)



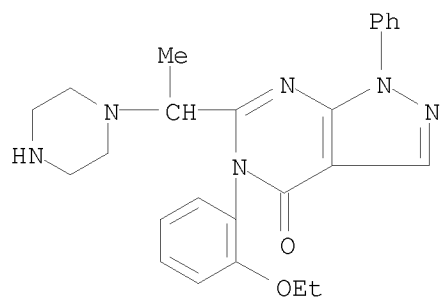
RN 943431-17-2 CAPLUS  
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,  
6-(1-bromoethyl)-5-(2-ethoxyphenyl)-1,5-dihydro-1-phenyl- (CA INDEX NAME)



RN 943431-18-3 CAPLUS  
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,  
5-(2-ethoxyphenyl)-1,5-dihydro-1-phenyl-6-[1-(1-piperazinyl)ethyl]- (CA  
INDEX NAME)



10556224



OS.CITING REF COUNT: 3

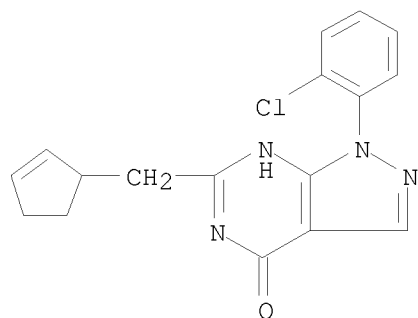
THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD  
(3 CITINGS)

10556224

L5 ANSWER 12 OF 28 CAPLUS COPYRIGHT 2010 ACS on STN  
ACCESSION NUMBER: 2006:1253041 CAPLUS  
DOCUMENT NUMBER: 146:757  
TITLE: Use of pyrazolopyrimidine compounds for the treatment  
of cardiovascular diseases  
INVENTOR(S): Hendrix, Martin; Wunder, Frank; Tersteegen, Adrian;  
Stasch, Johannes-Peter  
PATENT ASSIGNEE(S): Bayer Healthcare A.-G., Germany  
SOURCE: PCT Int. Appl., 48pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: German  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006125548	A1	20061130	WO 2006-EP4591	20060516
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
DE 102005024493	A1	20061130	DE 2005-102005024493	20050527
EP 1888076	A1	20080220	EP 2006-753634	20060516
R:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR			
PRIORITY APPLN. INFO.:			DE 2005-102005024493A	20050527
			WO 2006-EP4591	W 20060516
OTHER SOURCE(S):	MARPAT 146:757			
AB	The invention discloses the use of pyrazolopyrimidine compds. for producing medicaments drugs for treating cardiovascular diseases.			
IT	794568-65-3			
	RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)			
	(pyrazolopyrimidine compds. for treatment of cardiovascular diseases)			
RN	794568-65-3 CAPLUS			
CN	4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1-(2-chlorophenyl)-6-(2-cyclopenten-1-ylmethyl)-1,5-dihydro- (CA INDEX NAME)			

10556224



REFERENCE COUNT:

9

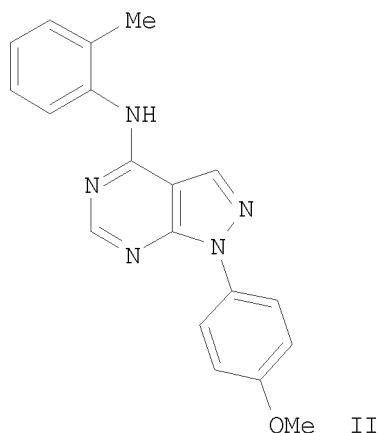
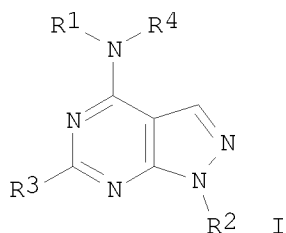
THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

10556224

L5 ANSWER 13 OF 28 CAPLUS COPYRIGHT 2010 ACS on STN  
ACCESSION NUMBER: 2006:471917 CAPLUS  
DOCUMENT NUMBER: 144:488675  
TITLE: Preparation of 1,4-substituted pyrazolopyrimidines as  
kinase inhibitors, particularly EphB4 inhibitors  
INVENTOR(S): Schmiedeberg, Niko; Furet, Pascal; Imbach, Patricia;  
Holzer, Philipp  
PATENT ASSIGNEE(S): Novartis AG, Switz.; Novartis Pharma GmbH  
SOURCE: PCT Int. Appl., 88 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

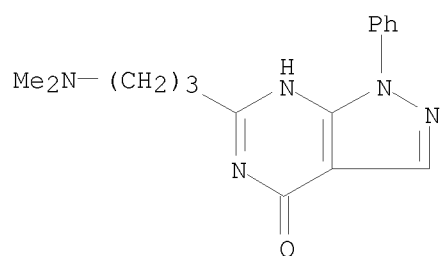
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WO 2006050946	A1	20060518	WO 2005-EP12045	20051110
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
AU 2005303965	A1	20060518	AU 2005-303965	20051110
CA 2585660	A1	20060518	CA 2005-2585660	20051110
EP 1812441	A1	20070801	EP 2005-819276	20051110
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CN 101098873	A	20080102	CN 2005-80046410	20051110
JP 2008519790	T	20080612	JP 2007-540577	20051110
BR 2005017803	A	20081021	BR 2005-17803	20051110
AR 51485	A1	20070117	AR 2005-104725	20051111
IN 2007DN03269	A	20070831	IN 2007-DN3269	20070501
US 20080096868	A1	20080424	US 2007-718730	20070507
MX 2007005644	A	20070605	MX 2007-5644	20070510
KR 2007084191	A	20070824	KR 2007-710778	20070511
PRIORITY APPLN. INFO.:			GB 2004-25035	A 20041112
			WO 2005-EP12045	W 20051110

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT  
OTHER SOURCE(S): CASREACT 144:488675; MARPAT 144:488675  
GI



- AB The invention is related to 1,4-substituted pyrazolopyrimidines I [R1 = (un)substituted Ph; R2 = (un)substituted aryl; R3 = H, (un)substituted alkyl, aryl, heterocyclyl; R4 = H, (un)substituted alkyl], and their pharmaceutically acceptable salts where one or more salt-forming groups are present, pharmaceuticals comprising them, and their use in the diagnosis and treatment or manufacture of a pharmaceutical formulation for the treatment of a disease that depends on inadequate activity of a protein kinase, especially a protein tyrosine kinase, preferably one or more of c-Abl, c-Src and/or especially Ephrin B4 receptor (EphB4) kinases; and/or one or more altered or mutated forms of any one or more of these, e.g. those forms that result in conversion of the resp. proto-oncogene into an oncogene, such as constitutively activated Bcr-Abl or v-Src. The invention is also related to the preparation of pyrazolopyrimidines I. Thus, II•TFA was prepared starting from 4-methoxyphenylhydrazine•xHCl and (ethoxymethylene)malononitrile. Pyrazolopyrimidine II•TFA inhibited EphB4 (Ic50 = 0.16  $\mu$ mol/l).
- IT 887327-53-9P, 6-(3-Dimethylaminopropyl)-1-phenyl-1,5-dihydropyrazolo[3,4-d]pyrimidin-4-one  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (intermediate; preparation of 1,4-substituted pyrazolopyrimidines as EphB4 inhibitors)
- RN 887327-53-9 CAPLUS
- CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,  
 6-[3-(dimethylamino)propyl]-1,5-dihydro-1-phenyl- (CA INDEX NAME)

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OS.CITING REF COUNT: 4

THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD  
(4 CITINGS)

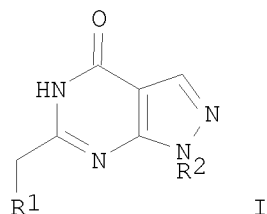
REFERENCE COUNT: 4

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

10556224

L5 ANSWER 14 OF 28 CAPLUS COPYRIGHT 2010 ACS on STN  
ACCESSION NUMBER: 2004:996183 CAPLUS  
DOCUMENT NUMBER: 141:424206  
TITLE: Preparation of pyrazolopyrimidinones as  
phosphodiesterase 9A inhibitors useful as nootropics.  
INVENTOR(S): Hendrix, Martin; Baerfacker, Lars; Erb, Christina;  
Hafner, Frank-Thorsten; Heckroth, Heike; Schauss,  
Dagmar; Tersteegen, Adrian; Van Der Staay,  
Franz-Josef; Van Kampen, Marja  
PATENT ASSIGNEE(S): Bayer Healthcare AG, Germany  
SOURCE: PCT Int. Appl., 96 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: German  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

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WO 2004099211	A1	20041118	WO 2004-EP4455	20040428
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DE 102004004142	A1	20041125	DE 2004-102004004142	20040128
AU 2004235915	A1	20041118	AU 2004-235915	20040428
CA 2524900	A1	20041118	CA 2004-2524900	20040428
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R: DE, ES, FR, GB, IT				
JP 2006525966	T	20061116	JP 2006-505294	20040428
RU 2383546	C2	20100310	RU 2005-138339	20040428
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ZA 2005009884	A	20070627	ZA 2005-9884	20051206
IN 2009DN05640	A	20100507	IN 2009-DN5640	20090831
PRIORITY APPLN. INFO.:			DE 2003-10320784	A 20030509
			DE 2003-10336183	A 20030807
			DE 2004-102004004142A	20040128
			WO 2004-EP4455	W 20040428
			IN 2005-DN5418	A3 20051124
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT				
OTHER SOURCE(S):		MARPAT 141:424206		
GI				



AB Title compds. [I; R1 = (substituted) alkyl, alkenyl, alkynyl, cycloalkyl; R2 = (substituted) Ph, heteroaryl], were prepared Thus, reflux of 5-amino-1-(2-methylphenyl)-1H-pyrazole-4-carboxamide (preparation given) with Et cyclopentylacetate and NaH in EtOH overnight gave 30% 6-cyclopentylmethyl-1-(2-methylphenyl)-1,5-dihydro-4H-pyrazolo[3,4-d]pyrimidin-4-one. The latter inhibited PDE9A with IC50 = 5 nM.

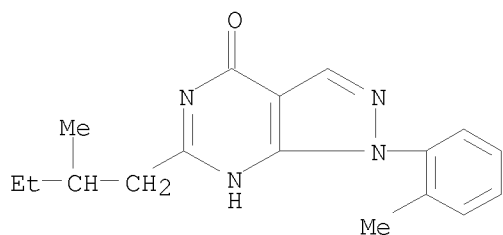
IT 794568-84-6P 794568-87-9P 794568-90-4P  
794568-94-8P

RL: PAC (Pharmacological activity); PEP (Physical, engineering or chemical process); PYP (Physical process); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)

(preparation of pyrazolopyrimidinones as phosphodiesterase 9A inhibitors useful as nootropics)

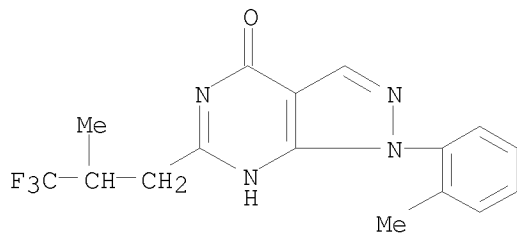
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CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,  
1,5-dihydro-6-(2-methylbutyl)-1-(2-methylphenyl)- (CA INDEX NAME)



RN 794568-87-9 CAPLUS

CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,  
1,5-dihydro-1-(2-methylphenyl)-6-(3,3,3-trifluoro-2-methylpropyl)- (CA INDEX NAME)

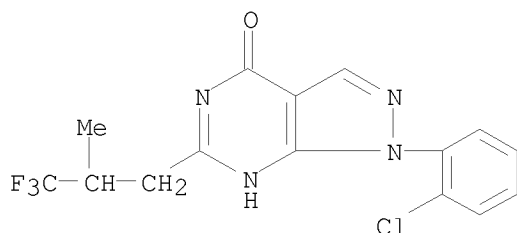




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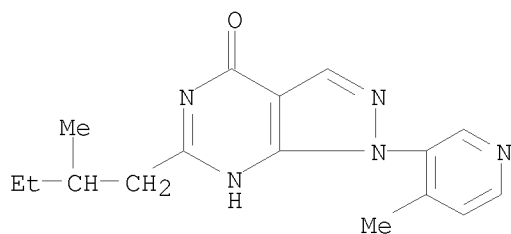
RN 794568-90-4 CAPLUS

CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,  
1-(2-chlorophenyl)-1,5-dihydro-6-(3,3,3-trifluoro-2-methylpropyl)- (CA  
INDEX NAME)



RN 794568-94-8 CAPLUS

CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,  
1,5-dihydro-6-(2-methylbutyl)-1-(4-methyl-3-pyridinyl)- (CA INDEX NAME)



IT 794568-85-7P 794568-86-8P 794568-88-0P  
794568-89-1P 794568-91-5P 794568-92-6P  
794568-95-9P 794568-96-0P

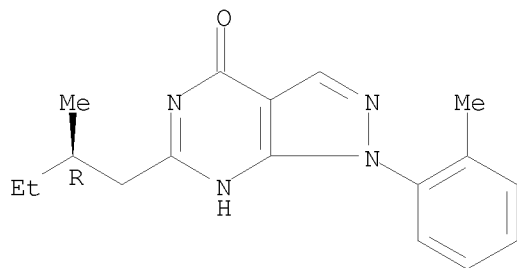
RL: PAC (Pharmacological activity); PUR (Purification or recovery); SPN  
(Synthetic preparation); THU (Therapeutic use); BIOL (Biological study);  
PREP (Preparation); USES (Uses)

(preparation of pyrazolopyrimidinones as phosphodiesterase 9A inhibitors  
useful as nootropics)

RN 794568-85-7 CAPLUS

CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,  
1,5-dihydro-6-[(2R)-2-methylbutyl]-1-(2-methylphenyl)- (CA INDEX NAME)

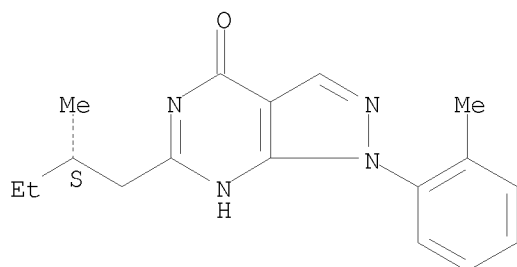
Absolute stereochemistry.



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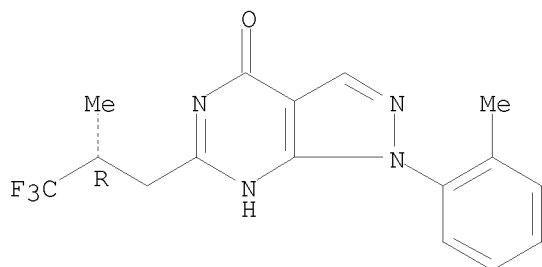
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CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,  
1,5-dihydro-6-[(2S)-2-methylbutyl]-1-(2-methylphenyl)- (CA INDEX NAME)

Absolute stereochemistry.



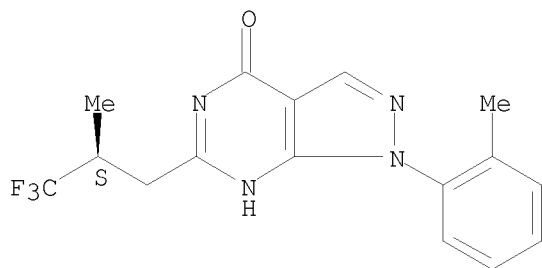
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CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,  
1,5-dihydro-1-(2-methylphenyl)-6-[(2R)-3,3,3-trifluoro-2-methylpropyl]-  
(CA INDEX NAME)

Absolute stereochemistry.



RN 794568-89-1 CAPLUS  
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,  
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(CA INDEX NAME)

Absolute stereochemistry.

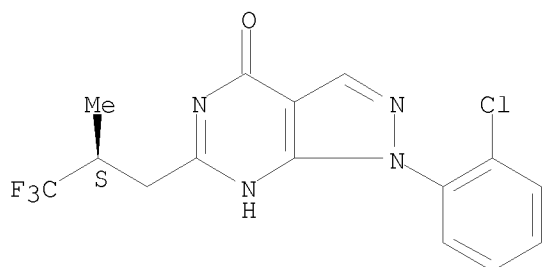


RN 794568-91-5 CAPLUS

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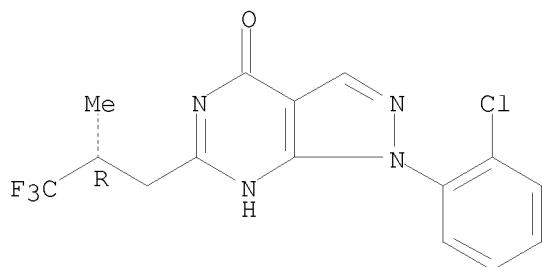
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,  
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(CA INDEX NAME)

Absolute stereochemistry.



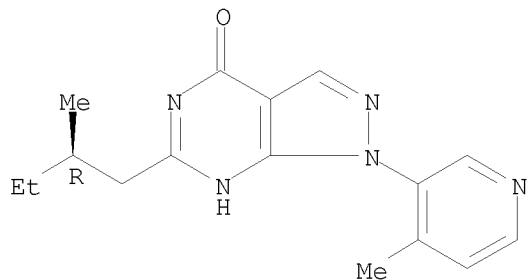
RN 794568-92-6 CAPLUS  
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,  
1-(2-chlorophenyl)-1,5-dihydro-6-[(2R)-3,3,3-trifluoro-2-methylpropyl]-  
(CA INDEX NAME)

Absolute stereochemistry.



RN 794568-95-9 CAPLUS  
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,  
1,5-dihydro-6-[(2R)-2-methylbutyl]-1-(4-methyl-3-pyridinyl)- (CA INDEX  
NAME)

Absolute stereochemistry.

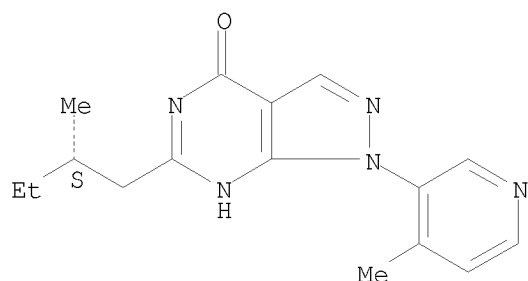


RN 794568-96-0 CAPLUS

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CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,  
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NAME)

Absolute stereochemistry.



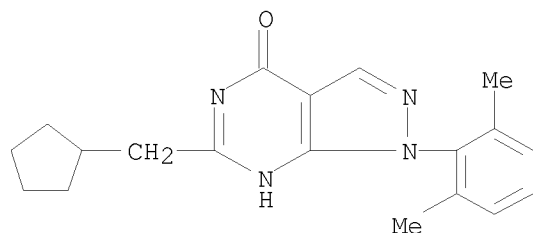
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	794568-98-2P	794568-99-3P	794569-00-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU  
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES  
(Uses)

(preparation of pyrazolopyrimidinones as phosphodiesterase 9A inhibitors  
useful as nootropics)

RN 794568-50-6 CAPLUS

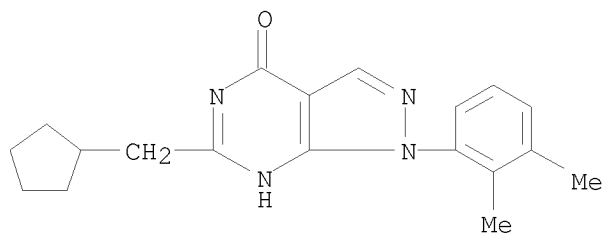
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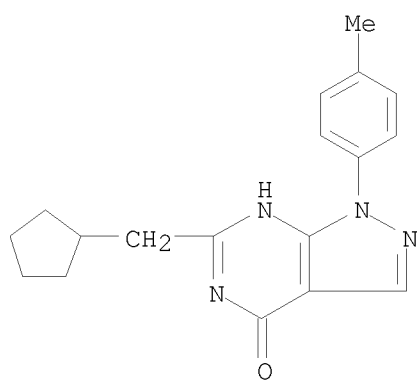
RN 794568-51-7 CAPLUS

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6-(cyclopentylmethyl)-1-(2,3-dimethylphenyl)-1,5-dihydro- (CA INDEX NAME)

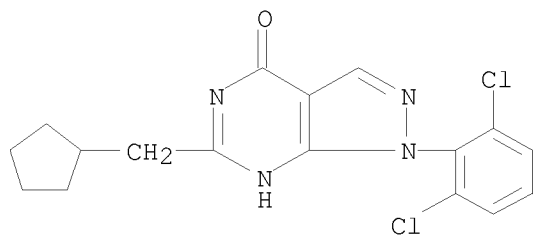
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RN 794568-52-8 CAPLUS  
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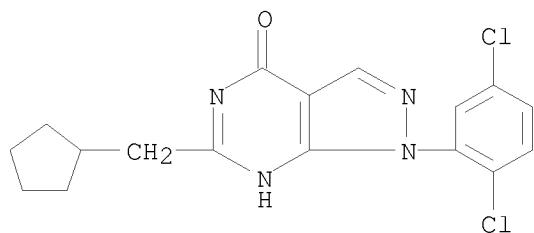


RN 794568-53-9 CAPLUS  
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6-(cyclopentylmethyl)-1-(2,6-dichlorophenyl)-1,5-dihydro- (CA INDEX NAME)

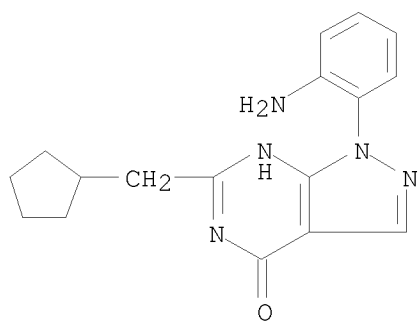


RN 794568-54-0 CAPLUS  
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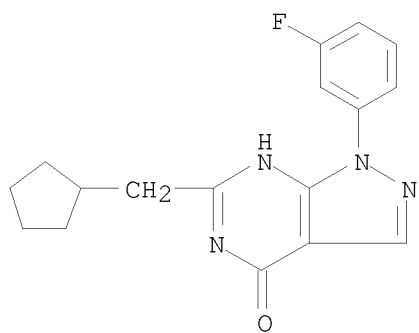
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RN 794568-55-1 CAPLUS  
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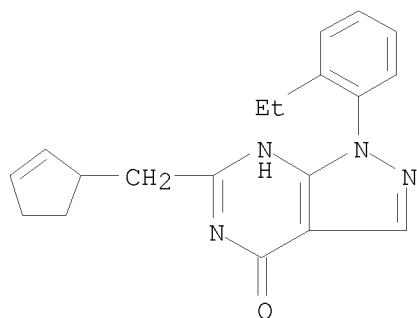


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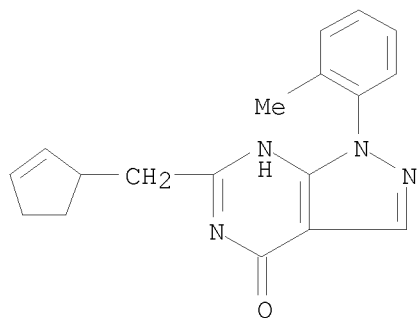


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6-(2-cyclopenten-1-ylmethyl)-1-(2-ethylphenyl)-1,5-dihydro- (CA INDEX NAME)

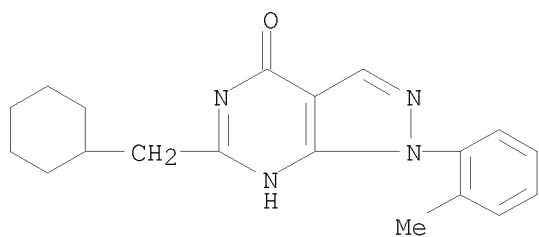
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RN 794568-58-4 CAPLUS  
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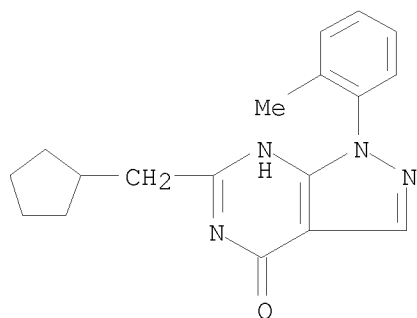


RN 794568-59-5 CAPLUS  
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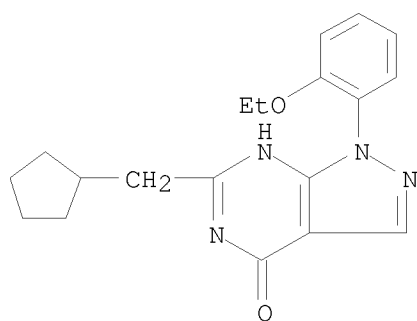


RN 794568-60-8 CAPLUS  
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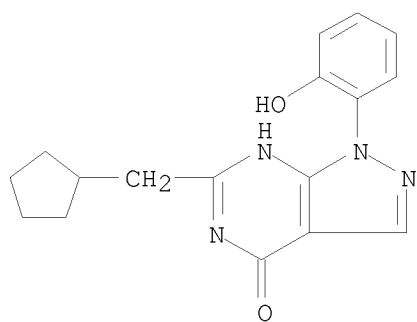
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RN 794568-61-9 CAPLUS  
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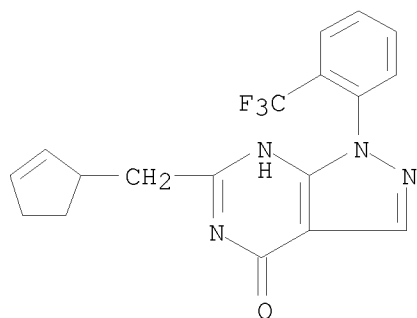
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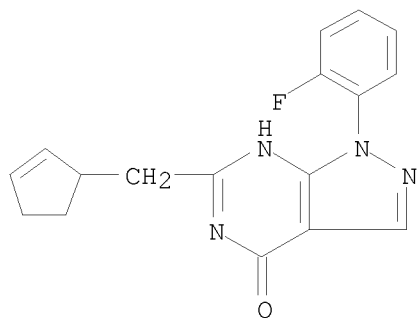
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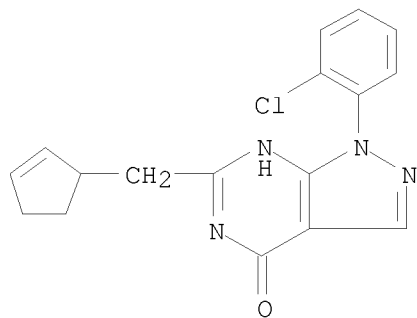
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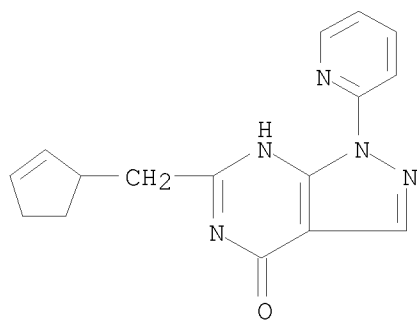


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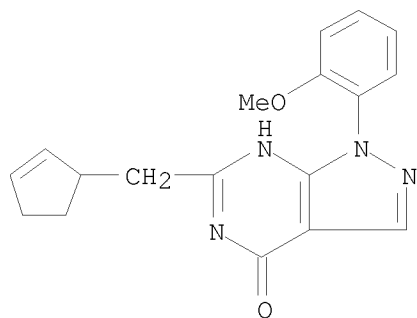


RN 794568-66-4 CAPLUS  
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6-(2-cyclopenten-1-ylmethyl)-1,5-dihydro-1-(2-pyridinyl)- (CA INDEX NAME)

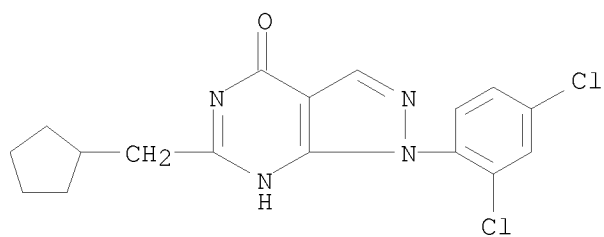
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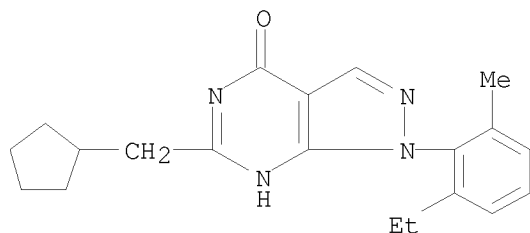


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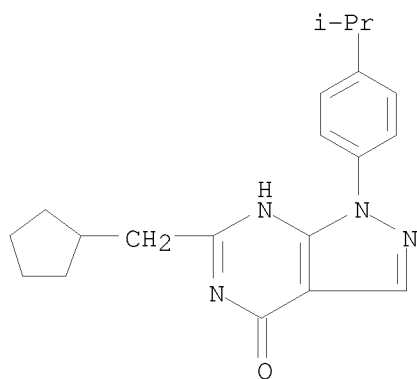


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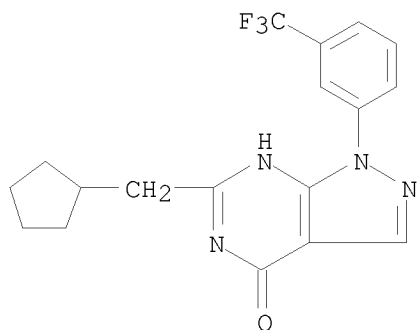
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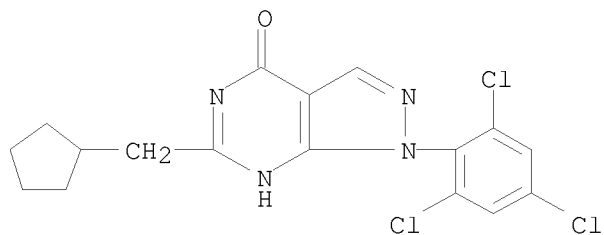


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INDEX NAME)

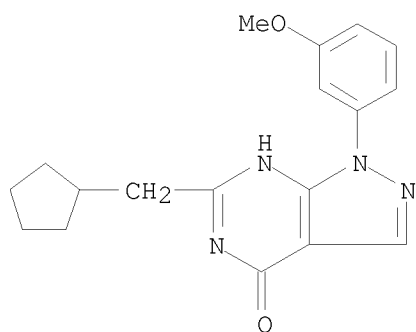


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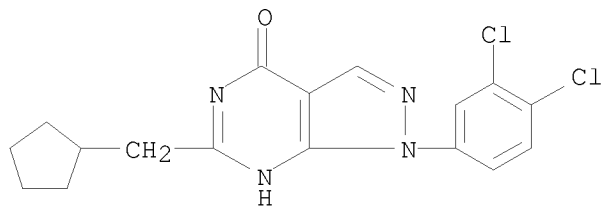
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RN 794568-73-3 CAPLUS  
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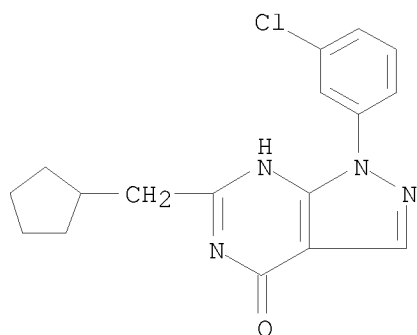


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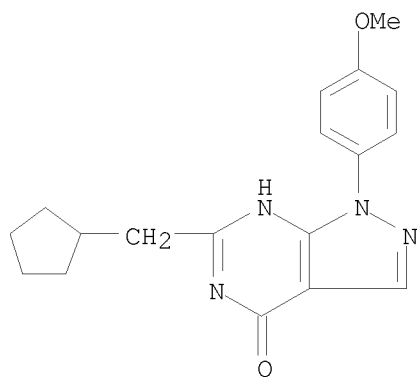


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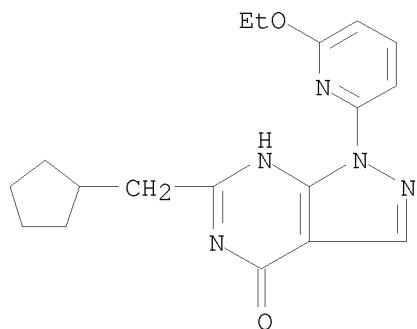
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RN 794568-76-6 CAPLUS  
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6-(cyclopentylmethyl)-1-(4-methoxyphenyl)- (CA INDEX NAME)



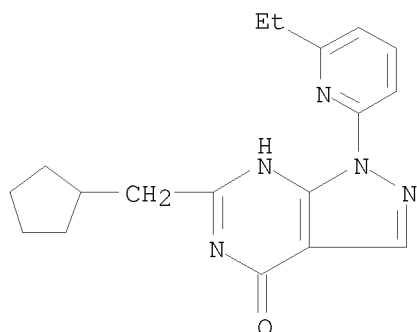
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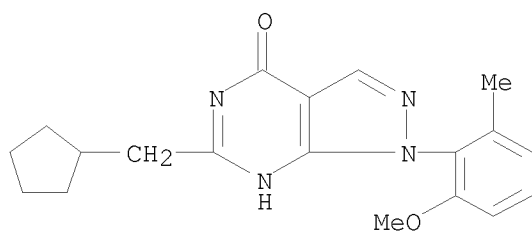
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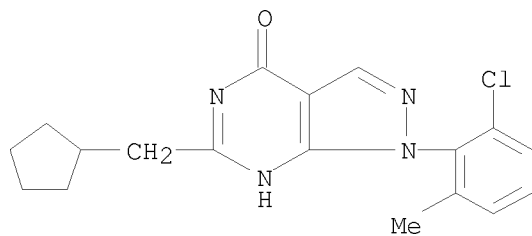
6-(cyclopentylmethyl)-1-(6-ethyl-2-pyridinyl)-1,5-dihydro- (CA INDEX  
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NAME)

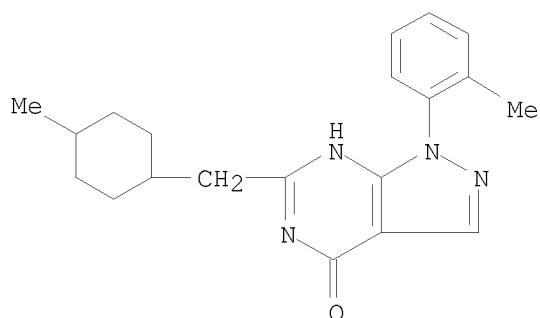


RN 794568-80-2 CAPLUS  
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1-(2-chloro-6-methylphenyl)-6-(cyclopentylmethyl)-1,5-dihydro- (CA INDEX  
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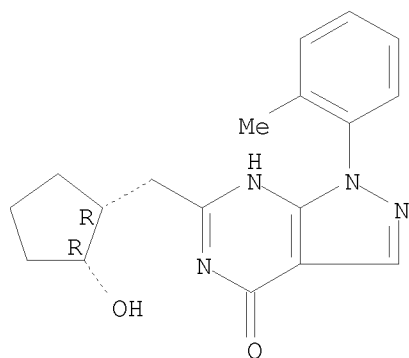
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CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,  
1,5-dihydro-6-[(4-methylcyclohexyl)methyl]-1-(2-methylphenyl)- (CA INDEX  
NAME)

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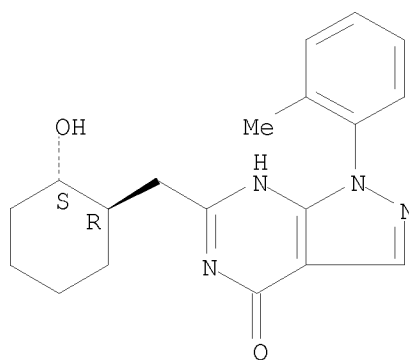
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CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,  
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rel- (CA INDEX NAME)

Relative stereochemistry.



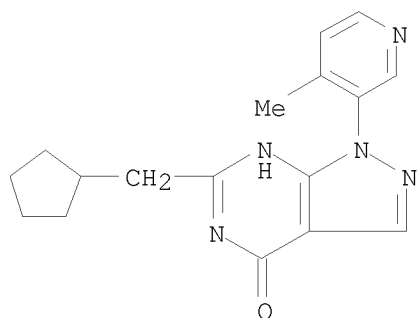
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Relative stereochemistry.

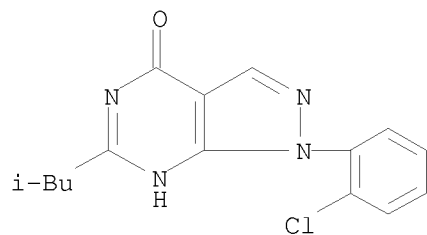


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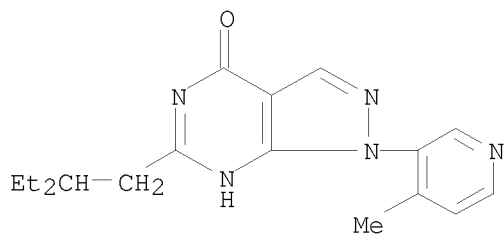
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6-(cyclopentylmethyl)-1,5-dihydro-1-(4-methyl-3-pyridinyl)- (CA INDEX  
NAME)



RN 794568-97-1 CAPLUS  
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1-(2-chlorophenyl)-1,5-dihydro-6-(2-methylpropyl)- (CA INDEX NAME)



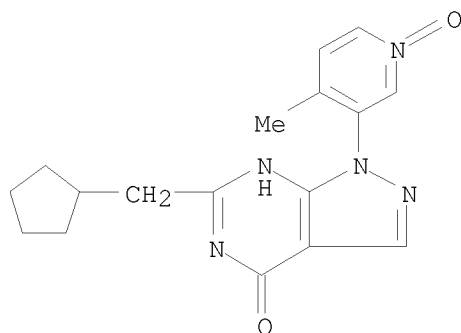
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CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,  
6-(2-ethylbutyl)-1,5-dihydro-1-(4-methyl-3-pyridinyl)- (CA INDEX NAME)



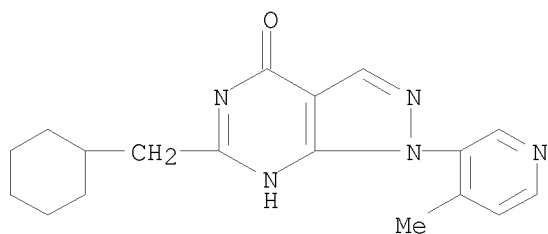
RN 794568-99-3 CAPLUS  
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,  
6-(cyclopentylmethyl)-1,5-dihydro-1-(4-methyl-1-oxido-3-pyridinyl)- (CA  
INDEX NAME)



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RN 794569-00-9 CAPLUS  
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,  
6-(cyclohexylmethyl)-1,5-dihydro-1-(4-methyl-3-pyridinyl)- (CA INDEX  
NAME)



OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD  
(3 CITINGS)  
REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L5 ANSWER 15 OF 28 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2004:996182 CAPLUS

DOCUMENT NUMBER: 141:410967

TITLE: Preparation of 6-arylmethylpyrazolopyrimidines as PDE9A inhibitors for the treatment of Alzheimer's disease

INVENTOR(S): Hendrix, Martin; Baerfacker, Lars; Erb, Christina; Hafner, Frank-Thorsten; Heckroth, Heike; Schauss, Dagmar; Tersteegen, Adrian; Van Der Staay, Franz-Josef; Van Kampen, Marja

PATENT ASSIGNEE(S): Bayer Healthcare AG, Germany

SOURCE: PCT Int. Appl., 69 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: German

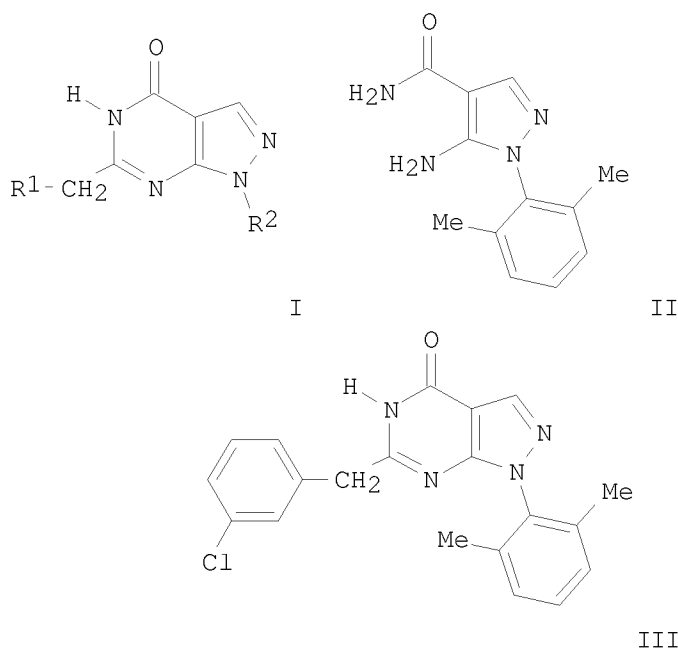
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004099210	A1	20041118	WO 2004-EP4412	20040427
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
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DE 10320785	A1	20041125	DE 2003-10320785	20030509
CA 2524898	A1	20041118	CA 2004-2524898	20040427
EP 1628980	A1	20060301	EP 2004-739107	20040427
EP 1628980	B1	20100428		
R: DE, ES, FR, GB, IT				
JP 2006525963	T	20061116	JP 2006-505276	20040427
ES 2342066	T3	20100701	ES 2004-739107	20040427
US 20070161662	A1	20070712	US 2006-556437	20061010
US 7615558	B2	20091110		
US 20100035900	A1	20100211	US 2009-580725	20091016
PRIORITY APPLN. INFO.:			DE 2003-10320785	A 20030509
			WO 2004-EP4412	W 20040427
			US 2006-556437	A1 20061010

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

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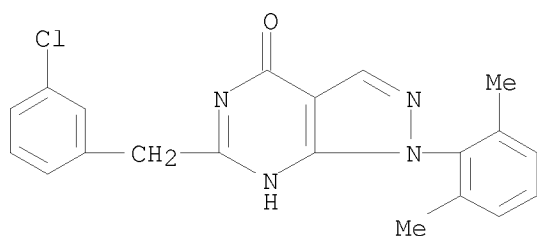
- AB Title compds. I [R1 = (un)substituted Ph, pyridyl, thiophenyl, etc.; (un)substituted Ph, heteroaryl] and their pharmaceutically acceptable salts were prepared For example, condensation-cyclization of 3-chlorophenylacetic acid Me ester and aminopyrazole II, e.g., prepared from 2,3-dimethylphenylhydrazine hydrochloride and (ethoxymethylene)propanedinitrile, afforded pyrazolopyrimidine III in 37% yield. In human guanosine cyclic 3,5'-phosphate phosphodiesterase (PDE9A) inhibition assays, 4-examples of compds. I exhibited IC<sub>50</sub> values ranging from <30-64 nM. Compds. I are claimed useful for the treatment of Alzheimer's disease.
- IT 792952-76-2P, 6-(3-Chlorobenzyl)-1-(2,6-dimethylphenyl)-1,5-dihydropyrazolo[3,4-d]pyrimidin-4-one 792952-77-3P, 6-(3-Chlorobenzyl)-1-(2,3-dimethylphenyl)-1,5-dihydropyrazolo[3,4-d]pyrimidin-4-one 792952-78-4P, 6-(3-Chlorobenzyl)-1-(4-methylphenyl)-1,5-dihydropyrazolo[3,4-d]pyrimidin-4-one 792952-79-5P, 6-(3-Chlorobenzyl)-1-(2,6-dichlorophenyl)-1,5-dihydropyrazolo[3,4-d]pyrimidin-4-one 792952-80-8P, 6-(3-Chlorobenzyl)-1-(2,5-dichlorophenyl)-1,5-dihydropyrazolo[3,4-d]pyrimidin-4-one 792952-81-9P, 1-(2-Aminophenyl)-6-(3-chlorobenzyl)-1,5-dihydropyrazolo[3,4-d]pyrimidin-4-one 792952-82-0P, 6-(3-Chlorobenzyl)-1-(3-fluorophenyl)-1,5-dihydropyrazolo[3,4-d]pyrimidin-4-one 792952-83-1P 792952-84-2P, 6-(2-Bromobenzyl)-1-(2-methylphenyl)-1,5-dihydropyrazolo[3,4-d]pyrimidin-4-one 792952-85-3P, 6-(3-Bromobenzyl)-1-(2-methylphenyl)-1,5-dihydropyrazolo[3,4-d]pyrimidin-4-one 792952-86-4P 792952-87-5P 792952-88-6P 792952-89-7P 792952-90-0P 792952-91-1P, 6-(3-Chlorobenzyl)-1-(2-methylphenyl)-1,5-dihydro-4H-pyrazolo[3,4-d]pyrimidin-4-one 792952-93-3P, 6-(3-Chlorobenzyl)-1-(2-ethylphenyl)-1,5-dihydro-4H-pyrazolo[3,4-

d]pyrimidin-4-one 792952-94-4P,  
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 d]pyrimidin-4-one 792952-97-7P,  
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 4-one 792952-98-8P, 6-(3-Chlorobenzyl)-1-(2-methoxyphenyl)-1,5-  
 dihydro-4H-pyrazolo[3,4-d]pyrimidin-4-one  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU  
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES  
 (Uses)

(preparation of arylmethylpyrazolopyrimidines as PDE9A inhibitors for the  
 treatment of Alzheimer's disease)

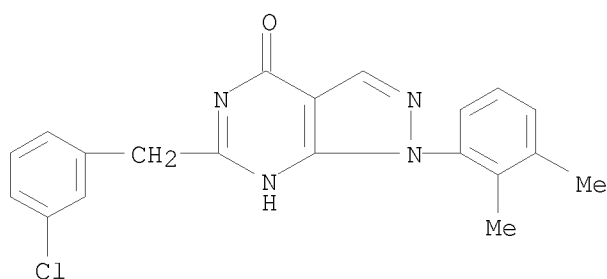
RN 792952-76-2 CAPLUS

CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,  
 6-[(3-chlorophenyl)methyl]-1-(2,6-dimethylphenyl)-1,5-dihydro- (CA INDEX  
 NAME)



RN 792952-77-3 CAPLUS

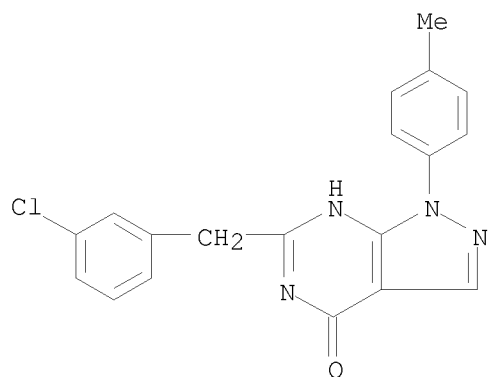
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 6-[(3-chlorophenyl)methyl]-1-(2,3-dimethylphenyl)-1,5-dihydro- (CA INDEX  
 NAME)



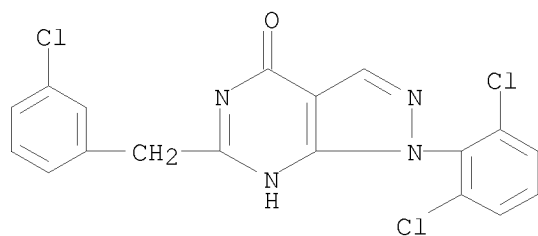
RN 792952-78-4 CAPLUS

CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,  
 6-[(3-chlorophenyl)methyl]-1,5-dihydro-1-(4-methylphenyl)- (CA INDEX  
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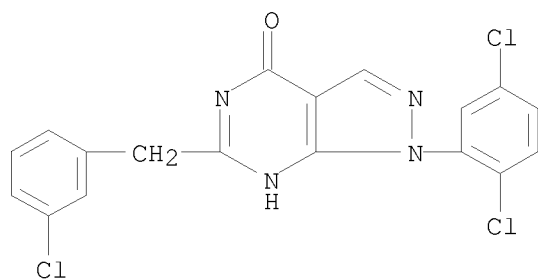
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RN 792952-79-5 CAPLUS  
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,  
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NAME)

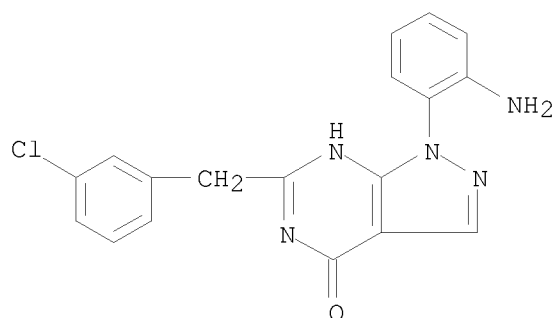


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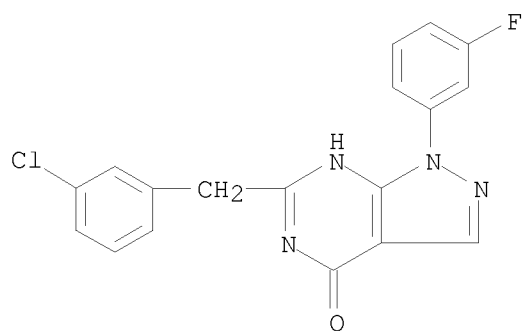


RN 792952-81-9 CAPLUS  
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,  
1-(2-aminophenyl)-6-[(3-chlorophenyl)methyl]-1,5-dihydro- (CA INDEX NAME)

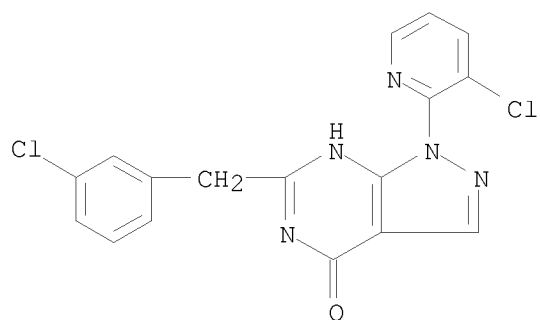
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RN 792952-82-0 CAPLUS  
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NAME)

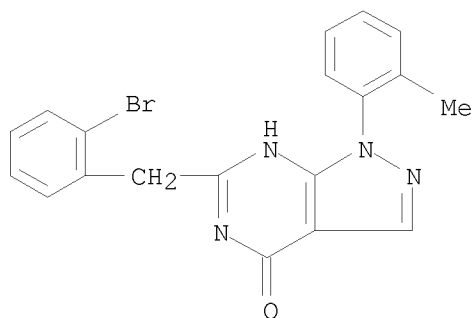


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CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,  
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INDEX NAME)

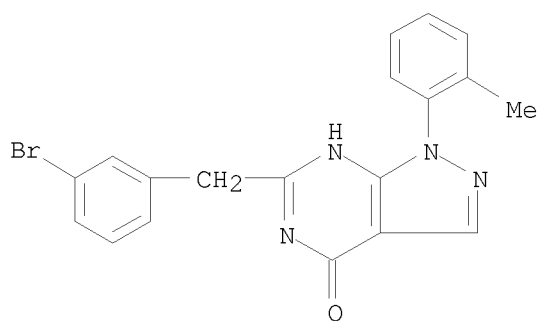


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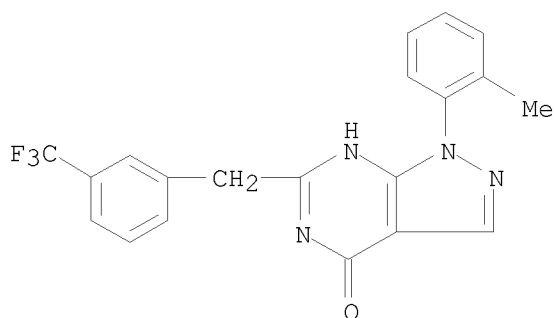
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RN 792952-85-3 CAPLUS  
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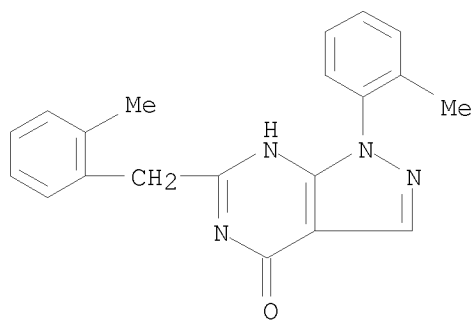


RN 792952-86-4 CAPLUS  
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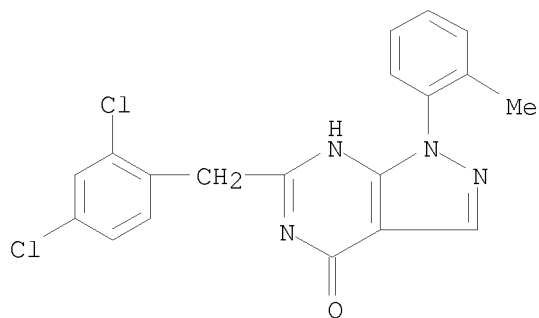


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NAME)

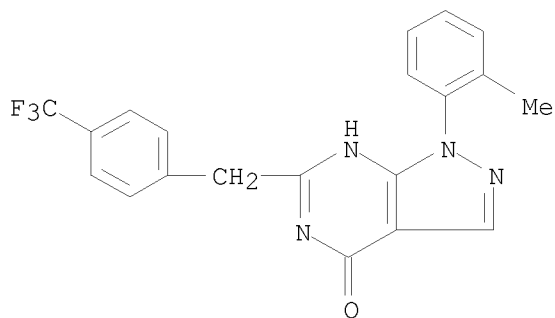
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RN 792952-88-6 CAPLUS  
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6-[(2,4-dichlorophenyl)methyl]-1,5-dihydro-1-(2-methylphenyl)- (CA INDEX  
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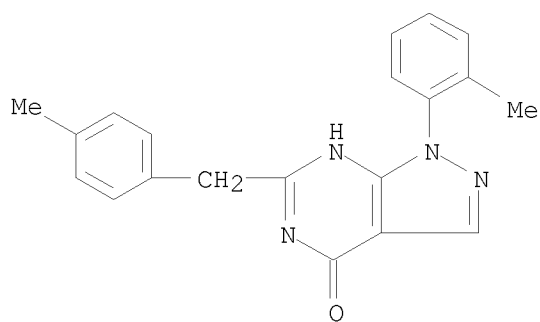
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INDEX NAME)



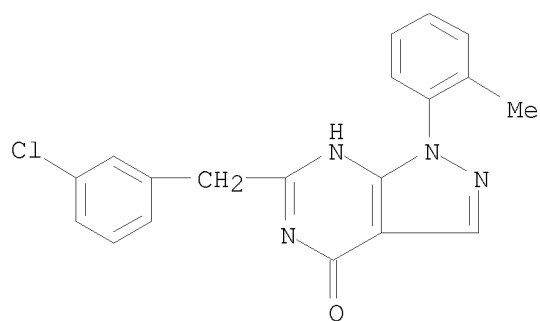
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1,5-dihydro-1-(2-methylphenyl)-6-[(4-methylphenyl)methyl]- (CA INDEX  
NAME)



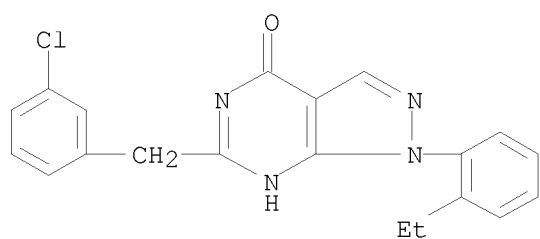
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RN 792952-91-1 CAPLUS  
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,  
6-[(3-chlorophenyl)methyl]-1-(2-methylphenyl)- (CA INDEX  
NAME)

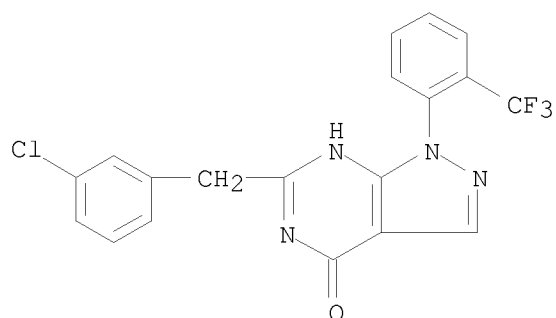


RN 792952-93-3 CAPLUS  
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,  
6-[(3-chlorophenyl)methyl]-1-(2-ethylphenyl)-1,5-dihydro- (CA INDEX NAME)

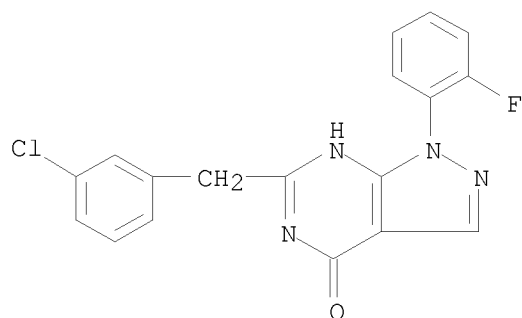


RN 792952-94-4 CAPLUS  
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,  
6-[(3-chlorophenyl)methyl]-1-[2-(trifluoromethyl)phenyl]- (CA  
INDEX NAME)

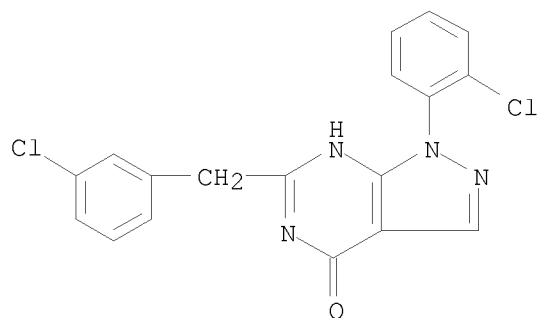
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RN 792952-95-5 CAPLUS  
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6-[(3-chlorophenyl)methyl]-1-(2-fluorophenyl)-1,5-dihydro- (CA INDEX  
NAME)

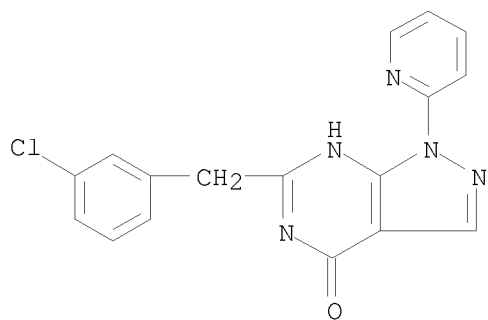


RN 792952-96-6 CAPLUS  
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,  
1-(2-chlorophenyl)-6-[(3-chlorophenyl)methyl]-1,5-dihydro- (CA INDEX  
NAME)



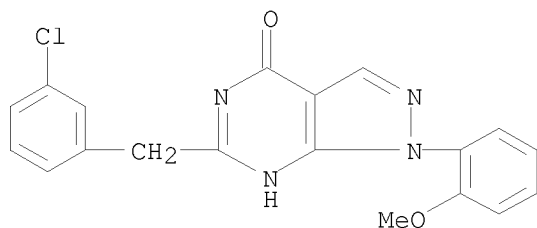
RN 792952-97-7 CAPLUS  
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,  
6-[(3-chlorophenyl)methyl]-1,5-dihydro-1-(2-pyridinyl)- (CA INDEX NAME)

10556224



RN 792952-98-8 CAPLUS

CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,  
6-[(3-chlorophenyl)methyl]-1,5-dihydro-1-(2-methoxyphenyl)- (CA INDEX  
NAME)



OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD  
(3 CITINGS)  
REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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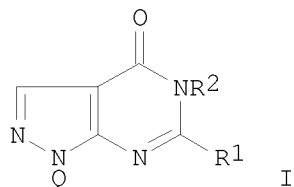
L5 ANSWER 16 OF 28 CAPLUS COPYRIGHT 2010 ACS on STN  
ACCESSION NUMBER: 2003:891929 CAPLUS  
DOCUMENT NUMBER: 139:381500  
TITLE: Preparation of pyrazolo[3,4-d]pyrimidin-4-ones as  
herbicides and/or nematocides  
INVENTOR(S): Linker, Karl-Heinz; Andree, Roland; Hoischen,  
Dorothee; Schwarz, Hans-Georg; Drewes, Mark Wilhelm;  
Dahmen, Peter; Feucht, Dieter; Pontzen, Rolf; Loesel,  
Peter  
PATENT ASSIGNEE(S): Bayer CropScience AG, Germany  
SOURCE: Ger. Offen., 36 pp.  
CODEN: GWXXBX  
DOCUMENT TYPE: Patent  
LANGUAGE: German  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 10219435	A1	20031113	DE 2002-10219435	20020502
IN 2003MU00379	A	20050211	IN 2003-MU379	20030417
CA 2484997	A1	20031113	CA 2003-2484997	20030422
WO 2003093269	A2	20031113	WO 2003-EP4137	20030422
WO 2003093269	A3	20040408		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003224111	A1	20031117	AU 2003-224111	20030422
EP 1504005	A2	20050209	EP 2003-720510	20030422
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003009873	A	20050426	BR 2003-9873	20030422
JP 2005531549	T	20051020	JP 2004-501408	20030422
US 20050209251	A1	20050922	US 2005-512834	20050519
PRIORITY APPLN. INFO.:			DE 2002-10219435	A 20020502
			WO 2003-EP4137	W 20030422

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 139:381500

GI



AB Title compds. [I; Q = NO<sub>2</sub>, cyano, halo, (halogenated) alkyl, alkoxy, alkylthio, alkylsulfinyl, alkylsulfonyl, (hetero)aryl; R<sub>1</sub> = H, (substituted) alkyl, alkoxycarbonyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, aryl, arylalkyl, heterocyclyl; R<sub>2</sub> = H, (substituted) alkyl, alkenyl, alkynyl], were prepared. Thus, a mixture of 5-amino-1-(3-chloro-5-trifluoromethylpyridin-2-yl)pyrazole-4-carboxamide, CH(OMe)<sub>3</sub>, p-toluenesulfonic acid, and toluene was refluxed for 12 h followed by further addition of CH(OMe)<sub>3</sub> and reflux for 12 h under stirring to give 44% 1-(3-chloro-5-trifluoromethylpyridin-2-yl)-1,5-dihydropyrazolo[3,4-d]pyrimidin-4-one. I were said to show very strong pre- and postemergent herbicidal activity, good crop tolerance, and good nematocidal activity.

IT	1053783-27-9	1053783-28-0	1053783-32-6
	1053783-35-9	1053783-56-4	1053783-57-5
	1053783-58-6	1053783-61-1	1053783-62-2
	1053783-64-4	1053783-68-8	1053783-73-5
	1053783-77-9	1053783-82-6	1053783-83-7
	1053783-90-6	1053783-93-9	1053783-95-1
	1053783-96-2	1053783-99-5	1053784-26-1

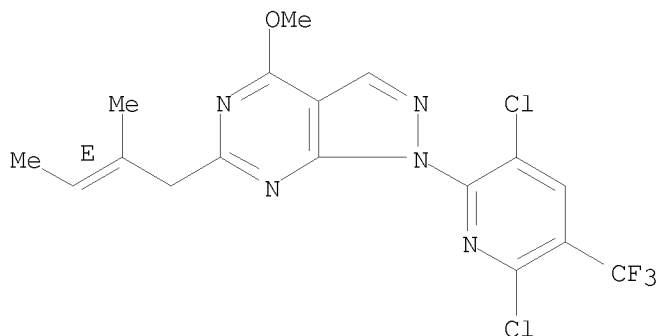
RL: PRPH (Prophetic)

(Preparation of pyrazolo[3,4-d]pyrimidin-4-ones as herbicides and/or nematocides)

RN 1053783-27-9 CAPLUS

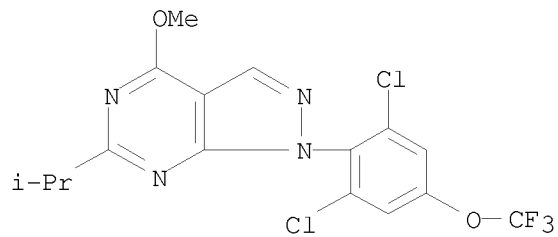
CN 1H-Pyrazolo[3,4-d]pyrimidine, 1-[3,6-dichloro-5-(trifluoromethyl)-2-pyridinyl]-4-methoxy-6-[(2E)-2-methyl-2-buten-1-yl]- (CA INDEX NAME)

Double bond geometry as shown.



RN 1053783-28-0 CAPLUS

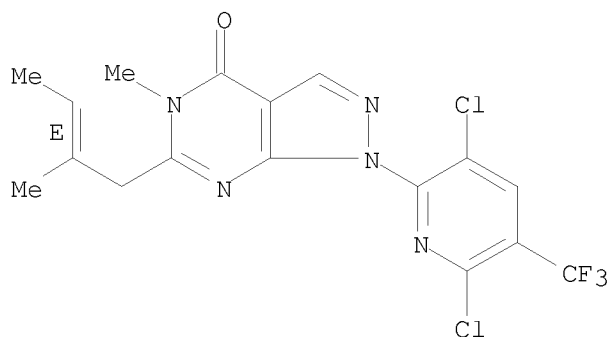
CN 1H-Pyrazolo[3,4-d]pyrimidine, 1-[2,6-dichloro-4-(trifluoromethoxy)phenyl]-4-methoxy-6-(1-methylethyl)- (CA INDEX NAME)



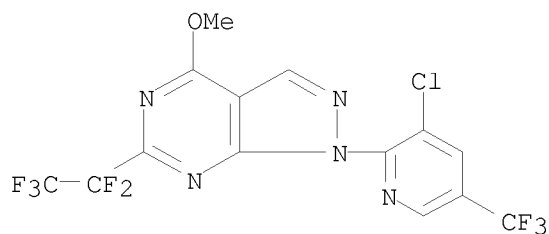
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RN 1053783-32-6 CAPLUS  
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,  
1-[3,6-dichloro-5-(trifluoromethyl)-2-pyridinyl]-1,5-dihydro-5-methyl-6-  
[(2E)-2-methyl-2-buten-1-yl]- (CA INDEX NAME)

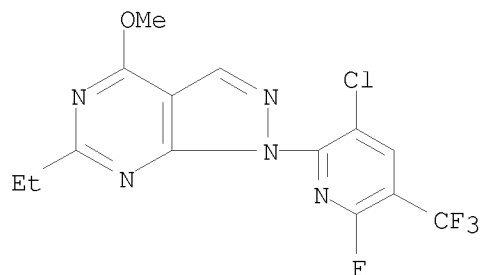
Double bond geometry as shown.



RN 1053783-35-9 CAPLUS  
CN 1H-Pyrazolo[3,4-d]pyrimidine, 1-[3-chloro-5-(trifluoromethyl)-2-pyridinyl]-  
4-methoxy-6-(1,1,2,2,2-pentafluoroethyl)- (CA INDEX NAME)



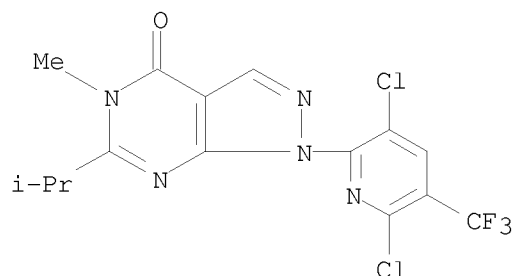
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CN 1H-Pyrazolo[3,4-d]pyrimidine, 1-[3-chloro-6-fluoro-5-(trifluoromethyl)-2-  
pyridinyl]-6-ethyl-4-methoxy- (CA INDEX NAME)



RN 1053783-57-5 CAPLUS  
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,  
1-[3,6-dichloro-5-(trifluoromethyl)-2-pyridinyl]-1,5-dihydro-5-methyl-6-(1-

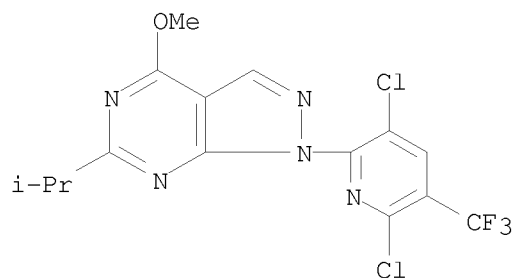
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methylethyl)- (CA INDEX NAME)



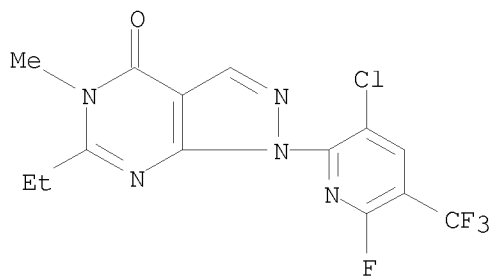
RN 1053783-58-6 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidine, 1-[3,6-dichloro-5-(trifluoromethyl)-2-pyridinyl]-4-methoxy-6-(1-methylethyl)- (CA INDEX NAME)



RN 1053783-61-1 CAPLUS

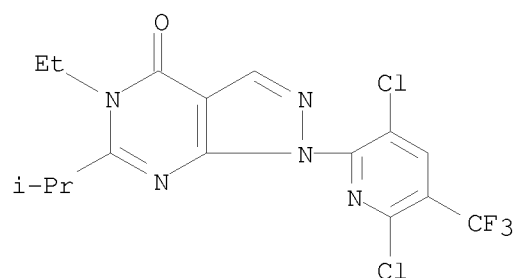
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1-[3-chloro-6-fluoro-5-(trifluoromethyl)-2-pyridinyl]-6-ethyl-1,5-dihydro-5-methyl- (CA INDEX NAME)



RN 1053783-62-2 CAPLUS

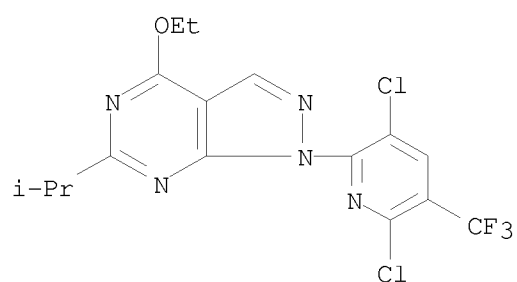
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1-[3,6-dichloro-5-(trifluoromethyl)-2-pyridinyl]-5-ethyl-1,5-dihydro-6-(1-methylethyl)- (CA INDEX NAME)

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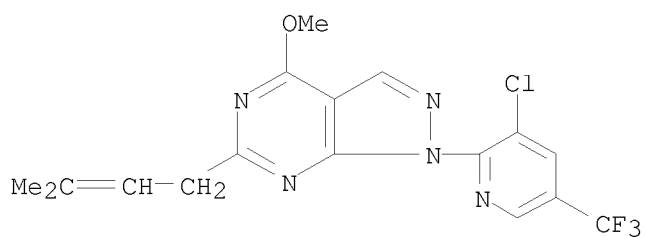
RN 1053783-64-4 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidine, 1-[3,6-dichloro-5-(trifluoromethyl)-2-pyridinyl]-4-ethoxy-6-(1-methylethyl)- (CA INDEX NAME)



RN 1053783-68-8 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidine, 1-[3-chloro-5-(trifluoromethyl)-2-pyridinyl]-4-methoxy-6-(3-methyl-2-buten-1-yl)- (CA INDEX NAME)

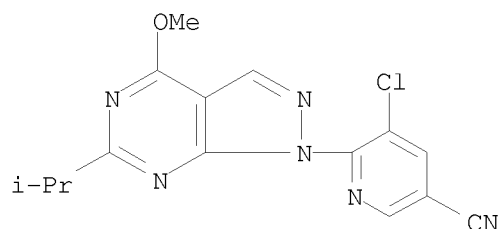


RN 1053783-73-5 CAPLUS

CN 3-Pyridinecarbonitrile, 5-chloro-6-[4-methoxy-6-(1-methylethyl)-1H-pyrazolo[3,4-d]pyrimidin-1-yl]- (CA INDEX NAME)

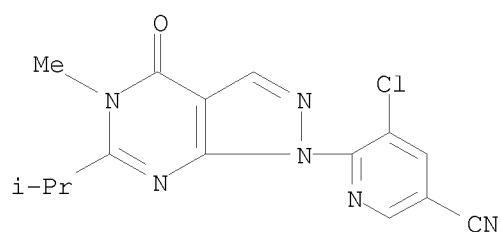


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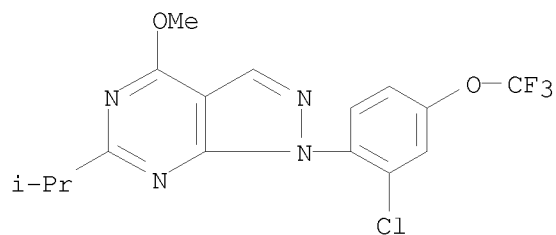
RN 1053783-77-9 CAPLUS

CN 3-Pyridinecarbonitrile, 5-chloro-6-[4,5-dihydro-5-methyl-6-(1-methylethyl)-4-oxo-1H-pyrazolo[3,4-d]pyrimidin-1-yl]- (CA INDEX NAME)



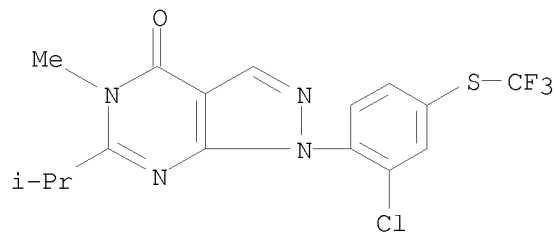
RN 1053783-82-6 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidine, 1-[2-chloro-4-(trifluoromethoxy)phenyl]-4-methoxy-6-(1-methylethyl)- (CA INDEX NAME)



RN 1053783-83-7 CAPLUS

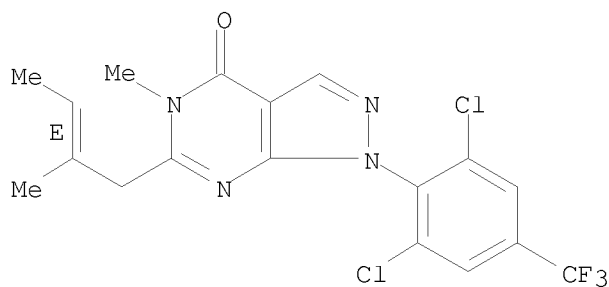
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1-[2-chloro-4-[(trifluoromethyl)thio]phenyl]-1,5-dihydro-5-methyl-6-(1-methylethyl)- (CA INDEX NAME)



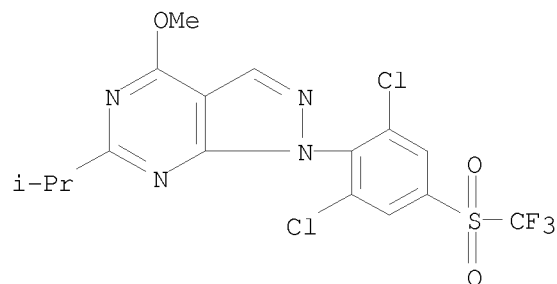
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RN 1053783-90-6 CAPLUS  
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,  
1-[2,6-dichloro-4-(trifluoromethyl)phenyl]-1,5-dihydro-5-methyl-6-[(2E)-2-methyl-2-buten-1-yl]- (CA INDEX NAME)

Double bond geometry as shown.

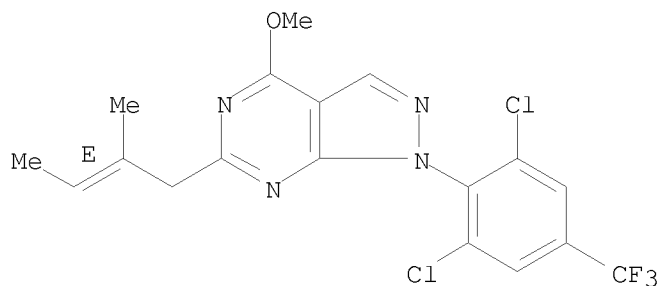


RN 1053783-93-9 CAPLUS  
CN 1H-Pyrazolo[3,4-d]pyrimidine, 1-[2,6-dichloro-4-[(trifluoromethyl)sulfonyl]phenyl]-4-methoxy-6-(1-methylethyl)- (CA INDEX NAME)



RN 1053783-95-1 CAPLUS  
CN 1H-Pyrazolo[3,4-d]pyrimidine, 1-[2,6-dichloro-4-(trifluoromethyl)phenyl]-4-methoxy-6-[(2E)-2-methyl-2-buten-1-yl]- (CA INDEX NAME)

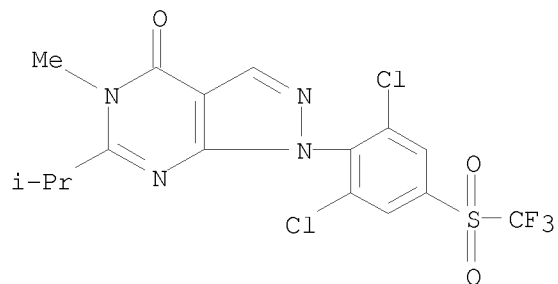
Double bond geometry as shown.



RN 1053783-96-2 CAPLUS  
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10556224

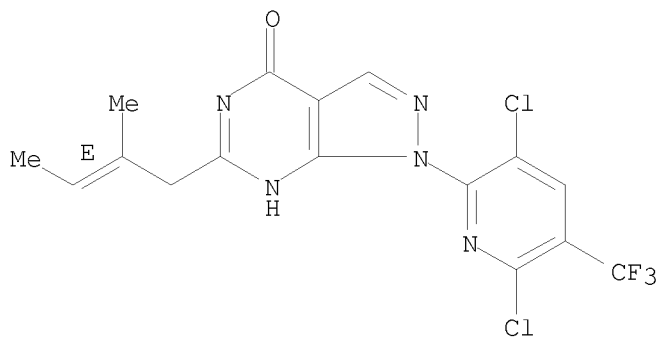
1-[2,6-dichloro-4-[(trifluoromethyl)sulfonyl]phenyl]-1,5-dihydro-5-methyl-6-(1-methylethyl)- (CA INDEX NAME)



RN 1053783-99-5 CAPLUS

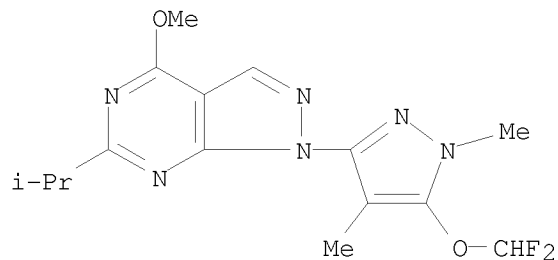
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,  
1-[3,6-dichloro-5-(trifluoromethyl)-2-pyridinyl]-1,5-dihydro-6-[(2E)-2-methyl-2-buten-1-yl]- (CA INDEX NAME)

Double bond geometry as shown.



RN 1053784-26-1 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidine, 1-[5-(difluoromethoxy)-1,4-dimethyl-1H-pyrazol-3-yl]-4-methoxy-6-(1-methylethyl)- (CA INDEX NAME)



IT	623584-59-8P	623584-60-1P	623584-61-2P
	623584-62-3P	623584-63-4P	623584-64-5P
	623584-65-6P	623584-66-7P	623584-67-8P
	623584-68-9P	623584-69-0P	623584-70-3P

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623584-71-4P      623584-72-5P      623584-78-1P

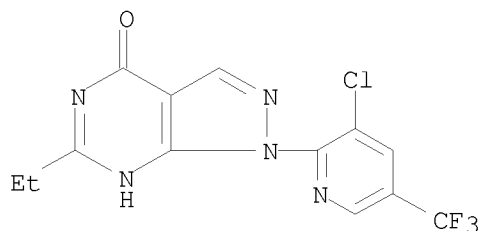
623584-98-5P      623584-99-6P

RL: AGR (Agricultural use); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyrazolopyrimidinones as herbicides and/or nematocides)

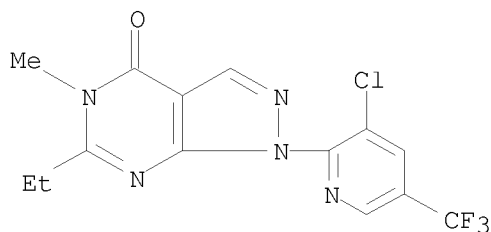
RN 623584-59-8 CAPLUS

CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,  
1-[3-chloro-5-(trifluoromethyl)-2-pyridinyl]-6-ethyl-1,5-dihydro- (CA INDEX NAME)



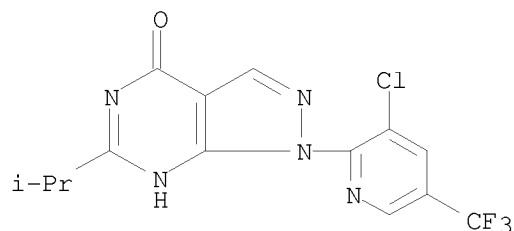
RN 623584-60-1 CAPLUS

CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,  
1-[3-chloro-5-(trifluoromethyl)-2-pyridinyl]-6-ethyl-1,5-dihydro-5-methyl- (CA INDEX NAME)



RN 623584-61-2 CAPLUS

CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,  
1-[3-chloro-5-(trifluoromethyl)-2-pyridinyl]-1,5-dihydro-6-(1-methylethyl)- (CA INDEX NAME)

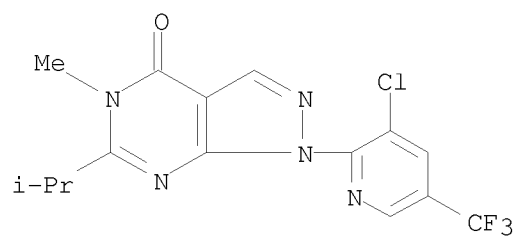


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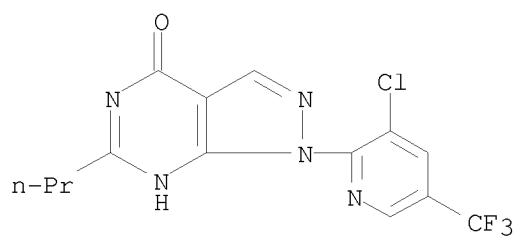
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,  
1-[3-chloro-5-(trifluoromethyl)-2-pyridinyl]-1,5-dihydro-5-methyl-6-(1-

10556224

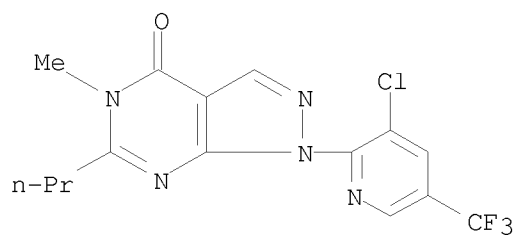
methylethyl)- (CA INDEX NAME)



RN 623584-63-4 CAPLUS  
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,  
1-[3-chloro-5-(trifluoromethyl)-2-pyridinyl]-1,5-dihydro-6-propyl- (CA  
INDEX NAME)

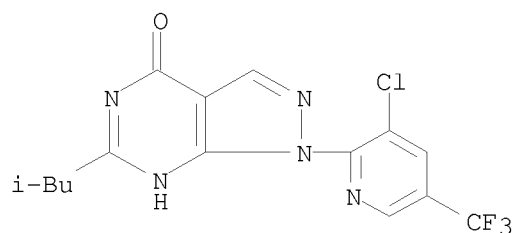


RN 623584-64-5 CAPLUS  
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,  
1-[3-chloro-5-(trifluoromethyl)-2-pyridinyl]-1,5-dihydro-5-methyl-6-propyl-  
(CA INDEX NAME)

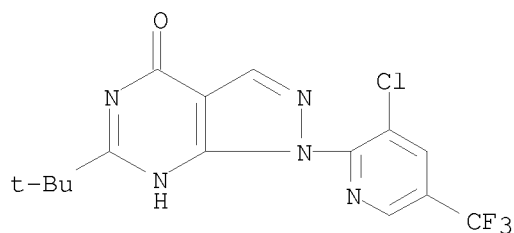


RN 623584-65-6 CAPLUS  
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,  
1-[3-chloro-5-(trifluoromethyl)-2-pyridinyl]-1,5-dihydro-6-(2-  
methylpropyl)- (CA INDEX NAME)

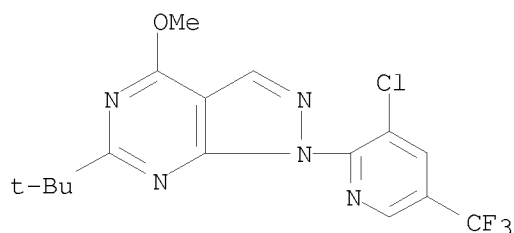
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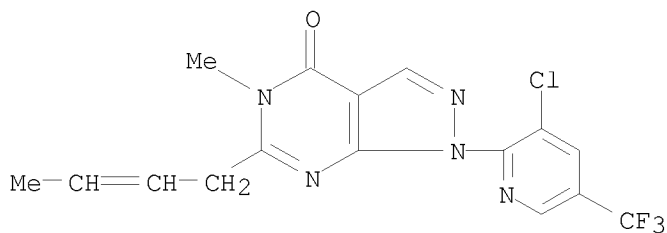
RN 623584-66-7 CAPLUS  
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,  
1-[3-chloro-5-(trifluoromethyl)-2-pyridinyl]-6-(1,1-dimethylethyl)-1,5-  
dihydro- (CA INDEX NAME)



RN 623584-67-8 CAPLUS  
CN 1H-Pyrazolo[3,4-d]pyrimidine, 1-[3-chloro-5-(trifluoromethyl)-2-pyridinyl]-  
6-(1,1-dimethylethyl)-4-methoxy- (CA INDEX NAME)

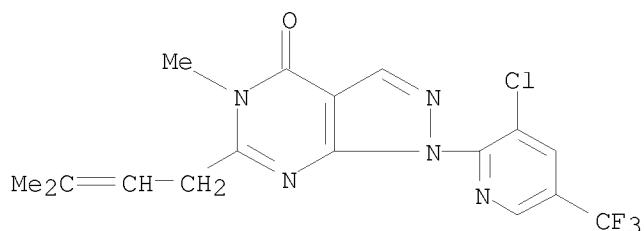


RN 623584-68-9 CAPLUS  
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,  
6-(2-buten-1-yl)-1-[3-chloro-5-(trifluoromethyl)-2-pyridinyl]-1,5-dihydro-  
5-methyl- (CA INDEX NAME)

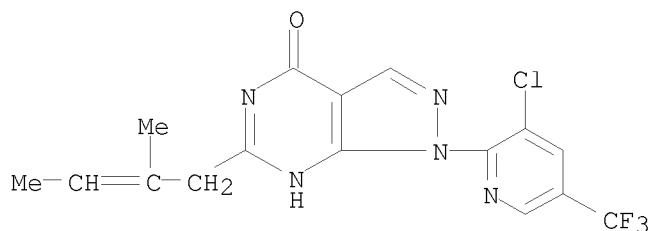


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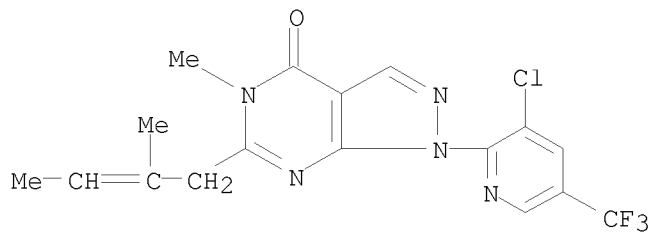
RN 623584-69-0 CAPLUS  
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,  
1-[3-chloro-5-(trifluoromethyl)-2-pyridinyl]-1,5-dihydro-5-methyl-6-(3-  
methyl-2-buten-1-yl)- (CA INDEX NAME)



RN 623584-70-3 CAPLUS  
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,  
1-[3-chloro-5-(trifluoromethyl)-2-pyridinyl]-1,5-dihydro-6-(2-methyl-2-  
buten-1-yl)- (CA INDEX NAME)

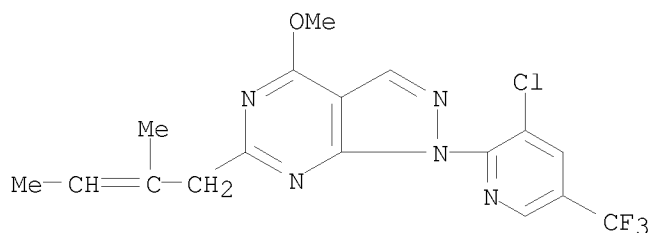


RN 623584-71-4 CAPLUS  
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,  
1-[3-chloro-5-(trifluoromethyl)-2-pyridinyl]-1,5-dihydro-5-methyl-6-(2-  
methyl-2-buten-1-yl)- (CA INDEX NAME)

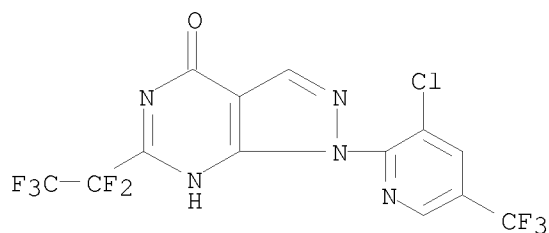


RN 623584-72-5 CAPLUS  
CN 1H-Pyrazolo[3,4-d]pyrimidine, 1-[3-chloro-5-(trifluoromethyl)-2-pyridinyl]-  
4-methoxy-6-(2-methyl-2-buten-1-yl)- (CA INDEX NAME)

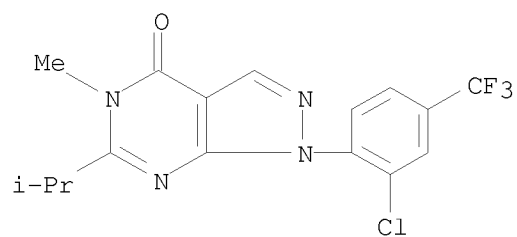
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RN 623584-78-1 CAPLUS  
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,  
1-[3-chloro-5-(trifluoromethyl)-2-pyridinyl]-1,5-dihydro-6-(1,1,2,2,2-  
pentafluoroethyl)- (CA INDEX NAME)



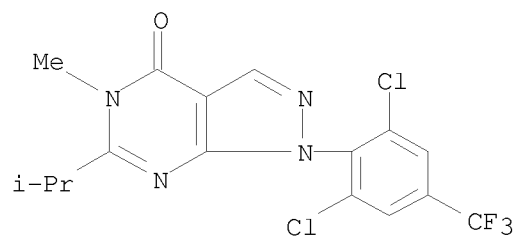
RN 623584-98-5 CAPLUS  
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,  
1-[2-chloro-4-(trifluoromethyl)phenyl]-1,5-dihydro-5-methyl-6-(1-  
methylethyl)- (CA INDEX NAME)



RN 623584-99-6 CAPLUS  
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,  
1-[2,6-dichloro-4-(trifluoromethyl)phenyl]-1,5-dihydro-5-methyl-6-(1-  
methylethyl)- (CA INDEX NAME)



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OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD  
(1 CITINGS)

L5 ANSWER 17 OF 28 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2003:736859 CAPLUS

DOCUMENT NUMBER: 140:163756

TITLE: Design, synthesis, and antimicrobial activity of some new pyrazolo[3,4-d]pyrimidines

AUTHOR(S): Abdel-Gawad, Soad M.; Ghorab, M. M.; El-Sharief, A. M. Sh.; El-Telbany, F. A.; Abdel-Alla, M.

CORPORATE SOURCE: Department of Chemistry, Faculty of Science (Girl's), Al-Azhar University, Cairo, Egypt

SOURCE: Heteroatom Chemistry (2003), 14(6), 530-534

CODEN: HETCE8; ISSN: 1042-7163

PUBLISHER: John Wiley &amp; Sons, Inc.

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 140:163756

AB 2-Benzyl- and 2-aryloxymethyl-3-amino-1-phenyl-pyrazolo[3,4-d]pyrimidine-4-ones were synthesized by reacting arylacetyl amino derivs. with hydrazine hydrate. Thionation of the above compds. by action of P2S5 in pyridine yielded 2-aryloxy-methyl-3-amino-1-phenyl-pyrazolo[3,4-d]pyrimidin-4-thiones. 2,5-Diphenyl-2,3-dihydro-1H-pyrazolo[5',1':4:5]-pyrazolo[3,4-d]pyrimidine-8-one was also obtained via reaction of ethyl-2-cinnamoylamino-1-phenyl-pyrazole-4-carboxylate with hydrazine hydrate. The prepared compds. were screened in vitro for their antimicrobial activity. Some of the tested compds. were found to be active at 100 µg/mL compared with reference compds. (Ampicillin and Trivid) as antibacterial agents and claforan as antifungal agent.

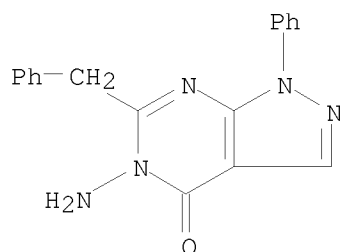
IT 654069-43-9P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)

(design, synthesis, and antibacterial activity of some new pyrazolo[3,4-d]pyrimidines from a phenylpyrazole carboxylate)

RN 654069-43-9 CAPLUS

CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,  
5-amino-1,5-dihydro-1-phenyl-6-(phenylmethyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 6 THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD (6 CITINGS)

REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

10556224

L5 ANSWER 18 OF 28 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1998:226504 CAPLUS

DOCUMENT NUMBER: 128:282737

ORIGINAL REFERENCE NO.: 128:55970h,55971a

TITLE: Catalytic action of azolium salts. IX. Synthesis of 6-aroysl-9H-purines and their analogs by nucleophilic aroylation catalyzed by imidazolium or benzimidazolium salt

AUTHOR(S): Miyashita, Akira; Suzuki, Yumiko; Iwamoto, Ken-Ichi; Higashino, Takeo

CORPORATE SOURCE: School of Pharmaceutical Sciences, University of Shizuoka, Shizuoka, 422, Japan

SOURCE: Chemical & Pharmaceutical Bulletin (1998), 46(3), 390-399

CODEN: CPBTAL; ISSN: 0009-2363

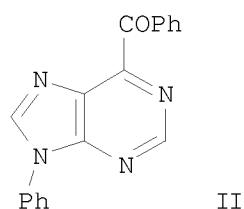
PUBLISHER: Pharmaceutical Society of Japan

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 128:282737

GI



AB In the presence of 1,3-dimethylimidazolium iodide (I), 6-chloro-9-phenyl-9H-purine and 4-chloro-5,6-dimethylpyrrolo[2,3-d]pyrimidines underwent nucleophilic aroylation with arenecarbaldehydes to give the corresponding fused aroylpyrimidines, e.g. II. 1,3-Dimethylbenzimidazolium iodide (III) was an effective catalyst for the similar synthesis of 7-aroysl-3-phenyl-3H-1,2,3-triazolo[4,5-d]pyrimidines. In the synthesis of 4-aroysl-1H-pyrazolo[3,4-d]pyrimidines, both azolium salts I and III were effective as catalysts. Moreover, 4-aroysl-7H-pyrrolo[2,3-d]pyrimidines were obtained in good yields via the 4-tosyl derivs., in the presence of catalytic amts. of sodium p-toluenesulfinate and the imidazolium salt I. This catalytic aroylation was found to be a facile and useful method for the synthesis of 6-aroysl-9H-purines and their analogs.

IT 5394-42-3

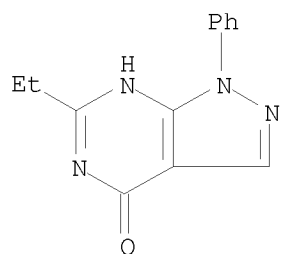
RL: RCT (Reactant); RACT (Reactant or reagent)

(synthesis of 6-aroysl-9H-purines and analogs via nucleophilic aroylation catalyzed by imidazolium or benzimidazolium salt)

RN 5394-42-3 CAPLUS

CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 6-ethyl-1,5-dihydro-1-phenyl- (CA INDEX NAME)

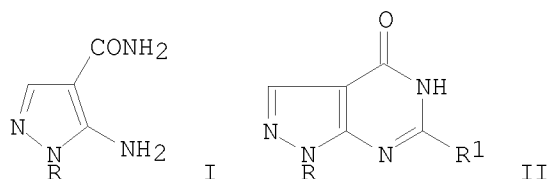
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OS.CITING REF COUNT:	25	THERE ARE 25 CAPLUS RECORDS THAT CITE THIS
		RECORD (25 CITINGS)
REFERENCE COUNT:	25	THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS
		RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

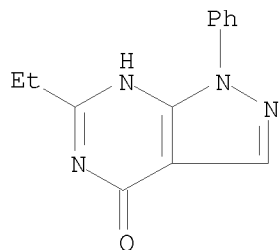
10556224

L5 ANSWER 19 OF 28 CAPLUS COPYRIGHT 2010 ACS on STN  
ACCESSION NUMBER: 1992:174107 CAPLUS  
DOCUMENT NUMBER: 116:174107  
ORIGINAL REFERENCE NO.: 116:29471a,29474a  
TITLE: Versatile synthesis of  
6-alkyl(aryl)-1H-pyrazolo[3,4-d]pyrimidin-4[5H]-ones  
AUTHOR(S): Reddy, K. Hemender; Reddy, A. Panduranga;  
Veeranagaiah, V.  
CORPORATE SOURCE: Nizam Coll., Osmania Univ., Hyderabad, 500 001, India  
SOURCE: Indian Journal of Chemistry, Section B: Organic  
Chemistry Including Medicinal Chemistry (1992),  
31B(3), 163-6  
CODEN: IJSBDB; ISSN: 0376-4699  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
OTHER SOURCE(S): CASREACT 116:174107  
GI



AB Condensation of 5-amino-1H-pyrazole-4-carboxamide (I, R = H) with various aromatic aldehydes furnishes 6-substituted 1H-pyrazolo[3,4-d]pyrimidin-4(5H)-ones II (R1 = Ph, substituted Ph) via the intermediate 5-(N-arylideneamino)pyrazole-4-carboxamides. II were also synthesized by the reaction of I (R = H) with aromatic carboxylic acids in polyphosphoric acid (PPA) or polyphosphate ester (PPE). Similar treatment of I (R = Ph, Me) with aromatic aldehydes and aromatic carboxylic acids gives exclusively 6-substituted 1-methyl/phenyl-1H-pyrazolo[3,4-d]pyrimidin-4(5H)-ones. The title compds. have were also synthesized by the reaction of I with arylideneanilines.

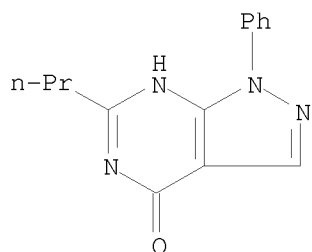
IT 5394-42-3P 130925-64-3P 139954-52-2P  
139954-53-3P  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)  
RN 5394-42-3 CAPLUS  
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 6-ethyl-1,5-dihydro-1-phenyl- (CA  
INDEX NAME)



10556224

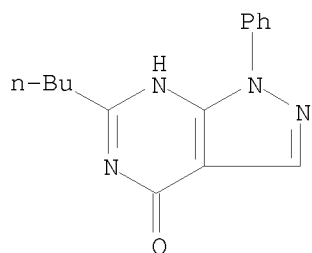
RN 130925-64-3 CAPLUS

CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1,5-dihydro-1-phenyl-6-propyl- (CA INDEX NAME)



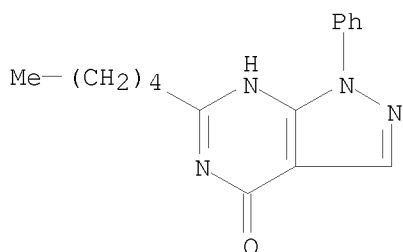
RN 139954-52-2 CAPLUS

CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 6-butyl-1,5-dihydro-1-phenyl- (CA INDEX NAME)



RN 139954-53-3 CAPLUS

CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1,5-dihydro-6-pentyl-1-phenyl- (CA INDEX NAME)



OS.CITING REF COUNT: 4

THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD  
(4 CITINGS)

10556224

L5 ANSWER 20 OF 28 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1991:429256 CAPLUS

DOCUMENT NUMBER: 115:29256

ORIGINAL REFERENCE NO.: 115:5149a,5152a

TITLE: Synthesis of ethyl-5-amino-1-(5-ethyl-5H-1,2,4-triazino[5,6-b]indol-3-yl)-1H-pyrazole-4-carboxylate and pyrazolo[3,4-d]pyrimidine derivatives

AUTHOR(S): Younes, M. I.; Abbas, H. H.; Metwally, S. A. M.

CORPORATE SOURCE: Fac. Sci., Assiut Univ., Quena, Egypt

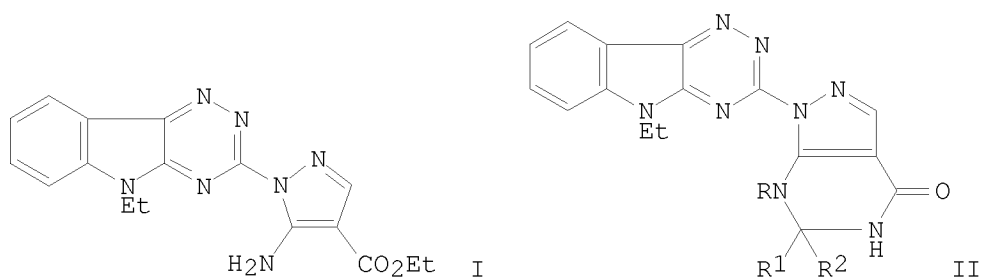
SOURCE: Pharmazie (1991), 46(2), 98-100

CODEN: PHARAT; ISSN: 0031-7144

DOCUMENT TYPE: Journal

LANGUAGE: English

GI



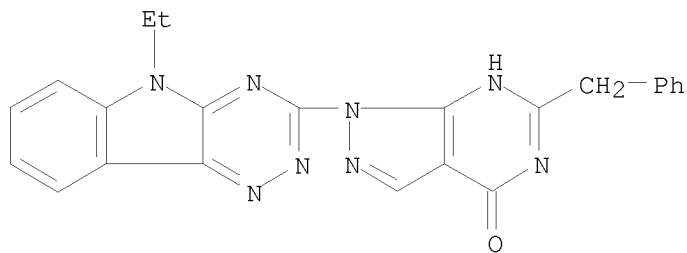
AB Ethoxymethylene cyanoacetate reacts with 5-ethyl-3-hydrazino-5H-1,2,4-triazino[5,6-b]indole to give amino(triazinoindolyl)pyrazolecarboxylate (I). I reacts with urea, thiourea and benzylnitrile to give pyrazolo[3,4-d]pyrimidine derivs. II (R = H, R1R2 = O, S; RR1 = bond, R2 = CH2Ph, resp.). The reaction of I with other reagents such as acid chlorides, acid anhydrides, hydrazines and ammonium thiocyanate was also studied.

IT 134513-78-3P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of)

RN 134513-78-3 CAPLUS

CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1-(5-ethyl-5H-1,2,4-triazino[5,6-b]indol-3-yl)-1,5-dihydro-6-(phenylmethyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 13 THERE ARE 13 CAPLUS RECORDS THAT CITE THIS

10556224

RECORD (13 CITINGS)



L5 ANSWER 21 OF 28 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1991:6429 CAPLUS

DOCUMENT NUMBER: 114:6429

ORIGINAL REFERENCE NO.: 114:1267a,1270a

TITLE: Studies on pyrazolo[3,4-d]pyrimidine derivatives.

XVIII. Facile preparation of

1H-pyrazolo[3,4-d]pyrimidin-4(5H)-ones

AUTHOR(S): Miyashita, Akira; Iijima, Chihoko; Higashino, Takeo;

Matsuda, Hideaki

CORPORATE SOURCE: Sch. Pharm. Sci., Univ. Shizuoka, Shizuoka, 422, Japan

SOURCE: Heterocycles (1990), 31(7), 1309-14

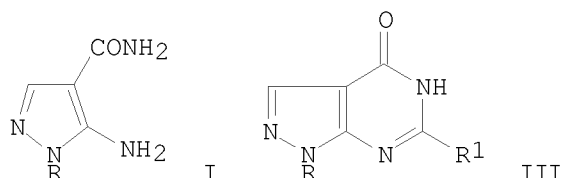
CODEN: HTCYAM; ISSN: 0385-5414

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 114:6429

GI



AB Reaction of 5-amino-1-phenyl-1H-pyrazole-4-carboxamide (I, R = Ph) with R<sub>1</sub>CO<sub>2</sub>R<sub>2</sub> (II, R<sub>1</sub> = H, Me, Et, Pr, Me<sub>2</sub>CH, PHCH<sub>2</sub>, CO<sub>2</sub>Et, Ph; R<sub>2</sub> = Me, Et) in the presence of EtONa-EtOH gave 1-phenylpyrazolopyrimidinones III (R = Ph). Similar treatment of I (R = Me) with II gave III (R = Me).

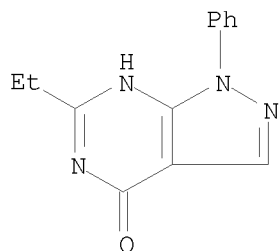
IT 5394-42-3P 94331-62-1P 130925-64-3P

130925-65-4P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

RN 5394-42-3 CAPLUS

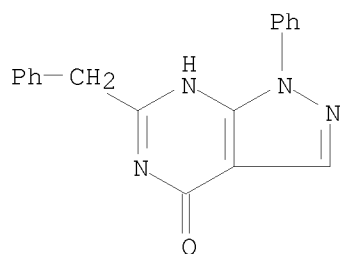
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 6-ethyl-1,5-dihydro-1-phenyl- (CA INDEX NAME)



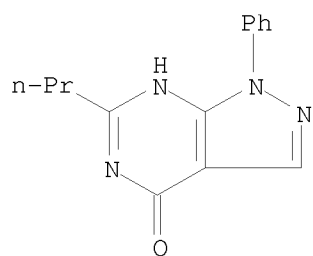
RN 94331-62-1 CAPLUS

CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1,5-dihydro-1-phenyl-6-(phenylmethyl)-  
(CA INDEX NAME)

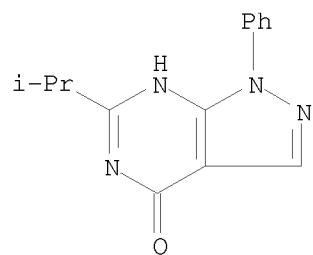
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RN 130925-64-3 CAPLUS  
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1,5-dihydro-1-phenyl-6-propyl- (CA INDEX NAME)



RN 130925-65-4 CAPLUS  
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1,5-dihydro-6-(1-methylethyl)-1-phenyl- (CA INDEX NAME)



OS.CITING REF COUNT: 17 THERE ARE 17 CAPLUS RECORDS THAT CITE THIS RECORD (17 CITINGS)

L5 ANSWER 22 OF 28 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1977:567969 CAPLUS

DOCUMENT NUMBER: 87:167969

ORIGINAL REFERENCE NO.: 87:26547a,26550a

TITLE: Synthesis of condensed heterocyclic systems of pyrazole

AUTHOR(S): Alonso, G.; Madronero, R.; Nebreda, L.

CORPORATE SOURCE: Inst. Quim. Med., Madrid, Spain

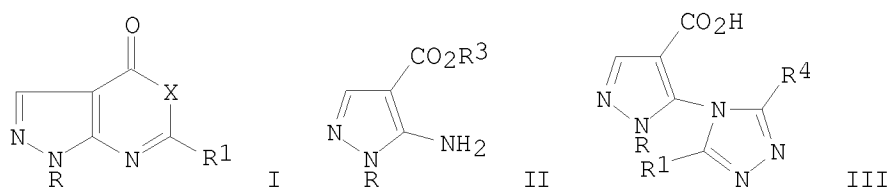
SOURCE: Anales de Quimica (1968-1979) (1976), 72(11-12), 897-901

CODEN: ANQUBU; ISSN: 0365-4990

DOCUMENT TYPE: Journal

LANGUAGE: Spanish

GI



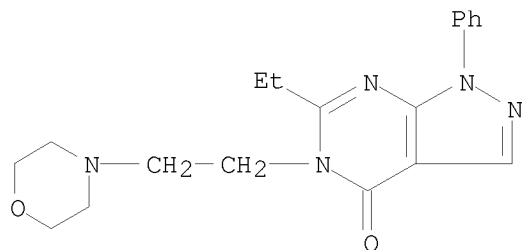
AB Pyrazolopyrimidines I (R = Ph, 2-ClC6H4; R1 = Me, Et; X = NR2, R2 = morpholinoethyl, morpholinopropyl, NH2, NHPH) were prepared by condensing EtOCH:C(CN)CO2Et with RNHNH2, hydrolyzing II (R3 = Et), cyclizing II (R3 = H) with (R1CO)2O, and treating I (X = O), with R2NH2. Reaction of I (X = O) with H2NNHCO2Et gave I (X = NNHCO2Et), whereas R4CONHNH2 (R4 = CHMe2, CH2CN, 2-furyl, 3-pyridyl, 1-naphthyl, 2-naphthyl, 3-indolyl, 2-indolyl, Me, Ph, PhCH2) gave III and 1-naphthylacetylhydrazine gave a mixture of I (X = NNHCOCH2C10H7) and III (R4 = 1-naphthylmethyl).

IT 64257-08-5P 64257-09-6P 64257-10-9P

64257-17-6P 64257-19-8P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

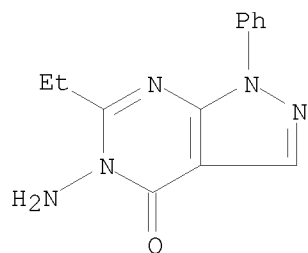
RN 64257-08-5 CAPLUS

CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,  
6-ethyl-1,5-dihydro-5-[2-(4-morpholinyl)ethyl]-1-phenyl- (CA INDEX NAME)

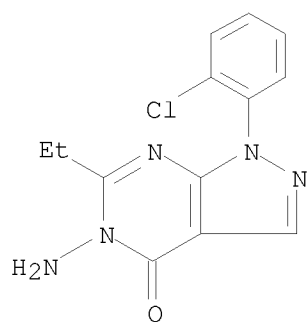
RN 64257-09-6 CAPLUS

CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 5-amino-6-ethyl-1,5-dihydro-1-phenyl-  
(CA INDEX NAME)

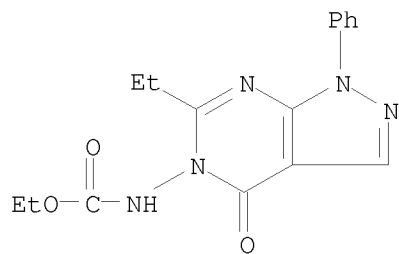
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RN 64257-10-9 CAPLUS  
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,  
5-amino-1-(2-chlorophenyl)-6-ethyl-1,5-dihydro- (CA INDEX NAME)

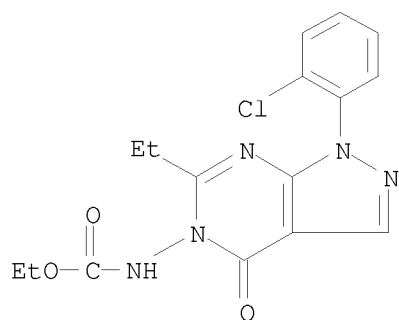


RN 64257-17-6 CAPLUS  
CN Carbamic acid, (6-ethyl-1,4-dihydro-4-oxo-1-phenyl-5H-pyrazolo[3,4-  
d]pyrimidin-5-yl)-, ethyl ester (9CI) (CA INDEX NAME)



RN 64257-19-8 CAPLUS  
CN Carbamic acid, [1-(2-chlorophenyl)-6-ethyl-1,4-dihydro-4-oxo-5H-  
pyrazolo[3,4-d]pyrimidin-5-yl]-, ethyl ester (9CI) (CA INDEX NAME)

10556224



OS.CITING REF COUNT: 2

THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD  
(2 CITINGS)

L5 ANSWER 23 OF 28 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1965:22609 CAPLUS  
 DOCUMENT NUMBER: 62:22609  
 ORIGINAL REFERENCE NO.: 62:4037c-e  
 TITLE: Pyrazolo[3,4-d]pyrimidines  
 PATENT ASSIGNEE(S): CIBA Ltd.  
 SOURCE: 7 pp.  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Unavailable  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 973361		19641028	GB 1961-17103	19610510
PRIORITY APPLN. INFO.:			CH	19600511

GI For diagram(s), see printed CA Issue.

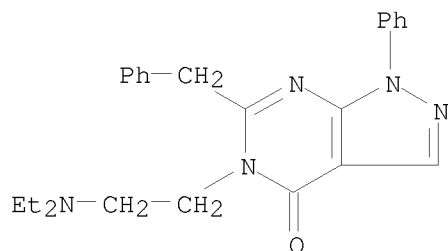
AB The title compds. (I) were prepared by alkylating a 1,6-disubstituted 4-hydroxypyrazolo[3,4-d]pyrimidine with a dialkylaminoalkyl chloride or Me<sub>2</sub>SO<sub>4</sub>. Thus, a solution of 1.15 g. Na in 40 ml. EtOH was added to 14.1 g. 1-sec-butyl-4-hydroxy-6-benzylpyrazolo[3,4-d]pyrimidine followed by 7.5 g. Et<sub>2</sub>NCH<sub>2</sub>CH<sub>2</sub>Cl and the mixture refluxed 4 hrs. to give the hydrochloride of I (R<sub>1</sub> = sec-Bu, R<sub>2</sub> = Et<sub>2</sub>NCH<sub>2</sub>CH<sub>2</sub>, R<sub>3</sub> = PhCH<sub>2</sub>), m. 147-8°. The following I were prepared similarly (R<sub>1</sub>, R<sub>2</sub>, R<sub>3</sub>, m.p. free base, and m.p. hydrochloride given): iso-Pr, Me, PhCH<sub>2</sub>, 96-7°, --; iso-Pr, Me<sub>2</sub>NCH<sub>2</sub>CH<sub>2</sub>, PhCH<sub>2</sub>, 115-17°, 229-31°; iso-Pr, Et<sub>2</sub>NCH<sub>2</sub>CH<sub>2</sub>, PhCH<sub>2</sub>, --, 202-3°; iso-Pr, Et<sub>2</sub>N(CH<sub>2</sub>)<sub>3</sub>, PhCH<sub>2</sub>, 70-1°, 173-5°; Me, Et<sub>2</sub>NCH<sub>2</sub>CH<sub>2</sub>, PhCH<sub>2</sub>, 83-5°, 219°; Ph, Et<sub>2</sub>NCH<sub>2</sub>CH<sub>2</sub>, PhCH<sub>2</sub>, 103-5°, 225°; iso-Pr, Et<sub>2</sub>NCH<sub>2</sub>CH<sub>2</sub>, Me, --, --; iso-Pr, Me, iso-Pr, 75-7°, --; iso-Pr, Et<sub>2</sub>NCH<sub>2</sub>CH<sub>2</sub>, iso-Pr, --(b0.05 138-40°), --; iso-Pr, Et<sub>2</sub>NCH<sub>2</sub>CH<sub>2</sub>, Ph<sub>2</sub>CH, 124-5°, --. The title compds. had coronary dilating properties.

IT 1177-04-4

(Derived from data in the 7th Collective Formula Index (1962-1966))

RN 1177-04-4 CAPLUS

CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,  
 5-[2-(diethylamino)ethyl]-1,5-dihydro-1-phenyl-6-(phenylmethyl)-,  
 hydrochloride (1:1) (CA INDEX NAME)



● HCl

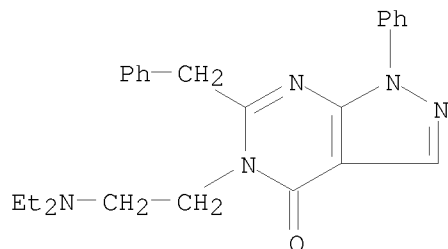
IT 1254-49-5P, 4H-Pyrazolo[3,4-d]pyrimidin-4-one,  
 6-benzyl-5-[2-(diethylamino)ethyl]-1,5-dihydro-1-phenyl-

10556224

101405-08-7P, 4H-Pyrazolo[3,4-d]pyrimidin-4-one,  
6-benzyl-5-[2-(diethylamino)ethyl]-1,5-dihydro-1-phenyl-, hydrochloride  
RL: PREP (Preparation)  
(preparation of)

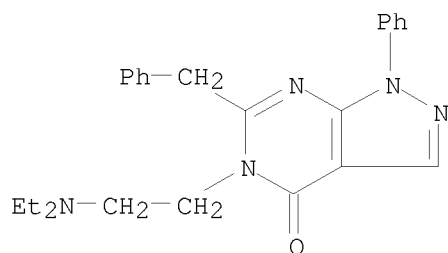
RN 1254-49-5 CAPLUS

CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,  
5-[2-(diethylamino)ethyl]-1,5-dihydro-1-phenyl-6-(phenylmethyl)- (CA  
INDEX NAME)



RN 101405-08-7 CAPLUS

CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,  
5-[2-(diethylamino)ethyl]-1,5-dihydro-1-phenyl-6-(phenylmethyl)-,  
hydrochloride (1:?) (CA INDEX NAME)



● x HCl

L5 ANSWER 24 OF 28 CAPLUS COPYRIGHT 2010 ACS on STN

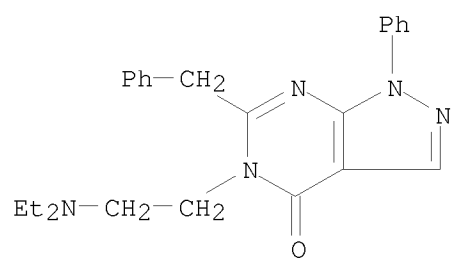
ACCESSION NUMBER: 1965:22608 CAPLUS  
 DOCUMENT NUMBER: 62:22608  
 ORIGINAL REFERENCE NO.: 62:4037a-c  
 TITLE: O-( $\alpha$ -Tetrahydropyranyl)-S-alkoxycarbonyl  
 thiamines with vitamin B1 activity  
 INVENTOR(S): Takamizawa, Akira; Hirai, Kentaro  
 PATENT ASSIGNEE(S): Shionogi & Co., Ltd.  
 SOURCE: 17 pp.  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Unavailable  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR M2755		19640928	FR	
DE 1226586			DE	
PRIORITY APPLN. INFO.:			JP	19620727

OTHER SOURCE(S): MARPAT 62:22608  
 GI For diagram(s), see printed CA Issue.  
 AB I (R = 2-pyranyl) have a rapid and long-lasting vitamin B1 activity. They are prepared by the reaction of I (R = H, II) with 4H-dihydropyran in the presence of an acid catalyst. II are prepared from the alkali salts III (where M = Na or K) of the thiol form of thiamine (IV) with compds. XCOYR, where X is a halogen atom. Thus, 0.35 mL. HCl is added to a suspension of 1 g. S-ethoxycarbonylthiamine (V) in 10 mt. 4H-dihydropyran, the mixture stirred, the separated crystals are taken up in H2O, the solution is shaken with Et2O, and NH4OH added to precipitate 0.80 g. O-( $\alpha$ -tetrahydropyranyl)-S-(ethoxycarbonyl)thiamine, m. 73-4° (H2O + EtOH). For the preparation of V, m. 140° (decomposition) (AcOEt), IV.HCl is dissolved in aqueous NaOH, the solution saturated with NaCl, and ClCO2Et added. Other compds. prepared are O-( $\alpha$ -tetrahydropyranyl)-S-(butoxycarbonyl)thiamine, m. 125°; S-butoxycarbonylthiamine, m. 139-40° (decomposition); O-( $\alpha$ -tetrahydropyranyl)-S-ethylthiocarbonylthiamine, m. 102-3°; and S-ethylthiocarbonylthiamine, m. 136-7° (decomposition).  
 IT 1177-04-4  
 (Derived from data in the 7th Collective Formula Index (1962-1966))  
 RN 1177-04-4 CAPLUS  
 CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 5-[2-(diethylamino)ethyl]-1,5-dihydro-1-phenyl-6-(phenylmethyl)-, hydrochloride (1:1) (CA INDEX NAME)



10556224



● HCl

L5 ANSWER 25 OF 28 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1963:469189 CAPLUS  
 DOCUMENT NUMBER: 59:69189  
 ORIGINAL REFERENCE NO.: 59:12820a-h,12821a  
 TITLE: Pyrazolo[3,4-d]pyrimidines  
 INVENTOR(S): Schmidt, Paul; Eichenberger, Kurt; Wilhelm, Max  
 PATENT ASSIGNEE(S): CIBA Ltd.  
 SOURCE: 7 pp.  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Unavailable  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 1149013		19630522	DE	
PRIORITY APPLN. INFO.:			CH	19600511

GI For diagram(s), see printed CA Issue.

AB 4-Oxo-4,5-dihydropyrazolo[3,4-d]pyrimidines (I), possessing vasodilating ability, are described in which R1 = H, alkyl or phenyl group, R2 = H or lower alkyl group, R3 = HO, halogen, NR5R6 (R5 and R6 = H, alkyl groups or joined together through O, S, or N) (or the position may be unsubstituted), R4 = alkyl or aralkyl group. The most active compds., I (R1 = iso-Pr, R2 = H, R3 = Et2NCH2CH2, R4 = PhCH2) (II) and I (R1 = sec-Bu, R2 = H, R3 = Et2NCH2CH2, R4 = PhCH2) (III) at a concentration of 10  $\gamma$ /ml. increase coronary blood flow 78-73% in the Langendorf isolated dog heart procedure. In the same test, 1-isopropyl-4-diethylaminopyrazolo-[3,4-d]pyrimidine (CA 55, 13457a) at the same concentration causes an increase of 60%. In the compds. described below

R2 = H. Na (2.3 g.) is finely dispersed in 50 ml. PhCH2CN and 9.9 g. 2-isopropyl-3-amino-4-carbethoxypyrazole (IV) added. The mixture is heated to 110-20° with stirring for 4 hrs. and cooled, 100 ml. alc. is added, and the mixture evaporated to dryness in vacuo. The residue is taken into 150 ml. 2N NaOH, extracted with CHCl3 to remove undissolved material and adjusted to pH 5 to 6 with 6N HCl to yield 1-isopropyl-4-hydroxy-6-benzylpyrazolo[3,4-d]pyrimidine (V), m. 165-6° (alc.). V in 30 ml. N NaOH treated with Me2SO4 gave I (R1 = iso-Pr, R3 = Me, R4 = PhCH2) (VI), m. 96-7°. The procedure similar to that used for the preparation of IV is used to prepare 1-sec-butyl-4-hydroxy-6-benzylpyrazolo[3,4-d]pyrimidine (VII), m. 154-5°. A solution of 1.15 g. Na in 40 ml. absolute alc. is added to 14.4 g. VII in 60 ml. absolute alc. and refluxed 4 hrs. after the addition of 7.5 g. Et2NCH2CH2Cl to give after HCl treatment 15.4 g. III.HCl, m. 147-8°. Similarly, 13.4 g. V is allowed to react with 1.2 g. Na in 300 ml. absolute EtOH, then with 5.5 g. Me2NCH2CH2Cl to yield 10.2 g. I (R1 = iso-Pr, R3 = Me2NCH2CH2, R4 = PhCH2) (VIII), m. 115-17°; VIII.HCl m. 229-31°. V, as the Na salt, is allowed to react with Et2NCH2CH2Cl to yield I (R1 = iso-Pr, R3 = Et2NCH2CH2, R4 = PhCH2).HCl, m. 202-3°. When V, as the Na salt, is allowed to react with Et2NCH2CH2CHCl, II.HCl, m. 173-5°, is isolated. 1-Methyl-4-hydroxy-6-benzylpyrazolo[3,4-d]pyrimidine (IX) is prepared from 2-methyl-3-amino-4-carbethoxypyrazole and PhCH2CN (X) by the procedure for the preparation of V. The reaction of 12 g. IX with 1.2 g. Na in 25 ml.

absolute

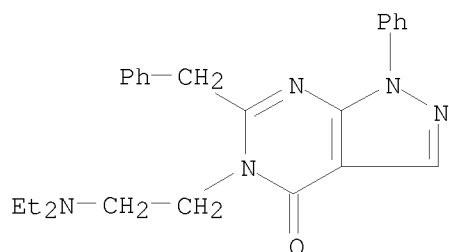
alc. followed by the addition of 6 g. Et2NCH2CH2Cl leads to the isolation of I (R1 = Me, R3 = Et2NCH2CH2, R4 = PhCH2) (XI), m. 83-5° XI.HCl m.

219°. Likewise, 2-phenyl-3-amino-4-carbethoxypyrazole and X yields 1-phenyl-6-benzyl-4-hydroxypyrazolo[3,4-d]pyrimidine, m. 264-5° which is allowed to react as the Na salt with Et<sub>2</sub>NCH<sub>2</sub>CH<sub>2</sub>Cl to give I (R<sub>1</sub> = Ph, R<sub>3</sub> = Et<sub>2</sub>NCH<sub>2</sub>CH<sub>2</sub>, R<sub>4</sub> = PhCH<sub>2</sub>) (XII), m. 103 5° XII.HCl m. 225°. To an ice-cooled solution of 9.9 g. IV in 50 ml. MeCN is added 2.3 g. Na and the temperature of reaction kept below 30°. After the addition, the mixture is heated to 90-95° for 4 hrs., cooled, and 100 ml. EtOH added. The mixture is evaporated to dryness and residue treated with 150 ml. 2N NaOH, extracted with CHCl<sub>3</sub> and the aqueous layer adjusted to pH 3 to 4 with 5N HCl and the precipitate crystallized from alc. to give 1-isopropyl-4-hydroxy-6-methylpyrazolo[3,4-d]pyrimidine (XIII), m. 195-6°. The reaction of 9.1 g. XII with 1.2 g. Na in 150 ml. absolute alc., followed by the addition of 7 g. Et<sub>2</sub>NCH<sub>2</sub>CH<sub>2</sub>Cl, and 4 hrs. reflux yields 7 g. I (R<sub>1</sub> = iso-Pr, R<sub>3</sub> = Et<sub>2</sub>NCH<sub>2</sub>CH<sub>2</sub>, R<sub>4</sub> = Me), m. 166-8°. 1,6-Diisopropyl-4-hydroxypyrazolo[3,4-d]pyrimidine (XIV), m. 175-7°, is prepared from iso-BuCN and IV in the presence of Na. A solution of 11 g. XIV in 75 ml. 2N NaOH solution is stirred at room temperature with 6.3 g. Me<sub>2</sub>SO<sub>4</sub> and allowed to stand overnight to yield 9 g. I (R<sub>1</sub> = R<sub>4</sub> = iso-Pr, R<sub>3</sub> = Me), m. 175-7°. XIV (10 g.) is added to a solution of 1.05 g. Na in 150 ml. absolute alc., stirred 1 hr. at room temperature and 6.5 g. Et<sub>2</sub>. NCH<sub>2</sub>CH<sub>2</sub>Cl is added. The mixture is refluxed 4 hrs., evaporated to dryness in vacuo and the residue dissolved in 100 ml. N HCl, adjusted to a pH with NaOH solution and the oil that results is extracted with Et<sub>2</sub>O. The residue, after removal of the Et<sub>2</sub>O, is distilled to yield 9 g. I (R<sub>1</sub> = R<sub>4</sub> = iso-Pr, R<sub>3</sub> = Et<sub>2</sub>NCH<sub>2</sub>CH<sub>2</sub>), b<sub>0.05</sub> 138-40°. A mixture of 20 g. X and 19.7 g. IV is warmed to 70° and 2.3 g. of Na in small pieces added. The mixture is heated 4 hrs. at 110-20°, allowed to cool, and the excess Na destroyed by the addition of alc. The mixture is evaporated to dryness in vacuo, the residue treated with 300 ml. H<sub>2</sub>O and 2N HCl added to adjust the pH to 3. The precipitate is removed by filtration and crystallized from petr. ether to yield 1-isopropyl-4-hydroxy-6-diphenylmethylpyrazolo[3,4-d]pyrimidine (XV), m. 226 7°. XV(5.2 g.) is added to a solution of 0.35g. Na in 150 ml. EtOH, the mixture stirred at room temperature and 2.1 g. Et<sub>2</sub>NCH<sub>2</sub>CH<sub>2</sub>Cl is added. The mixture is refluxed 4 hrs. and evaporated to dryness in vacuo and the residue crystallized from petr. ether to yield 3.8 g. I (R<sub>1</sub> = iso-Pr, R<sub>3</sub> = Et<sub>2</sub>NCH<sub>2</sub>CH<sub>2</sub>, R<sub>4</sub> = Ph<sub>2</sub>CH), m. 124-5°.

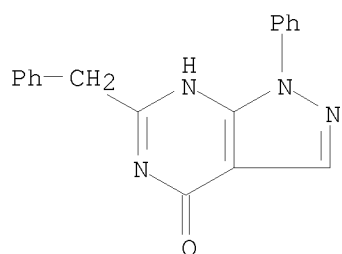
IT 1254-49-5P, 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 6-benzyl-5-[2-(diethylamino)ethyl]-1,5-dihydro-1-phenyl- 94331-62-1P, 1H-Pyrazolo[3,4-d]pyrimidin-4-ol, 6-benzyl-1-phenyl- 101405-08-7P, 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 6-benzyl-5-[2-(diethylamino)ethyl]-1,5-dihydro-1-phenyl-, hydrochloride  
 RL: PREP (Preparation)  
 (preparation of)

RN 1254-49-5 CAPLUS  
 CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 5-[2-(diethylamino)ethyl]-1,5-dihydro-1-phenyl-6-(phenylmethyl)- (CA INDEX NAME)

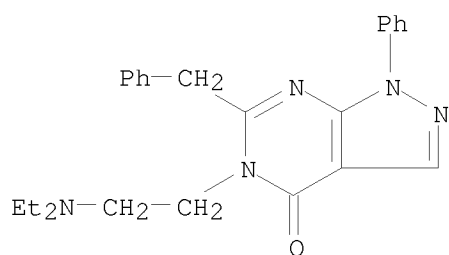
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RN 94331-62-1 CAPLUS  
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1,5-dihydro-1-phenyl-6-(phenylmethyl)-  
(CA INDEX NAME)



RN 101405-08-7 CAPLUS  
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,  
5-[2-(diethylamino)ethyl]-1,5-dihydro-1-phenyl-6-(phenylmethyl)-,  
hydrochloride (1:?) (CA INDEX NAME)



●<sub>x</sub> HCl

OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD  
(1 CITINGS)

L5 ANSWER 26 OF 28 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1963:408986 CAPLUS

DOCUMENT NUMBER: 59:8986

ORIGINAL REFERENCE NO.: 59:1635g-h

TITLE: New synthesis of pyrazolo[3,4-d]pyrimidines with  
dilatatory effect on coronary vesselsAUTHOR(S): Schmidt, Paul; Eichenberger, Kurt; Wilhelm, Max;  
Burckhardt, Christoph A.

CORPORATE SOURCE: CIBA S. A., Basel, Switz.

SOURCE: Annali di Chimica (Rome, Italy) (1963), 53, 61-9  
CODEN: ANCRAI; ISSN: 0003-4592

DOCUMENT TYPE: Journal

LANGUAGE: French

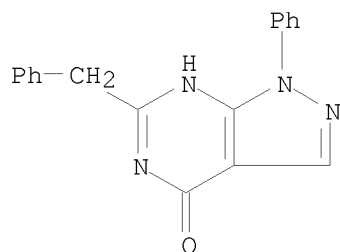
AB cf. Helv. Chim. Acta 45, 1620(1962). The position of the functional groups of 3-amino-4-carbethoxypyrazoles suggested the formation of bicyclic compds. by the action of appropriate reagents. Treatment with suitable nitriles led to a new synthesis of pyrazolo[3,4-d]pyrimidines substituted in the 6-positions, and to 6-aminopyrazolo[3,4-b]pyridines. The reaction was extended to numerous examples and the constitution of the products proved by independent syntheses (exptl. details, loc. cit.). Degradation in acid media converted the 6-substituted pyrazolopyrimidines to pyrazole derivs. Several of the compds. possessed a marked dilatatory effect on the coronary vessels.

IT 94331-62-1P, 4H-Pyrazolo[3,4-d]pyrimidin-4-one,  
6-benzyl-1,5-dihydro-1-phenyl-

RL: PREP (Preparation)  
(preparation of)

RN 94331-62-1 CAPLUS

CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1,5-dihydro-1-phenyl-6-(phenylmethyl)-  
(CA INDEX NAME)



L5 ANSWER 27 OF 28 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1962:483251 CAPLUS

DOCUMENT NUMBER: 57:83251

ORIGINAL REFERENCE NO.: 57:16611d-i,16612a-e

TITLE: Chemotherapeutic studies in the heterocyclic series.  
 XXXIV. Pyrazolopyrimidines. 5. A new synthesis of  
 pyrazolo[3,4-d]pyrimidine with coronary dilating  
 properties

AUTHOR(S): Schmidt, P.; Eichenberger, K.; Wilhelm, M.

CORPORATE SOURCE: Ciba, Basel, Switz.

SOURCE: Helvetica Chimica Acta (1962), 45, 1620-7

CODEN: HCACAV; ISSN: 0018-019X

DOCUMENT TYPE: Journal

LANGUAGE: German

OTHER SOURCE(S): CASREACT 57:83251

AB cf. CA 53, 20070d. The condensation of 3-amino-4-carbethoxypyrazoles with nitriles led to a new synthesis of 6-(C-substituted) pyrazolo[3,4-d]pyrimidines (I) and 6-aminopyrazolo[3,4-b]pyridines. The I could be cleaved with H<sub>3</sub>PO<sub>4</sub> to 3-aminopyrazole-4-carboxamide derivs. Many of the new I caused an increase of coronary flow.

2-Isopropyl-3-amino-4-carbethoxypyrazole (II) (19.7 g.) in 250 cc. 2N NaOH refluxed 2 hrs., cooled, treated with C, and acidified with concentrated HCl to pH 3-4 gave 14.5 g. 4-CO<sub>2</sub>H analog (III) of II, m. 151-2° (decomposition). III (84.5 g.) in 375 cc. dioxane and 40 cc. C<sub>5</sub>H<sub>5</sub>N treated dropwise with stirring at 10-15° with 77.3 g. PhCH<sub>2</sub>COCl in 125 cc. dry dioxane, stirred 1 hr. at 10° and 2 hrs. at room temperature, diluted with H<sub>2</sub>O and aqueous HCl, and extracted with Et<sub>2</sub>O gave 53 g. 2-isopropyl-3-phenylacetyl-amino-4-carboxypyrazole (IV), m. 162-3°. IV (8.61 g.) and 30 cc. Ac<sub>2</sub>O stirred 3 hrs. at 100-10° and evaporated yielded 3.1 g. 1-isopropyl-4-oxo-6-benzylpyrazolo[3,4-d]oxazine (V), m. 162-3° (Me<sub>2</sub>CO-petr. ether). III (30 g.) in 180 cc. dry dioxane and 16 cc. C<sub>5</sub>H<sub>5</sub>N treated dropwise with stirring at 10-15° with 31 g. PhCH<sub>2</sub>COCl in 50 cc. dioxane and processed in the usual manner gave 21 g. 4-CN analog (VI) of IV, m. 140-2° (EtOH). PhCH<sub>2</sub>CN (26.3 g.) in 250 cc. CHCl<sub>3</sub> and 13 cc. absolute EtOH saturated with dry HCl, kept overnight, evaporated below 30°, the residue dissolved in 200 cc. CHCl<sub>3</sub>, treated with 16.9 g. 2-isopropyl-3-amino-4-carbamoylpyrazole (VII) in 1800 cc. CHCl<sub>3</sub>, refluxed 10 hrs. with stirring, filtered, and evaporated yielded 2-isopropyl-3-(1-ethoxy-2-phenylethylidenimino)-pyrazole-4-carboxamide (VIII), m. 111-14° (Et<sub>2</sub>O). II (70 g.) and 140 g. PhCH<sub>2</sub>CN added during 1 hr. with stirring at 90-5° to 16.5 g. powdered Na in 300 cc. dry MePh, refluxed 7 hrs. with stirring, diluted with 240 cc. absolute EtOH, evaporated, the residue dissolved in 1.2 l. N NaOH, washed with MePh, and acidified with 5N HCl to pH 5-6 gave 62.4 g. 1-isopropyl-4-oxo-6-benzyl-4,5-dihydropyrazolo [3,4 - d]pyrimidine (IX), m. 164-6° (absolute EtOH); the alc. mother liquor concentrated, filtered, the residue (8.1 g.) shaken 0.5 hr. with 81 cc. CH<sub>2</sub>Cl<sub>2</sub>, and filtered left 4.77 g. 2-isopropyl-4-hydroxy-5-phenyl-6-aminopyrazolo[3,4-b]pyridine (X), m. 256-7° (EtOH); the CH<sub>2</sub>Cl<sub>2</sub> filtrate evaporated gave 1.9 g. IX. Similarly were prepared the following 1,6-disubstituted-4-oxo-4,5-dihydropyrazolo[3,4-d]pyrimidines (1- and 6-substituent and m.p. given): Me, PhCH<sub>2</sub>, 233-7°; Me, p-ClC<sub>6</sub>H<sub>4</sub>CH<sub>2</sub>, 268-70°; Me, 3,4,5-(MeO)C<sub>6</sub>H<sub>2</sub>CH<sub>2</sub>, 245-6°; HOCH<sub>2</sub>CH<sub>2</sub>, PhCH<sub>2</sub>, 194-5°; iso-Pr, Me, 180-2°; iso-Pr, Ph, 256-8°; iso-Pr, PhCH<sub>2</sub>, 165-6°; iso-Pr, p-EtOC<sub>6</sub>H<sub>4</sub>CH<sub>2</sub>, 175-6°; cyclopentyl, PhCH<sub>2</sub>, 189-90°; cyclohexyl, PhCH<sub>2</sub>, 207-8°; Ph,

PhCH<sub>2</sub> (XIII), 263-5°. V (5.4 g.), 50 cc. C<sub>6</sub>H<sub>6</sub>, and 15 cc. liquid NH<sub>3</sub> in a sealed tube heated 8 hrs. at 100-10°, treated with 2N NaOH, and the aqueous phase acidified with 6N HCl to pH 6 gave 0.7 g. IX. VI (6.7g.) and 27.2 cc. 10% aqueous KOH in 102 cc. 3% H<sub>2</sub>O<sub>2</sub> heated 10 hrs. at 70°, filtered, and acidified with 2N HCl to pH 5 yielded 6.12 g. IX, m. 163-5°. Crude VIII from 26.3 g. PhCH<sub>2</sub>CN and 16.9 g. VII added to 18 g. Na in 315 cc. MeOH, kept overnight, refluxed 0.5 hr., filtered, evaporated, the residue shaken with 200 cc. H<sub>2</sub>O and 200 cc. CHCl<sub>3</sub>, and the aqueous phase acidified with 5N HCl gave 16.6 g. IX. VII (8.4 g.) and 27 g. PhCH<sub>2</sub>CONH<sub>2</sub> heated 4 hrs. at 200-10°, cooled, powdered, extracted with 2N NaOH, and the alkaline extract acidified with 2N HCl to pH 3 yielded

3.2

g. IX, m. 165-6° (EtOH). II (39.4 g.) in 150 cc. dry dioxane and 16 cc. C<sub>5</sub>H<sub>5</sub>N treated with stirring at 10-15° during 15 min. with 31 g. PhCH<sub>2</sub>COCl in 50 cc. dioxane, stirred 1 hr. at 10° and 2 hrs. at room temperature, treated with 130 cc. 2N HCl and 380 cc. H<sub>2</sub>O, and extracted

with

about 1000 cc. Et<sub>2</sub>O yielded 33 g. 2-isopropyl-3-phenylacetyl-amino-4-carbethoxypyrazole (XIV), b<sub>0.08</sub> 170-5°. NaNO<sub>2</sub> (7 g.) and 26.8 g. X added successively with stirring at 0-5° to 268 cc. concentrated H<sub>2</sub>SO<sub>4</sub>, stirred 3 hrs. at 0-5°, cooled, poured onto ice, heated with stirring to 80°, cooled, filtered, the residue (about 20 g.) treated with 400 cc. saturated aqueous NaHCO<sub>3</sub> and 400 cc. H<sub>2</sub>O, filtered, and

the

filtrate acidified with 2N HCl to pH 3-4 yielded 16.8 g. 1-isopropyl-4-hydroxy-5-phenyl- 6-oxo-4,5-dihydropyrazolo[3,4-b]pyridine (XV), m. 322-4° (EtOH). XIV (10 g.) and 2 g. Na in 150 cc. MePh refluxed 5 hrs. with stirring, cooled to room temperature, treated with EtOH, evaporated, the residue dissolved in H<sub>2</sub>O, washed with Et<sub>2</sub>O, and acidified with 2N HCl gave 2.3 g. XV, m. 322-4° (aqueous EtOH). XIII (15 g.) and 100 cc. POCl<sub>3</sub> refluxed 6 hrs., evaporated, the residue dissolved in CHCl<sub>3</sub>, and worked up gave 7.2 g. 1-phenyl-4-chloro-6-benzylpyrazolo[3,4-d]pyrimidine (XVI), m. 90-1° (CHCl<sub>3</sub>-petr. ether). XVI (7 g.) and 25 g. Me<sub>2</sub>NH in 50 cc. EtOH heated 7 hrs. at 100° in an autoclave gave 4.3 g. 4-Me<sub>2</sub>N analog of XVI, m. 121-2° (EtOH). IX (13.4 g.) and 1.15 g. Na in 300 cc. EtOH stirred 1 hr. at room temperature, treated with 5.5 g. Me<sub>2</sub>NCH<sub>2</sub>CH<sub>2</sub>Cl, refluxed 4 hrs., evaporated, the residue dissolved in 100 cc. N HCl, washed with Et<sub>2</sub>O, basified to pH 10 with aqueous NaOH, and extracted with Et<sub>2</sub>O yielded 13 g. 5-Me<sub>2</sub>NCH<sub>2</sub>CH<sub>2</sub> derivative (XVII) of IX, m. 115-17° (petr. ether). XVII (10 g.) and 35 cc. 85% H<sub>3</sub>PO<sub>4</sub> stirred 6 hrs. at 100°, poured onto 300 g. ice, adjusted with aqueous NaOH to pH 10, filtered, and extracted with CHCl<sub>3</sub> gave 6 g. 2-isopropyl-3-aminopyrazole-4-carboxylic acid 2-dimethylaminoethylamide, m. 131-2° (iso-Pr<sub>2</sub>O).

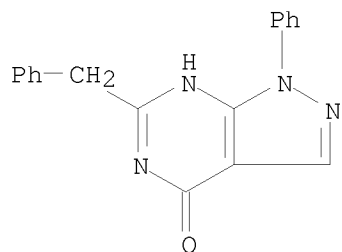
IT 94331-62-1P, 4H-Pyrazolo[3,4-d]pyrimidin-4-one,  
6-benzyl-1,5-dihydro-1-phenyl-

RL: PREP (Preparation)  
(preparation of)

RN 94331-62-1 CAPLUS

CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1,5-dihydro-1-phenyl-6-(phenylmethyl)-  
(CA INDEX NAME)

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ACCESSION NUMBER: 1958:88115 CAPLUS  
 DOCUMENT NUMBER: 52:88115  
 ORIGINAL REFERENCE NO.: 52:15540i,15541a-i,15542a-i,15543a-i  
 TITLE: Potential purine antagonists. VII. Synthesis of  
 6-alkylpyrazolo[3,4-d]pyrimidines  
 AUTHOR(S): Cheng, C. C.; Robins, Roland K.  
 CORPORATE SOURCE: New Mexico Highlands Univ., Las Vegas  
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 LANGUAGE: Unavailable

GI For diagram(s), see printed CA Issue.

AB cf. C.A. 52, 13741h. A synthesis of 6-alkyl-4-hydroxypyrazolo  
 [3,4-d]pyrimidines, R1N.N:CH.C:C.N:CR2.N:COH (I) was devised from the  
 corresponding 5-acylamino-4-cyanopyrazoles, R3CONHC:C(CN).CR2.N.NR1 (II)  
 which were in turn prepared from 5-amino-4-cyanopyrazoles,  
 R1N.N:CH.C(CN):CNH2 (III). Evidence was presented to show that the  
 5-acylaminopyrazole-4-carboxamide is an intermediate in this cyclization.  
 Chlorination of I yielded the corresponding 6-alkyl-4-chloropyrazolo  
 [3,4-d]pyrimidines, R1N.N:CH.C:C.N:CR2.N:CCl (IV). Nucleophilic  
 displacement of the Cl in IV resulted in the preparation of a large number of  
 6-alkylpyrazolo[3,4-d]pyrimidines, R1N.N:CH.C:C.N:CR2.N:CNR4R5 (V). III  
 (R1 = 3-Me) (80 g.) and 250 ml. Ac2O refluxed 10 hrs., excess Ac2O distilled  
 in vacuo, the sirupy substance poured into 30 ml. C6H6, stirred several  
 min., and crystallized gave 89 g. II (R1 = R2 = H, R3 = Me), crystals from H2O.  
 Similarly II (R1 = R3 = Me, R2 = H) was prepared and the product recrystd.  
 from H2O to a white powder. III (R1 = Ph) (150 g.) treated 19 hrs. under  
 reflux with 200 ml. Ac2O, excess solvent removed, the residue treated with  
 a small amount of C6H6, and Skellysolve (b. 60°), and the product  
 isolated gave 171 g. II (R1 = Ph, R2 = H, R3 = Me) crystallized from H2O. The  
 following II were thus prepared (R1, R2, R3, m.p., % yield, and recrystn.  
 solvent given): H, H, Me, 221-2°, 76, H2O; Me, H, Me,  
 210-11°, 72, H2O; Ph, H, Me, 155-6°, 92, H2O;  
 o-ClC6H4, H, Me, 175-5.5°, 82, alc., H2O; p-ClC6H4, H, Me,  
 173-5°, 96, alc, H2O; p-BrC6H4, H, Me, 175-5° (sic), 98,  
 alc., H2O; p-O2NC6H4, H, Me, 198-200°, 95, alc., H2O; p-MeC6H4, H,  
 Me, 128°, 96, alc., H2O; AcOCH2CH2, H, Me, 155-7°, 81, alc.  
 II (R1 = Ph, R2 = H, R3 = Me) (30 g.) added at 15-20° to 120 ml.  
 concentrated H2SO4, the clear solution stirred 0.5 hr., then poured onto 1 kg.

ice,

neutralized with concentrated NH4OH, the solid collected, washed, dried, and  
 recrystd. from C6H6 and MeOH gave 20 g.

5-amino-1-phenylpyrazole-4-carboxamide (VI), m. 172-5°, identical  
 with the product obtained from the hydrolysis of

5-amino-4-cyano-1-phenylpyrazole. VI (20 g.) and 200 ml. Ac2O refluxed 15  
 hrs., and purification gave 15 g. 6-methyl-4-oxo-1-phenylpyrazolo  
 [3,4-d]-5,7-oxazine (VII), m. 184.5-5.5° (sublimed at 145°)

(C6H6-C7H16). VII (2.5 g.) kept 2 hrs. at room temperature with 200 ml. H2O

and

2 g. KOH, heated 10 hrs., acidified, and the precipitate collected gave 2 g.  
 5-acetamido-1-phenylpyrazole-4-carboxylic acid (VIII), m. 201-2°

(AcOH), readily lost CO2 on heating. The 5-acetylamido group was retained  
 in warm alkaline solution but hydrolyzed readily in cold acidic medium. VII (2  
 g.) left 0.5 hr. at room temperature with 100 ml. alc. NH3, heated briefly

until

a solid product precipitated, and the product collected gave

5-acetamido-1-phenylpyrazole-4-carboxamide (IX), m. 301-2°, relatively unstable. The m.p. of IX was the same as that for I (R1 = Ph, R2 = Me) and was undepressed in mixed m.p. The ultraviolet absorptions for IX at 230 mμ and for I at 233 and 269 mμ, were different. Thus IX cyclized at elevated temps. during the m.p. determination I were prepared by the following method. II (R1 = R2 = H, R3 = Me) (1.5 g.); 7 ml. 10% KOH, and 15 ml. 3% H2O2 warmed 0.5 hr. at 70-5°, the mixture acidified, the solid collected, and repptd. with dilute KOH and AcOH gave 1.1 g. I (R1 = H, R2 = Me). II (R1 = R3 = Me, R2 = H) (121 g.) warmed 10 hrs. at 70° with 1500 ml. 3% H2O2 and 400 ml. 10% KOH gave 103 g. I (R1 = R2 = Me), needles, sublimed at 180°. II (R1 = Ph, R2 = H, R3 = Me) (14.5 g.) in 5 g. KOH and 200 ml. 3% H2O2 warmed 5 hrs. at 70-5° and acidified gave 14 g. crude I (R1 = Ph, R2 = Me), m. 298-300°. IX (1 g.) heated 20 min. at 70° with 100 ml. 10% KOH, then acidified, the solid collected and recrystd. gave 0.8 g. product identical with that from the preceding experiment I (R1 = R2 = Me) (25 g.) and 400 ml. POCl3 refluxed 2 hrs., excess solvent removed, the sirup poured onto 1 kg. ice, the suspension left 15 min., extracted with CHCl3, dried, solvent removed at room temperature, and the solid isolated gave 24 g. IV (R1 = R2 = Me) as needles. I (R1 = H, R2 = Me) (50 g.) refluxed 2 hrs. with 140 ml. PhNMe2 and 1 l. POCl3, excess POCl3 removed, the residue poured on ice, and extracted with Et2O gave 35 g. IV (R1 = H, R2 = Me), unstable. I (R1 = p-O2NC6H4, R2 = Me) (20 g.) refluxed 3 hrs. with 250 ml. POCl3 gave 17.5 g. IV (R1 = p-O2NC6H4, R2 = Me) as a yellow powder. Preparation of 1-alkyl(aryl)-6-alkyl-4-mercaptopyrazolo[3,4-d]pyrimidines X (R1 = 1-substituent, R2 = 6-substituent) was achieved by the following two methods: (method 1) I (R1 = Ph, R2 = Me) (11 g.) and 50 g. P2S6 added portionwise during 45 min. to 400 ml. Tetralin (preheated to 165°), the temperature allowed to rise to 185°, then heated 6 hrs. to 190-5°, the solution cooled overnight, filtered, the product dissolved in dilute KOH and precipitated with AcOH gave 5.5 g. X (R1 = Ph, R2 = Me); method 2) IV (R1 = Ph, R2 = Me) (14 g.) and 14 g. CS(CH2)2 in 120 ml. alc. refluxed 4 hrs., the product collected and washed well with alc. and H2O, and the product purified by precipitation from a hot basic solution with AcOH gave 11.5 g. X (R1 = Ph, R2 = Me). All the other X were prepared by essentially the same procedure as method 2. 1-Alkyl(aryl)-6-alkyl-4-alkylthiopyrazolo[3,4-d]pyrimidines (XI) (R1 = 1-substituent, R2 = 6-substituent, R3 = S-substituent) were prepared as follows: X (R1 = R2 = Me) (13 g.), 40 ml. 4N KOH, 18 g. MeI, and 30 ml. MeOH shaken 0.5 hr. in a separatory funnel, the contents left overnight at 40°, and the solid collected gave 12.5 g. XI (R1 = R2 = R3 = Me). X (R1 = Ph, R2 = Me) (1 g.) added to 200 ml. H2O containing 15 g. KOH and 21 g. EtI, treated with 100 ml. alc., refluxed 5 hrs., and reduced in volume, until an oily product solidified gave 3 g. XI (R1 = Ph, R2 = Me, R3 = Et). 4-Alkoxy-1-alkyl(aryl)-6-methylpyrazolo[3,4-d]pyrimidines (XII) (R1 = 1-substituent, R2 = O-substituent) were prepared as follows: IV (R1 = p-MeC6H4, R2 = Me) (5.5 g.) and 100 ml. alc. left 2 hrs. at room temperature with 2 g. Na in 70 ml. alc., heated 40 min. on the steam bath, and NaCl removed, the filtrate treated with 50 ml. H2O, and left overnight in the cold gave 3.1 g. XII (R1 = p-MeC6H4, R2 = Et). Other XII were prepared as above. The following N:CR2.N:CR3.C:C.NR1.N:CH were prepared by the above methods (R1, R2, R3, m.p., % yield, and recrystn. solvent given): H, Me, OH, 336-8°, 73.5, AcOH; H, Me, Cl, 140° (decomposition), 70.0, C6H6; H, Me, SH, above 300°, 80, repptd.; H, Et, OH, above

300°, 82, alc., H<sub>2</sub>O; Me, Me, OH, 277-8°, 72.5, alc., H<sub>2</sub>O; Me, Me, Cl, 74°, 70.2, C<sub>7</sub>H<sub>16</sub>; Me, Me, OMe, 107.5-8.5°, 67.5, MeOH; Me, Me, SH, 264-5°, 98, repptd.; Me, Me, SMe, 74-5°, 90.2, MeOH, H<sub>2</sub>O; CH<sub>2</sub>CH<sub>2</sub>OH, Me, OH, 265-6°, 54.8, H<sub>2</sub>O; Ph, Me, Cl, 85-6°, 83.5, C<sub>7</sub>H<sub>16</sub>; Ph, Me, SH, 268.5°, 83.3, repptd.; Ph, Me, OMe, 121.5-2.0°, -, MeOH; Ph, Me, OEt, 95-5.5°, -, alc.; Ph, Me, SMe, 135-7°, -, MeOH, H<sub>2</sub>O; Ph, Me, SEt, 86-8°, -, alc., H<sub>2</sub>O; Ph, Et, OH, 295°, 88.5, alc., H<sub>2</sub>O; Ph, Et, SH, 248-9°, 91.6, repptd.; p-MeC<sub>6</sub>H<sub>4</sub>, Me, OH, 298-300°, 93.6, alc., H<sub>2</sub>O; p-MeC<sub>6</sub>H<sub>4</sub>, Me, Cl, 89-91°, 78.1, C<sub>7</sub>H<sub>16</sub>; p-MeC<sub>6</sub>H<sub>4</sub>, Me, OMe, 121-2°, 81.2, MeOH; p-MeC<sub>6</sub>H<sub>4</sub>, Me, OEt, 93-4°, 53, alc.; o-ClC<sub>6</sub>H<sub>4</sub>, Me, Cl, 121°, 77.8, C<sub>6</sub>H<sub>14</sub>; p-BrC<sub>6</sub>H<sub>4</sub>, Me, OH, above 315°, 86.6, alc., H<sub>2</sub>O; p-BrC<sub>6</sub>H<sub>4</sub>, Me, Cl, 130.5-31°, 88.7, C<sub>6</sub>H<sub>14</sub>; p-ClC<sub>6</sub>H<sub>4</sub>, Me, OH, above 310°, 94.5, alc., H<sub>2</sub>O; p-ClC<sub>6</sub>H<sub>4</sub>, Me, Cl, 129°, 82.6, C<sub>7</sub>H<sub>16</sub>; p-ClC<sub>6</sub>H<sub>4</sub>, Me, SH, above 305°, 75.2, repptd.; p-O<sub>2</sub>NC<sub>6</sub>H<sub>4</sub>, Me, OH, above 310°, 90, repptd.; p-O<sub>2</sub>NC<sub>6</sub>H<sub>4</sub>, Me, Cl, 184°, 82, PhMe. V were prepared by the following methods: (method A) IV (R<sub>1</sub> = H, R<sub>2</sub> = Me) (10 g.) and 120 ml. alc. NH<sub>3</sub> heated 8 hrs. in a bomb at 160°, the product evaporated to dryness, the residue refluxed with dilute HCl, the solution treated with C, filtered, and the product repptd. with NH<sub>4</sub>OH, filtered, and recrystd. gave 6.5 g. V (R<sub>1</sub> = R<sub>4</sub> = R<sub>5</sub> = H, R<sub>2</sub> = Me); (method B) the above IV (5 g.) added to 7 g. BuNH<sub>2</sub>, and 120 ml. alc. and the mixture refluxed 7 hrs. gave 3 g. V (R<sub>1</sub> = R<sub>4</sub> = H, R<sub>2</sub> = Me, R<sub>5</sub> = Bu). IV (R<sub>1</sub> = Ph, R<sub>2</sub> = Me) (5 g.) refluxed 40 min. with 8 g. p-ClC<sub>6</sub>H<sub>4</sub>NH<sub>2</sub> and 75 ml. alc. and the mixture filtered after cooling 3 hrs. in an ice bath gave 6.2 g. crude V (R<sub>1</sub> = Ph, R<sub>2</sub> = Me, R<sub>4</sub> = H, R<sub>5</sub> = p-ClC<sub>6</sub>H<sub>4</sub>). IV (R<sub>1</sub> = p-ClC<sub>6</sub>H<sub>4</sub>, R<sub>2</sub> = Me) (9 g.) refluxed on a steam bath to near dryness with 160 ml. alc. containing 10 g. PhCH<sub>2</sub>CH<sub>2</sub>NH<sub>2</sub> and the residue added to MeOH gave 11 g. V (R<sub>1</sub> = p-ClC<sub>6</sub>H<sub>4</sub>, R<sub>2</sub> = Me, R<sub>4</sub> = H, R<sub>5</sub> = CH<sub>2</sub>CH<sub>2</sub>Ph); (method C) IV (R<sub>1</sub> = R<sub>2</sub> = Me) (5.5 g.), 5.5 g. furfurylamine, and 200 ml. alc. heated 8 hrs. on a steam bath, then evaporated, the residue stirred with 30 ml. 10% KOH, the alkaline solution decanted, the sirup

refluxed 2

hrs. with 100 ml. C<sub>6</sub>H<sub>6</sub>, and the solution, filtered and evaporated to dryness

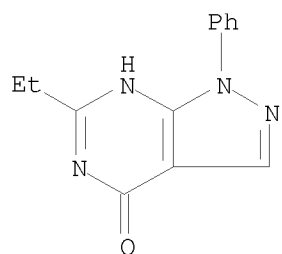
gave

4 g. V (R<sub>1</sub> = R<sub>2</sub> = Me, R<sub>4</sub> = H, R<sub>5</sub> = furfuryl as white needles. IV (R<sub>1</sub> = Ph, R<sub>2</sub> = Et) (13 g.) in 150 ml. alc. treated slowly with 13 g. PhCH<sub>2</sub>NH<sub>2</sub> in 50 ml. alc., the mixture refluxed 12 hrs., the solvent removed, and the product treated with C<sub>6</sub>H<sub>6</sub> and several drops MeOH, and refrigerated gave 8 g. V (R<sub>1</sub> = Ph, R<sub>2</sub> = Et, R<sub>4</sub> = H, R<sub>5</sub> = CH<sub>2</sub>Ph). The following V were prepared by these methods (R<sub>1</sub>, R<sub>2</sub>, R<sub>4</sub>, R<sub>5</sub>, m.p., method of preparation, % yield, and recrystn. solvents given): H, Me, H, H, above 300°, A, 73, alc., H<sub>2</sub>O; H, Me, H, Me, above 300°, B, 60, alc., H<sub>2</sub>O; H, Me, H, Et, 273-4°, B, 56, alc.; H, Me, H, Pr, 220-2°, B, 49.1, alc.; H, Me, H, CH<sub>2</sub>Ph, 241°, B, 87.2, alc.; H, Me, H, furfuryl, 243-4°, C, 59, alc.; Me, Me, H, H, 251-2°, A, 90, alc., H<sub>2</sub>O; Me, Me, H, Me, 136-8°, B, 77.2, H<sub>2</sub>O; Me, Me, H, Et, 131.5-2.0°, C, 66.9, PhMe, C<sub>7</sub>H<sub>16</sub>; Me, Me, H, CH<sub>2</sub>Ph, 180-2°, B, 83, alc.; Me, Me, H, furfuryl, 140-1.5°, C, 54.6, alc.; Me, Me, H, o-ClC<sub>6</sub>H<sub>4</sub>, 223.5-4.0°, B, 60, alc.; Me, Me, H, p-ClC<sub>6</sub>H<sub>4</sub>, 231.5°, B, 67, alc., H<sub>2</sub>O; Me, Me, H, p-MeC<sub>6</sub>H<sub>4</sub>, 224-5.5°, B, 60, alc.; Me, Me, H, p-MeC<sub>6</sub>H<sub>4</sub>, 225-7°, B, 74.7, alc.; Me, Me, H, 2,6-Et<sub>2</sub>C<sub>6</sub>H<sub>3</sub>, 218-18.5°, B, 48.5, alc.; Me, Me, H, NH<sub>2</sub>, 259-60°, B, 87.3, alc.; Ph, Me, H, H, 287-9°, A, 82.5, alc., H<sub>2</sub>O; Ph, Me, H, Me, 162-3°, B, 80.2, alc., H<sub>2</sub>O; Ph, Me, Me, Me, 117-17.5°, C, 82.5, alc.; Ph, Me, H, Et, 86°, B, 87.2, alc.; Ph, Me, Et, Et, 66-8°, C, 83, alc.; Ph, Me, H, iso-Pr

143-4°, B 86, alc., H<sub>2</sub>O; Ph, Me, H, tert-Bu, 175-7°, C, 61, alc., H<sub>2</sub>O; Ph, Me, H, CH<sub>2</sub>CH<sub>2</sub>NEt<sub>2</sub>, 159-60°, C, 49.1, C<sub>7</sub>H<sub>16</sub>; Ph, Me, CH<sub>2</sub>Ph, H, 187-8°, B, 92, alc.; Ph, Me, H, furfuryl, 153-4.5°, C, 56.2, PhMe, C<sub>7</sub>H<sub>16</sub>; Ph, Me, H, Ph, 262-3°, B, 50.5, EtOCH<sub>2</sub>CH<sub>2</sub>OH; Ph, Me, H, m-BrC<sub>6</sub>H<sub>4</sub>, 215-17°, B, 68, alc.; Ph, Me, H, o-ClC<sub>6</sub>H<sub>4</sub>, 175-6°, B, 51.3, alc.; Ph, Me, H, m-ClC<sub>6</sub>H<sub>4</sub>, 192-3°, B, 90, alc.; Ph, Me, H, p-ClC<sub>6</sub>H<sub>4</sub>, 226-6.5°, B, 82, alc., H<sub>2</sub>O; Ph, Me, H, 2,6-Et<sub>2</sub>C<sub>6</sub>H<sub>3</sub>, 189-90°, B, 71.2, alc.; Ph, Me, H, NH<sub>2</sub>, 243-4°, B, 80.1, C<sub>5</sub>H<sub>5</sub>N; Ph, Me, H, NHPH, 240-1°, B, 47.5, C<sub>5</sub>H<sub>5</sub>N; Ph, Et, Me, Me, 90.5-1.0°, B, 55.5, alc.; Ph, Et, H, tert-Bu, 148-8.5°, C 73.3, alc. (sublimed); Ph, Et, H, CH<sub>2</sub>Ph, 129-9.5°, C, 48.5, C, 48.5, C<sub>6</sub>H<sub>6</sub>, alc.; Ph, Et, H, o-ClC<sub>6</sub>H<sub>4</sub>, 168-8.5°, B, 71.5, EtOCH<sub>2</sub>CH<sub>2</sub>OH; Ph, Et, H, m-ClC<sub>6</sub>H<sub>4</sub>, 187-9°, B, 74, alc.; Ph, Et, H, p-ClC<sub>6</sub>H<sub>4</sub>, 208.5-9.5°, B, 87.8, EtOCH<sub>2</sub>CH<sub>2</sub>OH; Ph, Et, H, o-MeC<sub>6</sub>H<sub>4</sub>, 175-6°, B, 75.5, alc.; Ph, Et, H, m-MeC<sub>6</sub>H<sub>4</sub>, 169.5°, B, 58, alc.; Ph, Et, H, p-MeC<sub>6</sub>H<sub>4</sub>, 199-200°, B, 78.6, alc.; Ph, Et, H, 2,5-Cl<sub>2</sub>C<sub>6</sub>H<sub>3</sub>, 181-3°, B, 42.1, alc.; Ph, Et, H, 2,6-Et<sub>2</sub>C<sub>6</sub>H<sub>3</sub>, 191-1.5°, B, 38, alc.; Ph, Et, H, NH<sub>2</sub>, 198-9°, B, 87.5, alc.; p-MeC<sub>6</sub>H<sub>4</sub>, Me, H, H, 296.5-8.0°, A, 75.7, alc.; p-MeC<sub>6</sub>H<sub>4</sub>, Me, H, Me, 181-2.5°, B, 86, MeOH, H<sub>2</sub>O; p-MeC<sub>6</sub>H<sub>4</sub>, Me, Me, Me, 149-51°, B, 82.2, alc.; p-MeC<sub>6</sub>H<sub>4</sub>, Me, H, Et, 144-6°, B, 80, alc., H<sub>2</sub>O; p-MeC<sub>6</sub>H<sub>4</sub>, Me, H, CH<sub>2</sub>CH<sub>2</sub>NEt<sub>2</sub>, 165°, C, 62.8, PhMe, C<sub>7</sub>H<sub>16</sub>; p-MeC<sub>6</sub>H<sub>4</sub>, Me, H, o-ClC<sub>6</sub>H<sub>4</sub>, 219-21°, B, 76.5, C<sub>5</sub>H<sub>5</sub>N; p-MeC<sub>6</sub>H<sub>4</sub>, Me, H, m-BrC<sub>6</sub>H<sub>4</sub>, 218-20°, B, 63.5, alc.; o-ClC<sub>6</sub>H<sub>4</sub>, Me, H, H, 294.5-9.5°, A, 71.8, alc.; o-ClC<sub>6</sub>H<sub>4</sub>, Me, Me, Me, 152-3°, C, 77.7, alc.; o-ClC<sub>6</sub>H<sub>4</sub>, Me, H, o-ClC<sub>6</sub>H<sub>4</sub>, 196-8°, B, 63, alc.; p-BrC<sub>6</sub>H<sub>4</sub>, Me, Et, Et, 123-4°, B, 51.6, EtOCH<sub>2</sub>CH<sub>2</sub>OH, H<sub>2</sub>O; p-ClC<sub>6</sub>H<sub>4</sub>, Me, H, H, above 300°, A, 36, alc.; p-ClC<sub>6</sub>H<sub>4</sub>, Me, H, Me, 218-19°, B, 57.2, alc.; H<sub>2</sub>O; p-ClC<sub>6</sub>H<sub>4</sub>, Me, H, iso-PrO(CH<sub>2</sub>)<sub>3</sub>, 109-10°, B, 51.1, MeOH, H<sub>2</sub>O; p-ClC<sub>6</sub>H<sub>4</sub>, Me, (R<sub>4</sub>R<sub>5</sub> = ) (CH<sub>2</sub>)<sub>5</sub>, 127.5-8.5°, B, 61.3, alc., H<sub>2</sub>O; p-ClC<sub>6</sub>H<sub>4</sub>, Me, H, CH<sub>2</sub>Ph, 214°, B, 93.3, EtOCH<sub>2</sub>CH<sub>2</sub>OH; p-ClC<sub>6</sub>H<sub>4</sub>, Me, H, CH<sub>2</sub>CH<sub>2</sub>Ph, 175-6°, B, 60.1, alc.; p-ClC<sub>6</sub>H<sub>4</sub>, Me, H, o-ClC<sub>6</sub>H<sub>4</sub>, 221-2°, B, 62.0, C<sub>5</sub>H<sub>5</sub>N, p-ClC<sub>6</sub>H<sub>4</sub>, Me, H, m-ClC<sub>6</sub>H<sub>4</sub>, 222-3°, B, 85.5, EtOCH<sub>2</sub>CH<sub>2</sub>OH; p-ClC<sub>6</sub>H<sub>4</sub>, Me, H, p-ClC<sub>6</sub>H<sub>4</sub>, 239-9.5°, B, 88, C<sub>5</sub>H<sub>5</sub>N; p-ClC<sub>6</sub>H<sub>4</sub>, Me, H, m-BrC<sub>6</sub>H<sub>4</sub>, 230-2°, B, 74.2, C<sub>5</sub>H<sub>5</sub>N; p-ClC<sub>6</sub>H<sub>4</sub>, Me, H, 2,5-Cl<sub>2</sub>C<sub>6</sub>H<sub>3</sub>, 200°, B, 71.5, EtOCH<sub>2</sub>CH<sub>2</sub>OH; p-O<sub>2</sub>NC<sub>6</sub>H<sub>4</sub>, Me, H, Me, 248-9°, B, 69, alc.; p-O<sub>2</sub>NC<sub>6</sub>H<sub>4</sub>, Me, Me, Me, 196°, B, 51.2, alc., H<sub>2</sub>O; p-O<sub>2</sub>NC<sub>6</sub>H<sub>4</sub>, Me, H, iso-Pr, 190-2°, B, 81.1, alc.; p-O<sub>2</sub>NC<sub>6</sub>H<sub>4</sub>, Me, H, Bu, 147°, B, 66.6, alc.; p-O<sub>2</sub>NC<sub>6</sub>H<sub>4</sub>, Me, (R<sub>4</sub>R<sub>5</sub> = ) (CH<sub>2</sub>)<sub>5</sub>, 189-91°, B, 96, C<sub>5</sub>H<sub>5</sub>N; p-O<sub>2</sub>NC<sub>6</sub>H<sub>4</sub>, Me, H, CH<sub>2</sub>CH<sub>2</sub>NEt<sub>2</sub>, 145°, B, 91.7, alc., H<sub>2</sub>O; p-O<sub>2</sub>NC<sub>6</sub>H<sub>4</sub>, Me, H, o-ClC<sub>6</sub>H<sub>4</sub>, 227-8°, B, 43.2, alc.; p-O<sub>2</sub>NC<sub>6</sub>H<sub>4</sub>, Me, H, p-ClC<sub>6</sub>H<sub>4</sub>, 278°, B, 87, AcOH. The ultraviolet spectra were given for many of the compds. given above. The screening of these compds. against tumors in mice thus far has not revealed any significant antitumor agents in this series.

IT 5394-42-3P, 1H-Pyrazolo[3,4-d]pyrimidin-4-ol, 6-ethyl-1-phenyl-  
 RL: PREP (Preparation)  
 (preparation of)  
 RN 5394-42-3 CAPLUS  
 CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 6-ethyl-1,5-dihydro-1-phenyl- (CA  
 INDEX NAME)

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RECORD (12 CITINGS)